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NEWS 3 JUL 28 EFFULL enhanced with additional legal status
information from the epline Register
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NEWS 5 JUL 28 STN Viewer performance improved
NEWS 6 AUG 01 INPADOCDB and INPAFAMDB coverage enhanced
NEWS 7 AUG 13 CA/Caplus enhanced with printed Chemical Abstracts
page images from 1967-1998
NEWS 8 AUG 15 CAOLD to be discontinued on December 31, 2008
NEWS 9 AUG 15 CAplus currency for Korean patents enhanced
NEWS 10 AUG 27 CAS definition of basic patents expanded to ensure
comprehensive access to substance and sequence
information
NEWS 11 SEP 18 Support for STN Express, Versions 6.01 and earlier,
to be discontinued
NEWS 12 SEP 25 CA/Caplus current-awareness alert options enhanced
to accommodate supplemental CAS indexing of
exemplified prophetic substances
NEWS 13 SEP 26 WPIDS, WPINDEX, and WPIX coverage of Chinese and
and Korean patents enhanced
NEWS 14 SEP 29 IFICLS enhanced with new super search field
NEWS 15 SEP 29 EMBASE and EMBAL enhanced with new search and
display fields
NEWS 16 SEP 30 CAS patent coverage enhanced to include exemplified
prophetic substances identified in new Japanese-
language patents
NEWS 17 OCT 07 EFFULL enhanced with full implementation of EPC2000
NEWS 18 OCT 07 Multiple databases enhanced for more flexible patent
number searching
NEWS 19 OCT 22 Current-awareness alert (SDI) setup and editing
enhanced
NEWS 20 OCT 22 WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT
Applications
NEWS 21 OCT 24 CHEMLIST enhanced with intermediate list of
pre-registered REACH substances
NEWS 22 NOV 21 CAS patent coverage to include exemplified prophetic
substances identified in English-, French-, German-,
and Japanese-language basic patents from 2004-present
NEWS 23 NOV 26 MARPAT enhanced with FSORT command
NEWS 24 NOV 26 MEDLINE year-end processing temporarily halts
availability of new fully-indexed citations
NEWS 25 NOV 26 CHEMSAFE now available on STN Easy
NEWS 26 NOV 26 Two new SET commands increase convenience of STN
searching

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,

AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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FILE 'HOME' ENTERED AT 12:36:04 ON 26 NOV 2008

=> file reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

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DICTIONARY FILE UPDATES: 24 NOV 2008 HIGHEST RN 1075293-66-1

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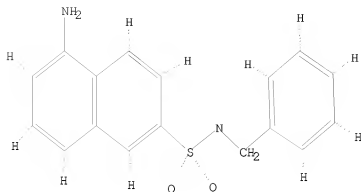
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<http://www.cas.org/support/stngen/stdnoc/properties.html>

=>
Uploading C:\Program Files\Stnexp\Queries\10510242-1.str

L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 12:36:47 FILE 'REGISTRY'
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100.0% PROCESSED      450 ITERATIONS      0 ANSWERS
SEARCH TIME: 00.00.01
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                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:   7728 TO   10272
PROJECTED ANSWERS:      0 TO      0
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L2 0 SEA SSS SAM L1

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SEARCH TIME: 00.00.01
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L3 4 SEA SSS FUL L1

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FULL ESTIMATED COST      178.36      178.57
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FILE 'CAPLUS' ENTERED AT 12:36:58 ON 26 NOV 2008
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L4 1 L3

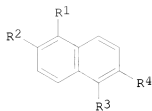
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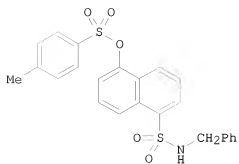
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on SIN

ACCESSION NUMBER: 2003:836833 CAPLUS
DOCUMENT NUMBER: 139:323347
TITLE: Preparation of naphthalenesulfonamides as anticancer
agents
INVENTOR(S): Muto, Susumu; Itai, Akiko
PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design, Inc., Japan
SOURCE: PCT Int. Appl., 157 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003086377	A1	20031023	WO 2003-JP4986	20030418
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003231370	A1	20031027	AU 2003-231370	20030418
GB 2403474	A	20050105	GB 2004-23856	20030418
GB 2403474	B	20061011		
US 20050215645	A1	20050929	US 2005-510242	20050518
PRIORITY APPLN. INFO.:			JP 2002-115629	A 20020418
			WO 2003-JP4986	W 20030418
OTHER SOURCE(S):	MARPAT 139:323347			
GI				



I



II

AB The title compds. I [wherein R¹ and R² = independently H, OH, acyloxy, NH₂, or acylamino; R³ and R⁴ = independently H, (un)substituted amino-SO₂, or amino-CO; etc., with provisos] and pharmaceutically acceptable salts, hydrates, or solvates thereof are prepared as DNA damage action mechanism drugs for the treatment of cancer. For example, the compound II was prepared in a multi-step synthesis. Some of compds. I, such as II, showed strong inhibitory activity against Jurkat cell growth in cow.

IT 615259-61-5P 615259-64-8P 615259-84-2P

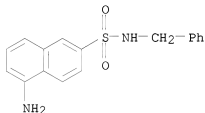
615259-87-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of naphthalenesulfonamides as anticancer agents)

RN 615259-61-5 CAPLUS

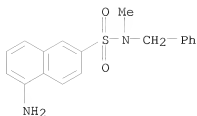
CN 2-Naphthalenesulfonamide, 5-amino-N-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

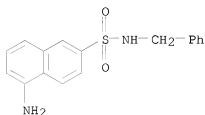
RN 615259-64-8 CAPLUS

CN 2-Naphthalenesulfonamide, 5-amino-N-methyl-N-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

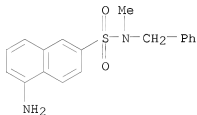


● HCl

RN 615259-84-2 CAPLUS
CN 2-Naphthalenesulfonamide, 5-amino-N-(phenylmethyl)- (CA INDEX NAME)



RN 615259-87-5 CAPLUS
CN 2-Naphthalenesulfonamide, 5-amino-N-methyl-N-(phenylmethyl)- (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	14.57	193.14
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-0.80	-0.80

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=>

Uploading C:\Program Files\Stnexp\Queries\10510242-2.str

L5 STRUCTURE UPLOADED

=> d l5

L5 HAS NO ANSWERS

L5 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

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=> s l5 full

FULL SEARCH INITIATED 12:48:44 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 28932 TO ITERATE

100.0% PROCESSED 28932 ITERATIONS

483 ANSWERS

SEARCH TIME: 00.00.01

L6 483 SEA SSS FUL L5

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

178.36

371.50

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

0.00

-0.80

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FILE COVERS 1907 - 26 Nov 2008 VOL 149 ISS 22
FILE LAST UPDATED: 25 Nov 2008 (20081125/ED)

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=> s 16

L7 64 L6

=> s 17 not 14

L8 64 L7 NOT L4

=> d ibib abs hitstr 1-

YOU HAVE REQUESTED DATA FROM 64 ANSWERS - CONTINUE? Y/(N):y

L8 ANSWER 1 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:467782 CAPLUS

DOCUMENT NUMBER: 148:472028

TITLE: Preparation of sulfonamide substituted pyrazoline compounds as Cbl modulators

INVENTOR(S): Buschmann, Helmut H.; Torrens-Jover, Antonio; Mas-Prio, Josef; Yenes-Minguez, Susana

PATENT ASSIGNEE(S): Laboratorios Del Dr. Esteve, S.A., Spain

SOURCE: PCT Int. Appl., 191pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

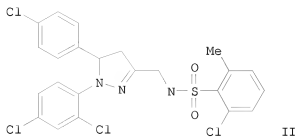
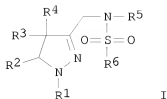
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
EP 1911747	A1	20080416	EP 2006-384015	20061011
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS			

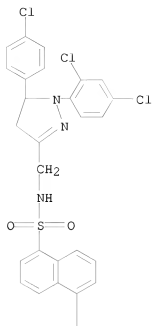
PRIORITY APPLN. INFO.: EP 2006-384015 A 20061011

OTHER SOURCE(S): MARPAT 148:472028

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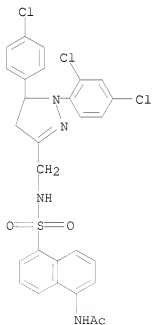


- AB The title compds. I [R1, R2 = unsubstituted or at least mono-substituted (hetero)aryl which may be condensed with mono- or bicyclic ring system; R3, R4 = H, halo, CN, etc.; R5 = H, alkyl, alkenyl, etc.; R6 = (un)substituted NH2, alkyl, alkenyl, etc.], useful for the preparation of a medicament for the treatment of humans and animals, were prepared E.g., a multi-step synthesis of II, starting from 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4,5-dihydro-1H-pyrazole-3-carboxylic acid, was given. Compds. I show a high affinity to the CB1 receptor. Thus, II showed IC50 of 14.1 nM. Pharmaceutical composition comprising the compound I is disclosed.
- IT 1020094-02-3P 1020094-04-5P 1020094-07-8P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of sulfonamide substituted pyrazoline compds. as CB1 modulators useful in treating and preventing diseases)
- RN 1020094-02-3 CAPLUS
- CN 1-Naphthalenesulfonamide, 5-amino-N-[[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4,5-dihydro-1H-pyrazol-3-yl]methyl]- (CA INDEX NAME)



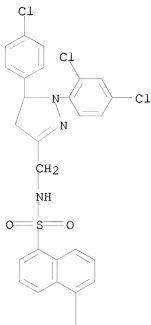
RN 1020094-04-5 CAPLUS

CN Acetamide, N-[5-[[[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4,5-dihydro-1H-pyrazol-3-yl]methyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



RN 1020094-07-8 CAPLUS
CN 1-Naphthalenesulfonamide, 5-amino-N-[[5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4,5-dihydro-1H-pyrazol-3-yl]methyl]-, hydrochloride (1:1)
(CA INDEX NAME)

PAGE 1-A



PAGE 2-A



● HCl

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1025544 CAPLUS

DOCUMENT NUMBER: 147:323017

TITLE: Preparation of aromatic compounds such as N-(2-phenoxy pyridin-5-yl) benzamides as collagen synthesis inhibitors for preventing and/or treating fibrosis

INVENTOR(S): Fukushima, Tae; Takemura, Noriaki; Tai, Kuninori; Nagao, Hitoshi; Ito, Nobuaki; Nakagawa, Takashi; Takasu, Hideki; Watanabe, Kenji; Matsumura, Shuji; Shizuta, Takuya; Sakamoto, Makoto; Suga, Keizo; Miyajima, Keisuke; Tanaka, Masanori; Sato, Hideaki; Tsutsui, Hironori; Yamada, Satoshi; Kojima, Hiroshi; Yasumura, Koichi; Oi, Naoto; Okuno, Tsuguhiro; Sugiyama, Kazuhisa; Kiyono, Kunihiko; Suzuki, Takashi; Akamatsu, Seiji; Kodama, Kenji; Yanagihara, Yasuo;

PATENT ASSIGNEE(S): Sumida, Takumi
 SOURCE: Ohtsuka Pharmaceutical Co., Ltd., Japan
 Jpn. Kokai Tokkyo Koho, 707pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

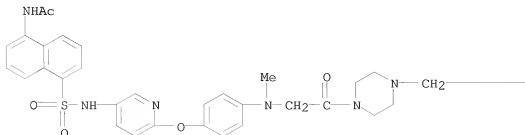
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2007231005	A	20070913	JP 2007-21396	20070131
PRIORITY APPLN. INFO.: OTHER SOURCE(S):	MARPAT 147:323017		JP 2006-25329	A 20060202

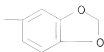
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

- AB The title compds. I [X1 = N, CH; R1 = ZR6 (wherein Z = CO, CH(OH), etc.; R6 = 5-15 membered monocyclic, dicyclic, or tricyclic, saturated or unsatd. heterocyclic group having 1-4 N atoms, O atoms, or S atoms); R2 = H, halo or alkyl; Y = O, CO, CH(OH), alkylene, etc.; A = (un)substituted Ph or naphthyl] are prepared. These compds. have an excellent effect of suppressing the generation of collagen and less side effects. They are useful for preventing and/or treating fibrosis, in particular lung fibrosis and hepatic fibrosis, and glomerulosclerosis. Thus, 4-[5-(4-trifluoromethylbenzoylamino)pyridin-2-yloxy]benzoic acid was condensed with 1-benzylpiperazine to give compound (II). Collagen synthesis inhibitory activity was tested in human stellate cell line (LI90). For example, N-[6-[4-[4-[2-oxo-2-(4-piperonylpiperazin-1-yl)ethyl]piperidin-1-yl]phenoxy]pyridin-3-yl]-4-trifluoromethylbenzamide (III) showed IC50 of 0.0019 μ M in the above assay. A film coating tablet formulation containing III was prepared
- IT 875691-61-5P 875691-86-4P 875692-12-9P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of N-(2-phenoxy)pyridin-5-yl) benzamides as collagen synthesis inhibitors for preventing and/or treating fibrosis)
- RN 875691-61-5 CAPLUS
- CN Acetamide, N-[5-[[[6-[4-[[[2-[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]-2-oxoethyl]methylamino]phenoxy]-3-pyridinyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)

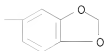
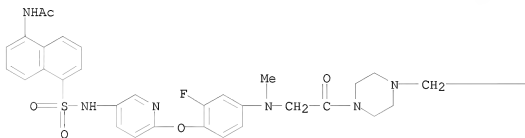
PAGE 1-A





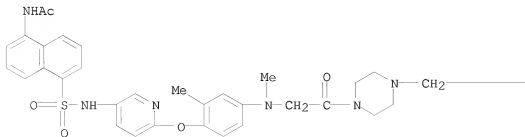
RN 875691-86-4 CAPLUS

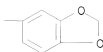
CN Acetamide, N-[5-[[[6-[4-[[2-[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]-2-oxoethyl]methylamino]-2-fluorophenoxy]-3-pyridinyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



RN 875692-12-9 CAPLUS

CN Acetamide, N-[5-[[[6-[4-[[2-[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]-2-oxoethyl]methylamino]-2-methylphenoxy]-3-pyridinyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)





L8 ANSWER 3 OF 64 CAPLUS COPYRIGHT 2008 ACS ON STN

ACCESSION NUMBER: 2007:939063 CAPLUS

DOCUMENT NUMBER: 147:439509

TITLE: QSAR analysis of caffeoyl naphthalene sulfonamide derivatives as HIV-1 integrase inhibitors

AUTHOR(S): Sahu, Kamlesh Kumar; Ravichandran, Veerasamy; Mourya, Vishnu Kant; Agrawal, Ram Kishore

CORPORATE SOURCE: Department of Pharmaceutical Sciences, Dr. H. S. Gour University, Sagar, India

SOURCE: Medicinal Chemistry Research (2007), 15(7/8), 418-430
CODEN: MCREEB; ISSN: 1054-2523

PUBLISHER: Birkhaeuser Boston

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Human immunodeficiency virus type 1 (HIV-1) integrase is a potential target for anti-HIV therapy. It is an essential enzyme required for replication of the acquired immunodeficiency syndrome (AIDS) virus. Caffeoyl naphthalene sulfonamide derivs. act against HIV integrase and thus have the potential to become a part of an anti-HIV drug regimen. Although caffeoyl naphthalene sulfonamide derivs. have all the features required of good anti-HIV agents such as the presence of bis-catechol moieties, polyarom. rings, and a central linker, they do not perform well as anti-HIV agents in cell-based assays, i.e., they do not stop viral replication at nontoxic concentration. We carried out a quant. structure-activity

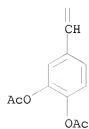
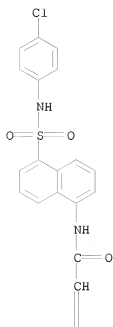
relationship (QSAR) study of caffeoyl naphthalene sulfonamide derivs. via the software WIN Cache 6.1 and STATISTICA to improve its activity. QSAR reveals that if partition coefficient, connectivity index, and shape index of these mols. are altered, the activity is likely to increase. On the basis of the QSAR model, we designed a new series of compds., calculated the activities, and found that they were more potent than the existing compds.

IT 648899-12-1 952199-29-0 952199-31-4
952199-33-6 952199-35-8 952199-37-0
952199-39-2 952199-42-7 952199-45-0
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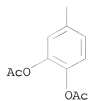
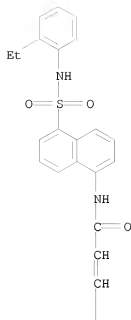
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(QSAR anal. of caffeoyl naphthalene sulfonamide derivs. as HIV-1 integrase inhibitors)

RN 648899-12-1 CAPLUS

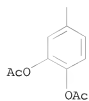
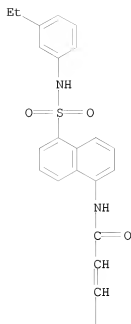
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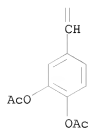
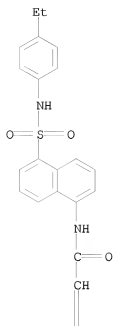
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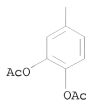
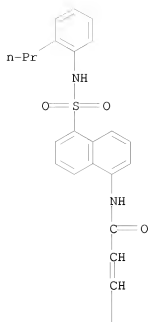
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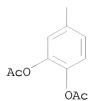
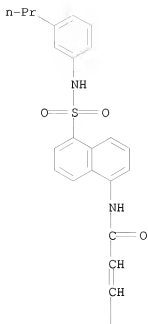
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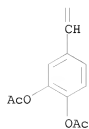
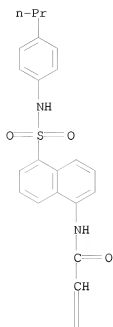
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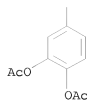
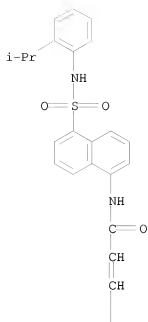
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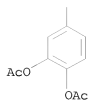
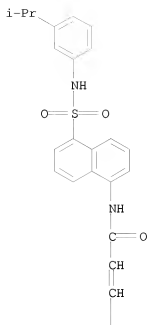
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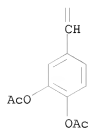
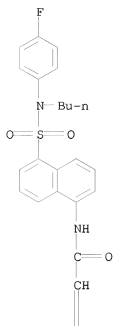
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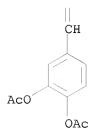
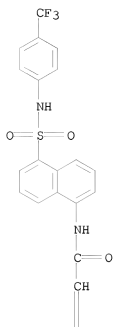
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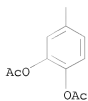
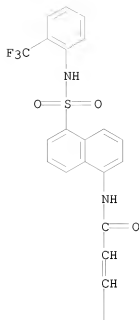
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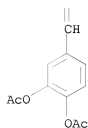
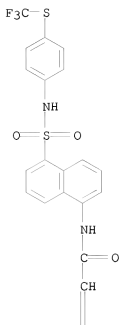
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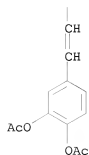
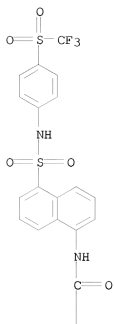
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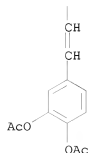
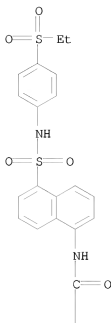
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RN 952199-77-8 CAPLUS
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 INDEX NAME)



RN 952199-86-9 CAPLUS
 CN 2-Propenamide, 3-[3,4-bis(acetyloxy)phenyl]-N-[5-[[[4-(
 (ethylsulfonyl)phenyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:672760 CAPLUS

DOCUMENT NUMBER: 147:64480

TITLE: Computer-implemented method for aligning flexible molecules by performing ensemble alignment in the internal coordinate space followed by rigid body alignment in cartesian space, and use for pharmacophoric search queries

INVENTOR(S): Clark, Robert D.; Abrahamian, Edmond; Strizhev, Alexander

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 17pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

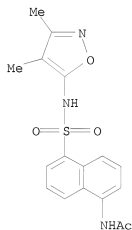
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070143030	A1	20070621	US 2006-495996	20060727
PRIORITY APPLN. INFO.:			US 2005-702816P	P 20050727

AB The invention discloses a method that overcomes the problem in the prior art of requiring the use of a template or base mol. in order to compare the three-dimensional configurations of mols. The disclosed method teaches that the requirement for a template can be eliminated by handling the components of configuration-conformation vs. rotation and translation in three-dimensional space-sep. The internal coordinate (torsional) space is explored first using a multi-objective genetic algorithm to minimize strain while maximizing steric and pharmacophoric concordance. Optimal overlays in Cartesian space are then obtained by applying a 3D hypermol. construction method that makes use of linear assignment to identify optimal feature correspondences between ligands.

IT 153042-43-4 153457-90-0
 RL: PRP (Properties)
 (computer-implemented method for aligning flexible mols., and use for pharmacophoric search queries)

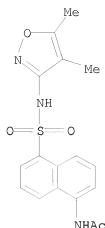
RN 153042-43-4 CAPLUS

CN Acetamide, N-[5-[[[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



RN 153457-90-0 CAPLUS

CN Acetamide, N-[5-[[[(4,5-dimethyl-3-isoxazolyl)amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



L8 ANSWER 5 OF 64 CAPLUS COPYRIGHT 2008 ACS ON STN

ACCESSION NUMBER: 2007:644412 CAPLUS

DOCUMENT NUMBER: 147:72807

TITLE: Preparation of N-(2-phenoxypyridin-5-yl) benzamides and their analogs for treating cancer

INVENTOR(S): Matsuyama, Hironori; Ohnishi, Kenji; Nakagawa, Takashi; Takasu, Hideki; Sakamoto, Makoto; Higuchi, Kumi; Miyajima, Keisuke; Yamada, Satoshi; Motoyama, Masaaki; Kojima, Yutaka; Yasumura, Koichi; Kodama, Takeshi; Otsuji, Shun; Kan, Keizo; Sumida, Takumi
Otsuka Pharmaceutical Co., Ltd., Japan

PATENT ASSIGNEE(S):
SOURCE: PCT Int. Appl., 1110pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

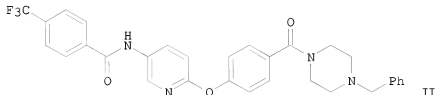
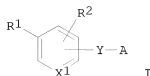
LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007066784	A2	20070614	WO 2006-JP324610	20061204
WO 2007066784	A3	20071025		
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AU 2006323700	A1	20070614	AU 2006-323700	20061204
CA 2630468	A1	20070614	CA 2006-2630468	20061204
JP 2007182433	A	20070719	JP 2006-327612	20061204
EP 1957073	A2	20080820	EP 2006-834365	20061204
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MX 200806849	A	20080611	MX 2008-6849	20080528
KR 2008070054	A	20080729	KR 2008-713451	20080604
PRIORITY APPLN. INFO.:				
			JP 2005-351255	A 20051205
			WO 2006-JP24610	W 20061204



AB The title compds. I [X1 = N, CH; R1 = ZR6 (wherein Z = CO, CH(OH), etc.; R6 = 5-15 membered monocyclic, dicyclic, or tricyclic, saturated or unsat. heterocyclic group having 1-4 N atoms, O atoms, or S atoms); R2 = H, halo or alkyl; Y = O, CO, CH(OH), alkylene, etc.; A = (un)substituted Ph, naphthyl], useful as antitumor agents, were prepared and formulated. Thus, reacting 4-[5-(4-trifluoromethylbenzoylamino)pyridin-2-yl]oxy]benzoic acid with 1-benzylpiperazine afforded II. Compds. I were tested for anti-cancer effect on cancer cells (data given for representative compds. I).

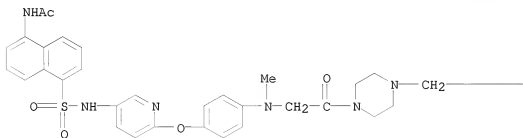
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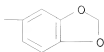
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-(2-phenoxy pyridin-5-yl) benzamides for treating cancer)

RN 875691-61-5 CAPLUS

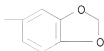
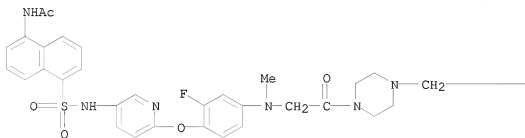
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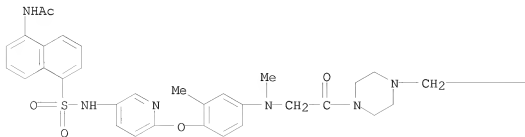
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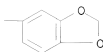
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RN 875692-12-9 CAPLUS

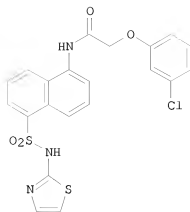
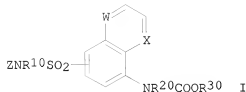
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L8 ANSWER 6 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:282668 CAPLUS
 DOCUMENT NUMBER: 146:316905
 TITLE: Preparation of bicyclic sulfonamides as modulators of voltage gated ion channels
 INVENTOR(S): Neubert, Timothy; Zimmermann, Nicole; Kawatkar, Artis; Martinborough, Esther; Termin, Andreas
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA
 SOURCE: PCT Int. Appl., 90pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007030618	A2	20070315	WO 2006-US34857	20060908
WO 2007030618	A3	20070531		
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NO 2008001725	A	20080609	NO 2008-1725	20080409
CN 101300253	A	20081105	CN 2006-80040600	20080429
PRIORITY APPLN. INFO.:			US 2005-715980P	P 20050909
			WO 2006-US34857	W 20060908
OTHER SOURCE(S):	MARPAT 146:316905			
GI				



AB Title compds. [I; 1 of X, W = N, CH, the other = CH; Z = (substituted) 5-7 membered unsatd. or aromatic ring having ≥ 1 O, S, N, NH; Q = bond, alkylene optionally interrupted by CO, CS, COCO, CO₂, NR₂CO, NR₂SO₂, NR₂CONR₂, spirocycloalkylene, etc.; R₂, R₁₀, R₂₀ = H, (substituted) aliphatic; R₃₀ = (substituted) aliphatic, (hetero)cyclyl, bicycyl; the ring containing W and X may be addnl. substituted], were prepared Thus, title compound (II) (preparation outlined) showed NaV channel-inhibiting activity

with

IC₅₀ <5 μ M.

IT 928825-72-3P 928825-76-7P 928825-81-4P

928825-82-5P 928825-85-8P

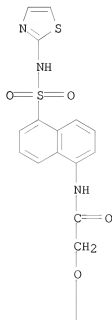
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of bicyclic sulfonamides as modulators of voltage-gated ion channels)

RN 928825-72-3 CAPLUS

CN Acetamide, 2-(3-chlorophenoxy)-N-[5-[(2-thiazolylamino)sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)

PAGE 1-A

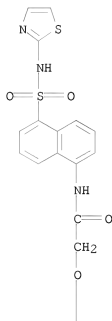


PAGE 2-A



RN 928825-76-7 CAPLUS
CN Acetamide, 2-(4-chlorophenoxy)-N-[5-[(2-thiazolylamino)sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)

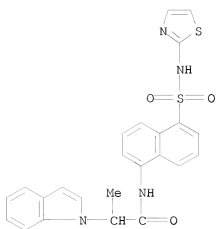
PAGE 1-A



PAGE 2-A



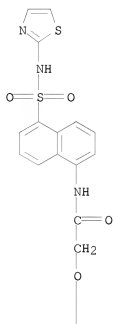
RN 928825-81-4 CAPLUS
CN 1H-Indole-1-acetamide, α -methyl-N-[5-[(2-thiazolylamino)sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



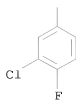
RN 928825-82-5 CAPLUS

CN Acetamide, 2-(3-chloro-4-fluorophenoxy)-N-[5-[(2-thiazolylamino)sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)

PAGE 1-A

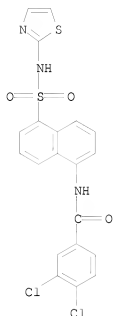


PAGE 2-A

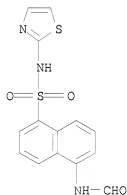


RN 928825-85-8 CAPLUS

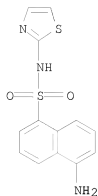
CN Benzamide, 3,4-dichloro-N-[5-[(2-thiazolylamino)sulfonyl]-1-naphthalenyl]-
(CA INDEX NAME)



IT 928825-88-1P 928825-89-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of bicyclic sulfonamides as modulators of voltage-gated ion
 channels)
 RN 928825-88-1 CAPLUS
 CN Formamide, N-[5-[(2-thiazolylamino)sulfonyl]-1-naphthalenyl]- (CA INDEX
 NAME)



RN 928825-89-2 CAPLUS
 CN 1-Naphthalenesulfonamide, 5-amino-N-2-thiazolyl- (CA INDEX NAME)



L8 ANSWER 7 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:227958 CAPLUS
DOCUMENT NUMBER: 146:295771
TITLE: New 1-aryl- and 1-heteroarylsulfonyl-1H-indole-2-alkanoic acid derivatives, their preparation and use as PPAR activators
INVENTOR(S): Binet, Jean; Boubia, Benaissa; Dodey, Pierre; Legendre, Christiane; Barth, Martine
PATENT ASSIGNEE(S): Laboratoires Fournier S. A., Fr.
SOURCE: Fr. Demande, 139pp.
CODEN: FRXXBL

DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2890071	A1	20070302	FR 2005-8858	20050830
FR 2890071	B1	20071109		
AU 2006286430	A1	20070308	AU 2006-286430	20060829
CA 2620658	A1	20070308	CA 2006-2620658	20060829
WO 2007026097	A1	20070308	WO 2006-FR50818	20060829
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1919869	A1	20080514	EP 2006-808258	20060829
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
NO 2008000595	A	20080327	NO 2008-595	20080201
IN 2008DN01023	A	20080620	IN 2008-DN1023	20080206
KR 2008049020	A	20080603	KR 2008-704317	20080222
CN 101248044	A	20080820	CN 2006-80031158	20080226
MX 200802969	A	20080409	MX 2008-2969	20080228
US 20080153816	A1	20080626	US 2008-39324	20080228

PRIORITY APPLN. INFO.:

FR 2005-8858

A 20050830

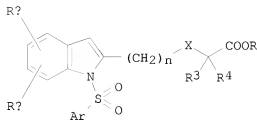
WO 2006-FR50818

W 20060829

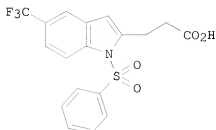
OTHER SOURCE(S):

CASREACT 146:295771; MARPAT 146:295771

GI

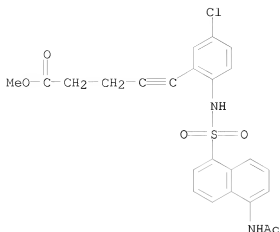


I



II

- AB Title compds. I [Ra, Rb = independently H, halo, alkyl, CF₃, CN, etc.; R₃, R₄ = independently H, C₁-C₄-alkyl; R = H, C₁-C₃-alkyl; n = 1-3; X = a bond or O; Ar = (un)substituted aryl or heteroaryl selected from Ph, naphthyl, quinolinyl, thiazolyl, 2,1,3-benzothiadiazolyl, 1,3-benzodioxolyl, etc.; and their pharmaceutically acceptable salts] were prepared as PPAR activators. Thus, Sonogashira coupling of 2-iodo-4-(trifluoromethyl)aniline with Me 4-pentynoate, cyclization in 1,2-dichloroethane in the presence of cupric chloride, treatment of indole with NaH, addition of benzenesulfonyl chloride to the resulting mixture, and saponification gave indole II (m.p. = 170-172°). I, at concns. of 3+10-10-1+10-4 M, induced luciferase activity via PPARα-Gal4, PPARδ-Gal4, and PPARγ-Gal4 with a factor of induction ≤154%, ≤127%, and ≤100%, resp. II showed a 30% decrease in glucose, a 12% decrease in triglycerides, and a 41% increase in the total cholesterol after daily administration of 30 mg/kg for 5 days. I are useful for treating hypertriglyceridemia, hyperlipidemia, hypercholesterolemia, diabetes, endothelial dysfunction (no data), and inflammatory and neurodegenerative diseases (no data).
- IT 927962-82-1P, 5-[2-[[[5-(Acetylamino)-1-naphthalenyl]sulfonyl]amino]-5-chlorophenyl]-4-pentynoic acid methyl ester
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of indoles as PPAR activators)
- RN 927962-82-1 CAPLUS
- CN 4-Pentynoic acid, 5-[2-[[[5-(acetylamino)-1-naphthalenyl]sulfonyl]amino]-5-chlorophenyl]-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:52451 CAPLUS

DOCUMENT NUMBER: 146:287653

TITLE: Design, Synthesis, and Evaluation of

Naphthalene-Sulfonamide Antagonists of Human CCR8
 AUTHOR(S): Jenkins, Tracy J.; Guan, Bing; Dai, Mingshi; Li, Gang; Lightburn, Thomas E.; Huang, Shan; Freeze, B. Scott; Burdi, Douglas F.; Jacutin-Porte, Swanee; Bennett, Robert; Chen, Weirong; Minor, Charles; Ghosh, Shomir; Blackburn, Christopher; Gigstad, Kenneth M.; Jones, Matthew; Kolbeck, Roland; Yin, Wei; Smith, Sean; Cardillo, Daniel; Ocain, Timothy D.; Harriman, Geraldine C.

CORPORATE SOURCE: Department of Medicinal Chemistry, Department of Pharmacology and Drug Safety and Disposition, Millennium Pharmaceuticals, Cambridge, MA, 02139, USA
 SOURCE: Journal of Medicinal Chemistry (2007), 50(3), 566-584
 CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 146:287653

AB The design, synthesis, and structure-activity relationship development of naphthalene-derived human CCR8 antagonists is described. In vitro binding assay results of these investigations are reported, critical interactions of the antagonists with CCR8 are defined, and preliminary physicochem. and pharmacokinetic data for the naphthalene scaffold are presented.

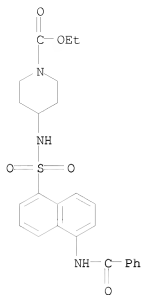
IT 723304-37-8P

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of naphthalene-derived antagonists of human CCR8)

RN 723304-37-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[5-(benzoylamino)-1-naphthalenyl]sulfonyl]amino]-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:944402 CAPLUS

DOCUMENT NUMBER: 145:336062

TITLE: Preparation of arenesulfonamides and heterocyclic sulfonamides as inhibitors of 11β -hydroxysteroid dehydrogenase type 1 (11β -HSD1)

INVENTOR(S): Egashira, Hiromu; Nishiyama, Eiji

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 94pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

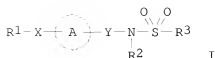
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006095822	A1	20060914	WO 2006-JP304623	20060309
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW</p> <p>RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM</p>				

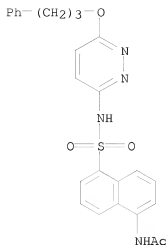
PRIORITY APPLN. INFO.: JP 2005-69738 A 20050311

OTHER SOURCE(S): MARPAT 145:336062

GI



- AB The title compds. [I; ring A = (un)substituted cyclic group; X, Y = a single bond, a spacer having 1-8 atoms in the main chain; R¹, R², R³ = U, each (un)substituted cyclic group or hydrocarbon group; or substituent on the spacer Y having 1-8 atoms in the main chain, R², and atoms to which they are bonded may form an (un)substituted N-containing heterocyclic ring], their salts or solvates, or prodrugs thereof are prepared Compds. of the general formula: (wherein all the characters have the same meanings as defined in the description), their salts or hydrates and prodrugs thereof. These compds. have an 11 β -HSD1 inhibiting potency and thus are useful in the prevention and/or treatment of diseases attributed to overprodn. of adrenocortical hormone, for example, metabolic diseases (for example, diabetes mellitus (e.g., type II diabetes mellitus, etc.), impaired glucose tolerance, hyperglycemia, insulin resistance, elevated levels of insulin in the plasma, lipid metabolism abnormality, fatty liver, dyslipidemia, hyperlipemia, hypertriglyceridemia, hyper-LDL-cholesterolemia, hypo-HDL-cholesterolemia, obesity, atherosclerosis, syndrome X, metabolic syndrome, Cushing's syndrome, osteoporosis, etc.), hypertension, receptive defect, memory disorder, depression, anxiety, dementia, Alzheimer disease, glaucoma, immunol. disease, etc. Thus, a solution of 770 mg 3-methylbenzenesulfonamide and 445 mg 3,6-dichloropyridazine in 3 mL DMSO was treated with 1.25 g K₂CO₃, and stirred at 120° for 3.5 h to give 696 mg N-(6-chloro-pyridazin-3-yl)-3-methylbenzenesulfonamide (II). A solution of 98 mg 3-phenyl-1-propanol in 1 mL dioxane was treated with 163 mg potassium tert-butoxide, treated with a solution of 170 mg II in 1 mL dioxane, and stirred at 100° for 1.5 h to give 149 mg 3-methyl-N-[6-(3-phenylpropoxy)pyridazin-3-yl]benzenesulfonamide (III). III showed IC₅₀ of 250 nM against human 11 β -HSD1. A tablet and an ampule formulation containing 3-Methyl-N-[6-(3-phenylpropoxy)pyridazin-3-yl]benzenesulfonamide were described.
- IT 909424-10-8P, N-[5-[[[6-(3-Phenylpropoxy)-3-pyridazinyl]amino]sulfonyl]-1-naphthyl]acetamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of arenesulfonamides and heterocyclic sulfonamides as inhibitors of 11 β -hydroxysteroid dehydrogenase type 1 (11 β -HSD1))
- RN 909424-10-8 CAPLUS
- CN Acetamide, N-[5-[[[6-(3-phenylpropoxy)-3-pyridazinyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 10 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:167199 CAPLUS

DOCUMENT NUMBER: 144:232930

TITLE: Preparation of
N-[3-(3,4-dihydro-1H-isoquinolin-2-yl)propyl]
sulfonamides as 5-HT₇ receptor antagonists

INVENTOR(S): Torrens Jover, Antoni; Mas Prio, Josep; Yenes Minguez, Susana; Garcia Lopez, Monica; Dordal Zuera, Alberto;

PATENT ASSIGNEE(S): Romero Alonso, Luz; Buschmann, Helmut H.

SOURCE: Laboratorios del Dr. Esteve, S. A., Spain

PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

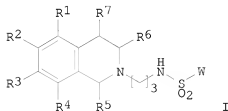
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006018309	A1	20060223	WO 2005-EP8979	20050818
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20060040977	A1	20060223	US 2004-920671	20040818
US 7211584	B2	20070501		
EP 1630159	A1	20060301	EP 2004-380172	20040818
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
ES 2257168	A1	20060716	ES 2004-2050	20040818
ES 2257168	B1	20070601		
AU 2005274261	A1	20060223	AU 2005-274261	20050818
CA 2575785	A1	20060223	CA 2005-2575785	20050818

EP 1778641	A1	20070502	EP 2005-774634	20050818
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				
IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101014573	A	20070808	CN 2005-80027841	20050818
JP 2008509962	T	20080403	JP 2007-526394	20050818
BR 2005014463	A	20080610	BR 2005-14463	20050818
IN 2007KN00414	A	20070706	IN 2007-KN414	20070205
MX 200701992	A	20070515	MX 2007-1992	20070216
KR 2007046879	A	20070503	KR 2007-704113	20070221
PRIORITY APPLN. INFO.:			EP 2004-380172	A 20040818
			ES 2004-2050	A 20040818
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			WO 2005-EP8979	W 20050818

OTHER SOURCE(S): CASREACT 144:232930; MARPAT 144:232930

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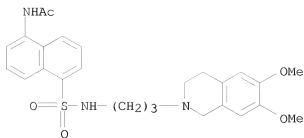


AB The title compds. I [W = (un)substituted alkyl, cycloalkyl, aryl, etc.; R1-R7 = H, (un)substituted alkyl, cycloalkyl, aryl, etc.; and their pharmaceutically acceptable salts], useful for the treatment and or prophylaxis of a disease in which 5-HT7 is involved, such as CNS disorders, were prepared E.g., a multi-step synthesis of I [W = 5-chloro-2,4-difluorophenyl; R1, R4-R7 = H; R2, R3 = OMe], starting from 6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline hydrochloride and N-(3-bromopropyl)phthalimide, was given. Compds. I were tested against human 5-HT7 receptor binding (data are given for representative compds. I). Pharmaceutical compns. comprising compds. I and processes of their preparation are disclosed.

IT 876751-30-3P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of N-[3-(3,4-dihydro-1H-isoquinolin-2-yl)propyl] sulfonamides as 5-HT7 receptor antagonists)

RN 876751-30-3 CAPLUS

CN Acetamide, N-[5-[[[3-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)propyl]amino]sulfonyl]-1-naphthalenyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:164685 CAPLUS

DOCUMENT NUMBER: 144:232929

TITLE: Preparation of
N-[(3,4-dihydro-1H-isoquinolin-2-yl)alkyl]
sulfonamides as 5-HT₇ receptor antagonists

INVENTOR(S): Torrens Jover, Antoni; Mas Prio, Josep; Yenes Minguez, Susana; Garcia Lopez, Monica; Dordal Zuera, Alberto; Romero Alonso, Luz; Buschmann, Helmut H.

PATENT ASSIGNEE(S): Laboratorios del Dr. Esteve, S. A., Spain

SOURCE: PCT Int. Appl., 110 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

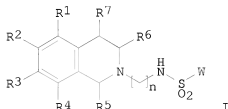
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006018308	A1	20060223	WO 2005-EP8978	20050818
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20060040978	A1	20060223	US 2004-920738	20040818
US 7211585	B2	20070501		
EP 1630158	A1	20060301	EP 2004-380171	20040818
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
ES 2257167	A1	20060716	ES 2004-2049	20040818
ES 2257167	B1	20070601		
AU 2005274260	A1	20060223	AU 2005-274260	20050818
CA 2575771	A1	20060223	CA 2005-2575771	20050818
EP 1778642	A1	20070502	EP 2005-787187	20050818
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CN 101010301	A	20070801	CN 2005-80027847	20050818
JP 2008509961	T	20080403	JP 2007-526393	20050818
BR 2005014467	A	20080610	BR 2005-14467	20050818
IN 2007KN00533	A	20070706	IN 2007-KN533	20070213
MX 200701990	A	20070510	MX 2007-1990	20070216
KR 2007046878	A	20070503	KR 2007-704111	20070221
PRIORITY APPLN. INFO.:			EP 2004-380171	A 20040818
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OTHER SOURCE(S): MARPAT 144:232929
GI

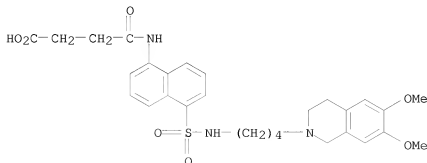


AB The title compds. I [W = (un)substituted alkyl, cycloalkyl, aryl, etc.; R1-R7 = H, (un)substituted alkyl, cycloalkyl, aryl, etc.; n = 4-6; and their pharmaceutically acceptable salts], useful for the treatment and or prophylaxis of a disease in which 5-HT7 is involved, such as CNS disorders, were prepared. E.g., a multi-step synthesis of I.HCl [W = naphthalen-1-yl; R1-R7 = H; n = 4], starting from 1,2,3,4-tetrahydroisoquinoline, was given. Compds. I were tested against human 5-HT7 receptor binding (data are given for representative compds. I). Pharmaceutical compns. comprising compds. I and processes of their preparation are disclosed.

IT 876615-83-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-[(3,4-dihydro-1H-isoquinolin-2-yl)alkyl] sulfonamides as 5-HT7 receptor antagonists)

RN 876615-83-7 CAPLUS

CN Butanoic acid, 4-[[5-[[[4-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)butyl]amino]sulfonyl]-1-naphthalenyl]amino]-4-oxo- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 12 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:117353 CAPLUS

DOCUMENT NUMBER: 144:212803

TITLE: Preparation of aromatic compounds such as N-(2-phenoxyppyridin-5-yl) benzamides for treating fibrosis

INVENTOR(S): Fukushima, Tae; Matsumura, Shuji; Takemura, Noriaki; Satou, Hideaki; Ito, Nobuaki; Shitsuta, Takuya; Tsutsui, Hironori; Tanaka, Michinori; Kan, Keizo; Nagao, Hitoshi; Watanabe, Kenji; Tai, Kuninori; Nakagawa, Takashi; Takasu, Hideki; Sakamoto, Makoto; Miyajima, Keisuke; Yamada, Satoshi; Kojima, Yutaka; Yasumura, Koichi; Ohi, Naoto; Okuno, Mitsuhiro; Sugiyama, Kazuhisa; Kiyono, Kunihiko; Suzuki, Takashi; Akamatsu, Seiji; Kodama, Takeshi; Yanagihara, Yasuo; Sumida, Takumi

PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 1055 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

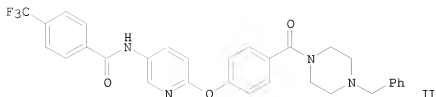
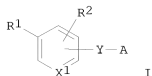
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006014012	A2	20060209	WO 2005-JP14611	20050803
WO 2006014012	A3	20061207		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, AG, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2005268030	A1	20060209	AU 2005-268030	20050803
CA 2573223	A1	20060209	CA 2005-2573223	20050803
EP 1773797	A2	20070418	EP 2005-780290	20050803
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU			
CN 1993339	A	20070704	CN 2005-80026696	20050803
BR 2005014150	A	20071127	BR 2005-14150	20050803
JP 2006298893	A	20061102	JP 2005-229066	20050808
JP 4154613	B2	20080924		
IN 2007KN00107	A	20070629	IN 2007-KN107	20070109
MX 200701215	A	20070417	MX 2007-1215	20070130
KR 2007103351	A	20071023	KR 2007-702786	20070202
US 20070270422	A1	20071122	US 2007-659689	20070206
JP 2008133278	A	20080612	JP 2007-300664	20071120
PRIORITY APPLN. INFO.:			JP 2004-230092	A 20040806
			JP 2005-90149	A 20050325
			WO 2005-JP14611	W 20050803
			JP 2005-229066	A3 20050808

OTHER SOURCE(S): MARPAT 144:212803

GI



AB The title compds. I [X¹ = N, CH; R¹ = ZR⁶ (wherein Z = CO, CH(OH), etc.; R⁶ = 5-15 membered monocyclic, dicyclic, or tricyclic, saturated or unsatd. heterocyclic group having 1-4 N atoms, O atoms, or S atoms); R² = H, halo or alkyl; Y = O, CO, CH(OH), alkylene, etc.; A = (un)substituted Ph, naphthyl], which have an excellent effect of suppressing the generation of collagen and less side effects, with being excellent in terms of safety, were prepared and formulated. Thus, reacting 4-[5-(4-trifluoromethylbenzoylamino)pyridin-2-yloxy]benzoic acid with 1-benzylpiperazine afforded II. Collagen synthesis inhibitory activity was tested in LI90 cells, a human stellate cell line (data given for representative compds. I).

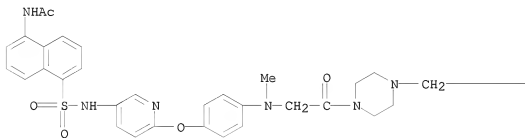
IT 875691-61-5P 875691-86-4P 875692-12-9P

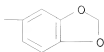
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

RN (preparation of N-(2-phenoxy-pyridin-5-yl) benzamides for treating fibrosis) 875691-61-5 CAPLUS

CN Acetamide, N-[5-[[[6-[4-[[2-[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]-2-oxoethyl]methylamino]phenoxy]-3-pyridinyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)

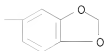
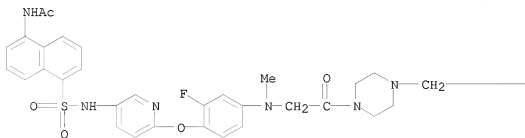
PAGE 1-A





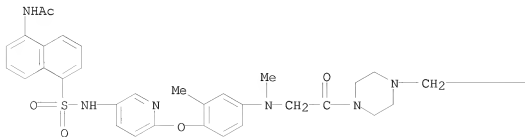
RN 875691-86-4 CAPLUS

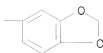
CN Acetamide, N-[5-[[[6-[4-[[2-[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]-2-oxoethyl]methylamino]-2-fluorophenoxy]-3-pyridinyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



RN 875692-12-9 CAPLUS

CN Acetamide, N-[5-[[[6-[4-[[2-[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]-2-oxoethyl]methylamino]-2-methylphenoxy]-3-pyridinyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)





L8 ANSWER 13 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:18189 CAPLUS

DOCUMENT NUMBER: 145:418766

TITLE: Synthesis and HIV integrase inhibitory activity of caffeic acid derivatives

AUTHOR(S): Zhao, Guisen; Zang, Hengchang; Wang, Xiaobing; Xu, Yuwen; Yuan, Yumei

CORPORATE SOURCE: College of Pharmacy, Shandong University, Jinan, Shandong Province, 250012, Peop. Rep. China

SOURCE: Zhongguo Yaowu Huaxue Zazhi (2005), 15(2), 70-75
CODEN: ZYHZEJ; ISSN: 1005-0108

PUBLISHER: Zhongguo Yaowu Huaxue Zazhi Bianjibu

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

OTHER SOURCE(S): CASREACT 145:418766

AB A series of N-substituted caffeic acid-naphthalenesulfonamide derivs. were synthesized by six-step reactions. HIV-1 integrase inhibitory assay of these compds. was carried out by 32P-marked expts. in vitro. The compds. thus prepared included derivs. of 3-[3,4-bis(acetyloxy)phenyl]-N-[5-[(phenylamino)sulfonyl]-1-naphthalenyl]-2-propenamide and 3-(3,4-dihydroxyphenyl)-N-[5-[(phenylamino)sulfonyl]-1-naphthalenyl]-2-propenamide. Twenty caffeic acid derivs. were synthesized and confirmed by IR, 1H-NMR and MS. Among these compds., the HIV-1 integrase inhibitory activity of three compds. was more potent than that of L-chicoric acid (IC50=11.8 µg·mL⁻¹). N-substituted caffeic acid-naphthalenesulfonamide derivs. show remarkable HIV-1 integrase inhibitory activities, and further research is underway.

IT 648899-18-7P 648899-19-8P 648899-20-1P
648899-21-2P 648899-22-3P 648899-23-4P
648899-24-5P 648899-25-6P 648899-26-7P
648899-27-8P

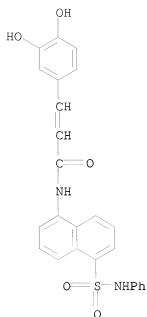
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of (dihydroxyphenyl)-N-

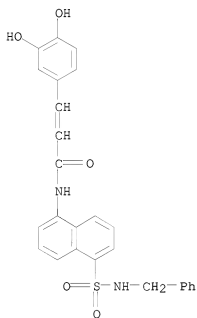
[[[(phenylamino)sulfonyl]naphthalenyl]propenamide (caffeic acid) derivs. and study of their activity as HIV integrase inhibitors)

RN 648899-18-7 CAPLUS

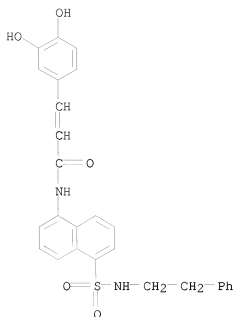
CN 2-Propenamide, 3-(3,4-dihydroxyphenyl)-N-[5-[(phenylamino)sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



RN 648899-19-8 CAPLUS
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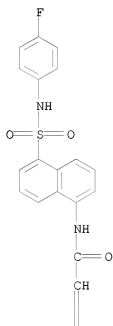


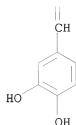
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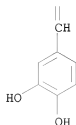
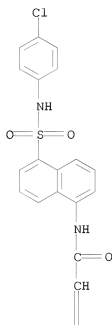
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PAGE 1-A

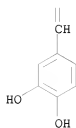
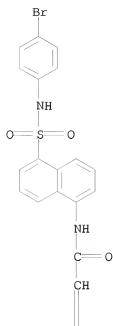




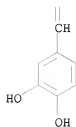
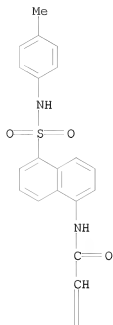
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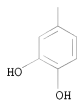
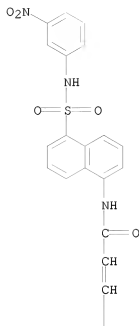
RN 648899-23-4 CAPLUS
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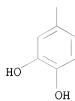
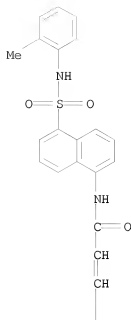
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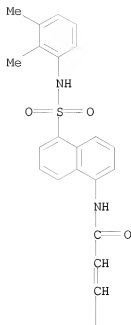
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RN 648899-26-7 CAPLUS
 CN 2-Propenamide, 3-(3,4-dihydroxyphenyl)-N-[(2-methylphenyl)amino]sulfonyl-1-naphthalenyl- (CA INDEX NAME)



RN 648899-27-8 CAPLUS
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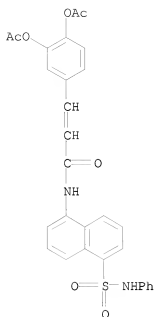


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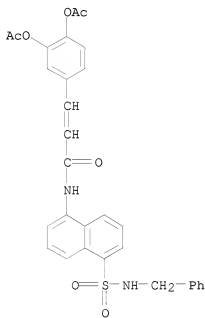
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of [bis(acetyloxy)phenyl]-N-
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 and study of their activity as HIV integrase inhibitors)

RN 648899-08-5 CAPLUS

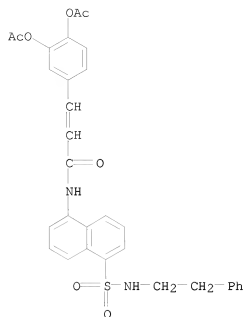
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RN 648899-09-6 CAPLUS
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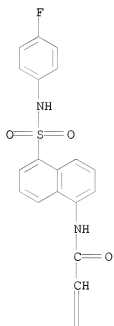


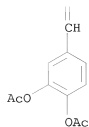
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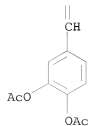
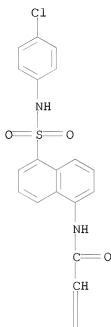
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PAGE 1-A

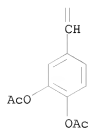
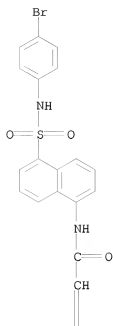




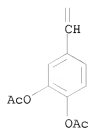
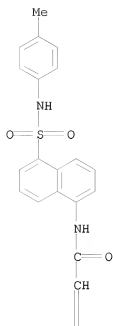
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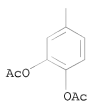
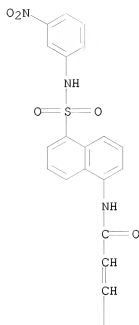
RN 648899-13-2 CAPLUS
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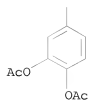
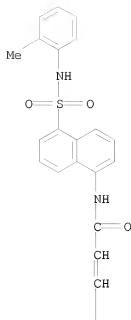
RN 648899-14-3 CAPLUS
 CN 2-Propenamide, 3-[[[3,4-bis(acetyloxy)phenyl]amino]sulfonyl]-1-naphthalenyl- (CA INDEX NAME)



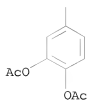
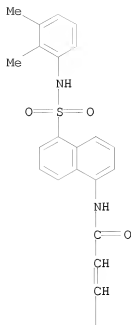
RN 648899-15-4 CAPLUS
 CN 2-Propenamide, 3-[[3,4-bis(acetyloxy)phenyl]-N-[5-[[[(3-nitrophenyl)amino]sulfonyl]-1-naphthalenyl]]- (CA INDEX NAME)



RN 648899-16-5 CAPLUS
 CN 2-Propenamide, 3-[3,4-bis(acetyloxy)phenyl]-N-[[5-[[2-methylphenyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



RN 648899-17-6 CAPLUS
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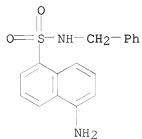


IT 147752-42-9P 648898-99-1P 648899-00-7P
 648899-01-8P 648899-02-9P 648899-03-0P
 648899-04-1P 648899-05-2P 648899-06-3P
 648899-07-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of caffeic acid derivs. and study of their activity as HIV
 integrase inhibitors)

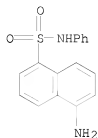
RN 147752-42-9 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(phenylmethyl)- (CA INDEX NAME)



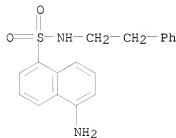
RN 648898-99-1 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-phenyl- (CA INDEX NAME)



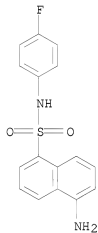
RN 648899-00-7 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(2-phenylethyl)- (CA INDEX NAME)



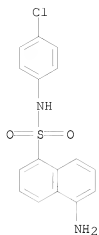
RN 648899-01-8 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(4-fluorophenyl)- (CA INDEX NAME)



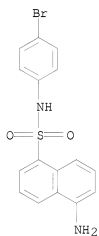
RN 648899-02-9 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(4-chlorophenyl)- (CA INDEX NAME)



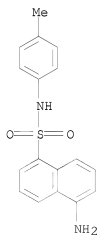
RN 648899-03-0 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(4-bromophenyl)- (CA INDEX NAME)



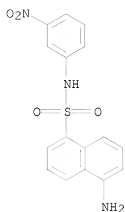
RN 648899-04-1 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(4-methylphenyl)- (CA INDEX NAME)



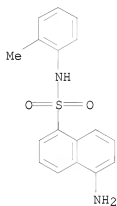
RN 648899-05-2 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(3-nitrophenyl)- (CA INDEX NAME)



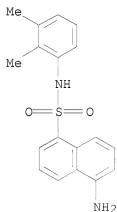
RN 648899-06-3 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(2-methylphenyl)- (CA INDEX NAME)



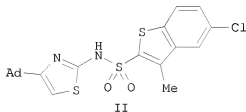
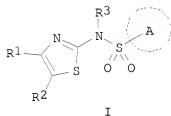
RN 648899-07-4 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(2,3-dimethylphenyl)- (CA INDEX NAME)



ACCESSION NUMBER: 2005:1126675 CAPLUS
 DOCUMENT NUMBER: 143:405898
 TITLE: Preparation of adamantyl thiazole derivatives as 11 β -HSD1 inhibitors
 INVENTOR(S): Fukushima, Hiroshi; Takahashi, Masato; Busujima, Tsuyoshi; Kawaguchi, Takanori
 PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 99 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

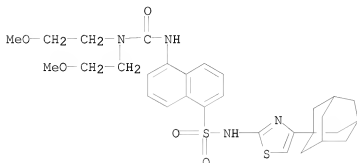
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005097764	A1	20051020	WO 2005-JP7106	20050406
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2007261945	A	20071011	JP 2004-113205	20040407
PRIORITY APPLN. INFO.:			JP 2004-113205	A 20040407
OTHER SOURCE(S):		MARPAT 143:405898		
GI				



AB Title compds. represented by the formula I [wherein R1 = hydroxy, halo or alkyl substituted adamantane-1-yl; R2 = H, alkoxycarbonyl, alkyl; R3 = H, alkyl, alkenyl or alkynyl; ring A = (un)substituted (hetero)aryl; and pharmaceutically acceptable salts thereof] were prepared as 11 β -HSD1 (11 β -hydroxysteroid dehydrogenase type 1) inhibitors. For example, reaction of 1-adamantyl bromomethyl ketone with thiourea to give 4-(1-adamantyl)-2-aminothiazole•HBr, followed by substitution with 5-chloro-3-methyl-benzo[b]thiophene-2-sulfonyl chloride provided II. II showed inhibition of 11 β -HSD1 with an IC50 value of 16 nM.
 IT 867016-03-3P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of N-(1-adamantyl)thiazolyl sulfonamide derivs. as 11 β -HSD1 inhibitors)

RN 867016-03-3 CAPLUS

CN 1-Naphthalenesulfonamide, 5-[[[bis(2-methoxyethyl)amino]carbonyl]amino]-N-(4-tricyclo[3.3.1.1^{3,7}]dec-1-yl-2-thiazolyl)- (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 15 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:977156 CAPLUS

DOCUMENT NUMBER: 145:27691

TITLE: Synthesis of 5-(N-substituted-amino)sulfonyl-1-naphthylamine

AUTHOR(S): Wang, Xiao-bing; Niu, Hua-ying; Zhao, Gui-sen
CORPORATE SOURCE: College of Pharmacy, Shandong University, Jinan, 250012, Peop. Rep. China

SOURCE: Huaxue Shiji (2005), 27(8), 449-450,458

CODEN: HUSHDR; ISSN: 0258-3283

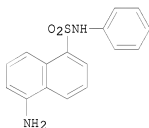
PUBLISHER: Huaxue Shiji Bianjibu

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

OTHER SOURCE(S): CASREACT 145:27691

GI



I

AB 5-(N-Substituted-amino)sulfonyl-1-naphthylamines, e.g. I, are important intermediates of naphthalenesulfonamide, a HIV integrate inhibitor. Ten compds. were synthesized by using 1-naphthaleneamide-5-sulfonic acid as the starting material. Their structures were confirmed by MS and IR.

IT 491580-07-5P 491580-08-6P 648899-28-9P

648899-29-0P 648899-30-3P 648899-31-4P

648899-32-5P 648899-33-6P 648899-34-7P

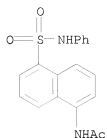
648899-35-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted aminosulfonyl naphthylamines from amino naphthalene sulfonic acid and amines)

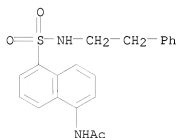
RN 491580-07-5 CAPLUS

CN Acetamide, N-[5-[(phenylamino)sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



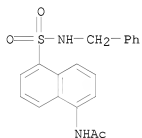
RN 491580-08-6 CAPLUS

CN Acetamide, N-[5-[(2-phenylethyl)amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



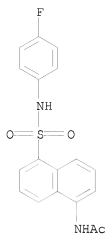
RN 648899-28-9 CAPLUS

CN Acetamide, N-[5-[(phenylmethyl)amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



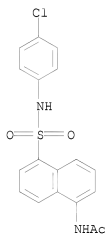
RN 648899-29-0 CAPLUS

CN Acetamide, N-[5-[(4-fluorophenyl)amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



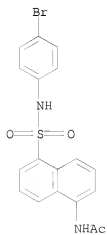
RN 648899-30-3 CAPLUS

CN Acetamide, N-[5-[[(4-chlorophenyl) amino]sulfonyl]-1-naphthalenyl]- (CA
INDEX NAME)



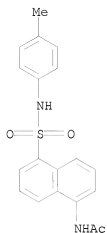
RN 648899-31-4 CAPLUS

CN Acetamide, N-[5-[[(4-bromophenyl) amino]sulfonyl]-1-naphthalenyl]- (CA
INDEX NAME)



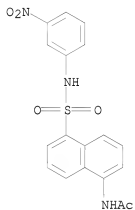
RN 648899-32-5 CAPLUS

CN Acetamide, N-[5-[[(4-methylphenyl)amino]sulfonyl]-1-naphthalenyl]- (CA
INDEX NAME)



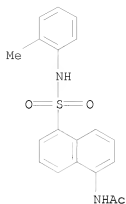
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CN Acetamide, N-[5-[[(3-nitrophenyl)amino]sulfonyl]-1-naphthalenyl]- (CA
INDEX NAME)

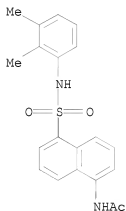


RN 648899-34-7 CAPLUS

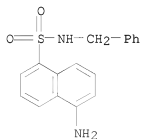
CN Acetamide, N-[5-[[(2-methylphenyl)amino]sulfonyl]-1-naphthalenyl]- (CA
INDEX NAME)



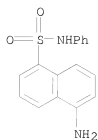
RN 648899-35-8 CAPLUS
 CN Acetamide, N-[5-[[(2,3-dimethylphenyl)amino]sulfonyl]-1-naphthalenyl]-
 (CA INDEX NAME)



IT 147752-42-9P 648898-99-1P 648899-00-7P
 648899-01-8P 648899-02-9P 648899-03-0P
 648899-04-1P 648899-05-2P 648899-06-3P
 648899-07-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of substituted aminosulfonyl naphthylamines from amino
 naphthalene sulfonic acid and amines)
 RN 147752-42-9 CAPLUS
 CN 1-Naphthalenesulfonamide, 5-amino-N-(phenylmethyl)- (CA INDEX NAME)

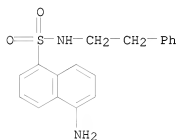


RN 648898-99-1 CAPLUS
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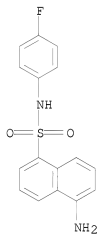
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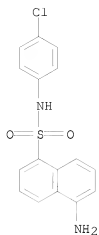
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CN 1-Naphthalenesulfonamide, 5-amino-N-(4-fluorophenyl)- (CA INDEX NAME)



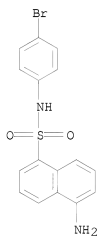
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CN 1-Naphthalenesulfonamide, 5-amino-N-(4-chlorophenyl)- (CA INDEX NAME)



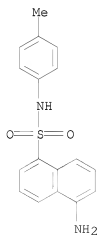
RN 648899-03-0 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(4-bromophenyl)- (CA INDEX NAME)



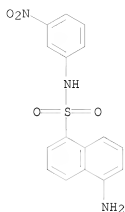
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CN 1-Naphthalenesulfonamide, 5-amino-N-(4-methylphenyl)- (CA INDEX NAME)



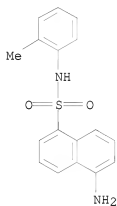
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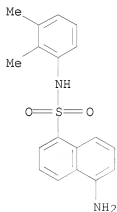
RN 648899-06-3 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(2-methylphenyl)- (CA INDEX NAME)



RN 648899-07-4 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(2,3-dimethylphenyl)- (CA INDEX NAME)

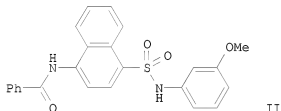


ACCESSION NUMBER: 2005:349010 CAPLUS
 DOCUMENT NUMBER: 142:411094
 TITLE: Preparation of aryl sulfonamides as inhibitors of the chemokine receptor CCR8 for the treatment of Th2- and eosinophil-mediated diseases
 INVENTOR(S): Dai, Mingshi; Guan, Bing; Bennett, Robert A.; Burdi, Douglas F.; Ghosh, Shomir; Li, Gang; Minor, Charles; Jenkins, Tracy J.
 PATENT ASSIGNEE(S): Millennium Pharmaceuticals, Inc., USA
 SOURCE: U.S. Pat. Appl. Publ., 215 pp., Cont.-in-part of U.S. Ser. No. 744,236.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050085518	A1	20050421	US 2004-891362	20040714
US 20040209948	A1	20041021	US 2003-744585	20031223
US 7378525	B2	20080527		
US 20040224978	A1	20041111	US 2003-744236	20031223
US 7329755	B2	20080212		

PRIORITY APPLN. INFO.:
 US 2002-436508P P 20021223
 US 2002-436537P P 20021223
 US 2003-744236 A2 20031223
 US 2003-744585 A2 20031223

OTHER SOURCE(S): MARPAT 142:411094
 GI



AB The title compds. R1(CH2)mXC(:Z)N(R2)X1ArS(:O)nNR3R4 (I) [Ar = (un)substituted bivalent six-membered aryl group fused to either a six-membered aromatic moiety or to a five- or six-membered nonarom. moiety; R1 = (un)substituted aromatic or nonarom. group; R2 = H, alkyl; R3 = H; R4 = (un)substituted aromatic, nonarom. ring or a bridged bicyclic ring; or NR3R4 = (un)substituted non-aromatic heterocyclyl; X = bond, O, NR5 (R5 = H, alkyl); X1 = bond, carbonyl, (un)substituted methylene; Z = O, NH, S; m = 0-3; n = 1-2] such as II are prepared as inhibitors of the chemokine receptor CCR8 for the treatment of diseases such as asthma, atopic or allergic contact dermatitis, allergic rhinitis, systemic anaphylaxis, rheumatoid arthritis, inflammatory bowel disease, or graft rejection which are mediated by either Th2 cells or eosinophils. Acylation of 4-amino-1-naphthalenesulfonic acid with benzoyl chloride, chlorination of the derived 4-(benzoylamino)-1-naphthalenesulfonic acid pyridine salt with thionyl chloride, and addition of m-anisidine yields II. Ki values for the binding of I to CCR8 are disclosed; for example, II binds to CCR8 with a Ki value of < 0.5 μ M.

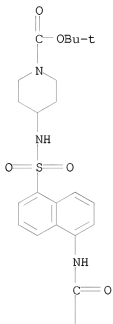
IT 1057138-95-0 1057139-28-2 1057139-35-1
 1057139-36-2
 RL: PRPH (Prophetic)

(Preparation of aryl sulfonamides as inhibitors of the chemokine receptor CCR8 for the treatment of Th2- and eosinophil-mediated diseases)

RN 1057138-95-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[5-[(2-methylbenzoyl)amino]-1-naphthalenyl]sulfonyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)

PAGE 1-A

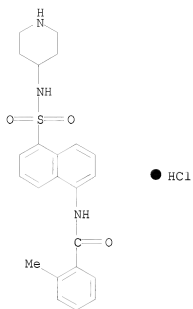


PAGE 2-A



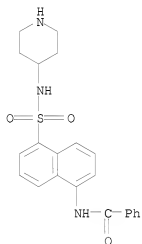
RN 1057139-28-2 CAPLUS

CN Benzamide, 2-methyl-N-[5-[(4-piperidinylamino)sulfonyl]-1-naphthalenyl]-, hydrochloride (1:1) (CA INDEX NAME)



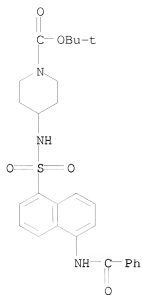
RN 1057139-35-1 CAPLUS

CN Benzamide, N-[5-[(4-piperidinylamino)sulfonyl]-1-naphthalenyl]-, hydrochloride (1:1) (CA INDEX NAME)



RN 1057139-36-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[5-(benzoylamino)-1-naphthalenyl]sulfonyl]amino]-, 1,1-dimethylethyl ester (CA INDEX NAME)



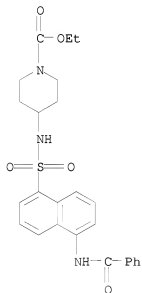
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723308-09-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(drug candidate; preparation of sulfonamides as inhibitors of the chemokine
receptor CCR8 for the treatment of eosinophil and Th2-mediated diseases
such as asthma, allergic dermatitis, and rheumatoid arthritis)

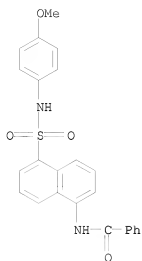
RN 723304-37-8 CAPLUS

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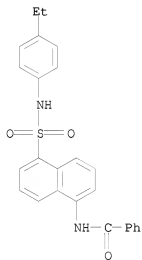
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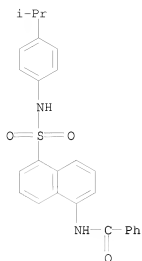
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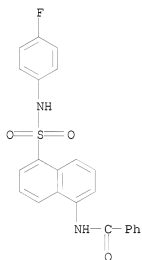
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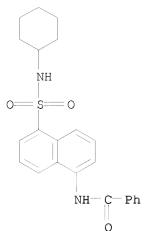
RN 723308-07-4 CAPLUS

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RN 723308-08-5 CAPLUS

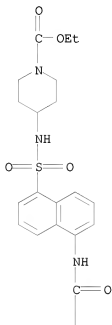
CN Benzamide, N-[5-[(cyclohexylamino)sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



RN 723308-09-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[5-[(cyclohexylcarbonyl)amino]-1-naphthalenyl]sulfonyl]amino]-, ethyl ester (CA INDEX NAME)

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IT 850330-76-6P 850330-78-8P 850330-80-2P
850330-82-4P 850330-84-6P 850330-86-8P
850330-88-0P

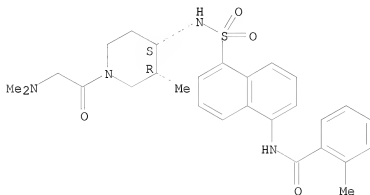
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamides as inhibitors of the chemokine receptor CCR8 for the treatment of eosinophil and Th2-mediated diseases such as asthma, allergic dermatitis, and rheumatoid arthritis)

RN 850330-76-6 CAPLUS

CN Benzamide, N-[5-[[[(3R,4S)-1-[2-(dimethylamino)acetyl]-3-methyl-4-piperidinyl]amino]sulfonyl]-1-naphthalenyl]-2-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 850330-78-8 CAPLUS

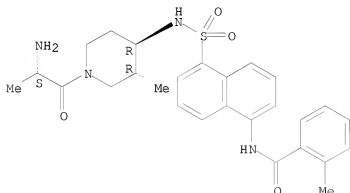
CN Formic acid, compd. with N-[5-[[[(3R,4R)-1-[(2S)-2-amino-1-oxopropyl]-3-methyl-4-piperidinyl]amino]sulfonyl]-1-naphthalenyl]-2-methylbenzamide (1:1) (CA INDEX NAME)

CM 1

CRN 850330-77-7

CMF C27 H32 N4 O4 S

Absolute stereochemistry.



CM 2

CRN 64-18-6

CMF C H2 O2

O=CH-OH

RN 850330-80-2 CAPLUS

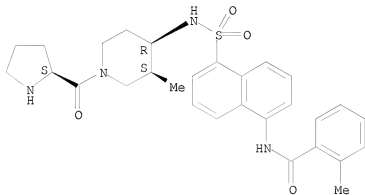
CN Formic acid, compd. with 2-methyl-N-[5-[[[(3S,4R)-3-methyl-1-[(2S)-2-pyrrolidinylcarbonyl]-4-piperidinyl]amino]sulfonyl]-1-naphthalenyl]benzamide (1:1) (CA INDEX NAME)

CM 1

CRN 850330-79-9

CMF C29 H34 N4 O4 S

Absolute stereochemistry.



CM 2

CRN 64-18-6

CMF C H2 O2

O=CH-OH

RN 850330-82-4 CAPLUS

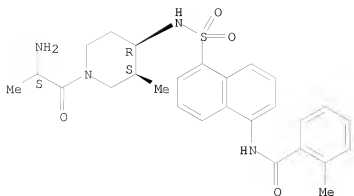
CN Formic acid, compd. with N-[5-[[[(3S,4R)-1-[(2S)-2-amino-1-oxopropyl]-3-methyl-4-piperidinyl]amino]sulfonyl]-1-naphthalenyl]-2-methylbenzamide (1:1) (CA INDEX NAME)

CM 1

CRN 850330-81-3

CMF C27 H32 N4 O4 S

Absolute stereochemistry.



CM 2

CRN 64-18-6

CMF C H2 O2



RN 850330-84-6 CAPLUS

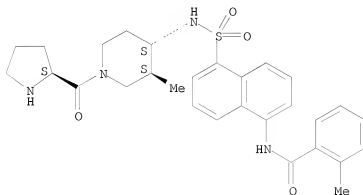
CN Formic acid, compd. with 2-methyl-N-[5-[[[(3S,4S)-3-methyl-1-[(2S)-2-pyrrolidinylcarbonyl]-4-piperidinyl]amino]sulfonyl]-1-naphthalenyl]benzamide (1:1) (CA INDEX NAME)

CM 1

CRN 850330-83-5

CMF C29 H34 N4 O4 S

Absolute stereochemistry.



CM 2

CRN 64-18-6

CMF C H2 O2

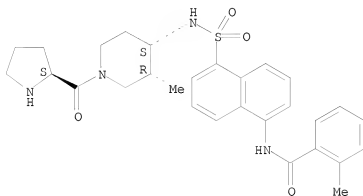


RN 850330-86-8 CAPLUS
 CN Formic acid, compd. with 2-methyl-N-[5-[[[(3R,4S)-3-methyl-1-[(2S)-2-pyrrolidinylcarbonyl]-4-piperidinyl]amino]sulfonyl]-1-naphthalenyl]benzamide (1:1) (CA INDEX NAME)

CM 1

CRN 850330-85-7
 CMF C29 H34 N4 O4 S

Absolute stereochemistry.



CM 2

CRN 64-18-6
 CMF C H2 O2

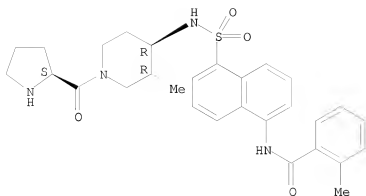
O=CH-OH

RN 850330-88-0 CAPLUS
 CN Formic acid, compd. with 2-methyl-N-[5-[[[(3R,4R)-3-methyl-1-[(2S)-2-pyrrolidinylcarbonyl]-4-piperidinyl]amino]sulfonyl]-1-naphthalenyl]benzamide (1:1) (CA INDEX NAME)

CM 1

CRN 850330-87-9
 CMF C29 H34 N4 O4 S

Absolute stereochemistry.



CM 2

CRN 64-18-6

CMF C H2 O2

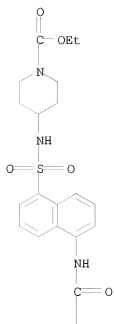
O=CH-OH

IT 723304-35-6 723304-36-7 850330-91-5
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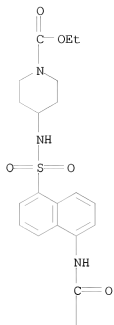
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (preparation of sulfonamides as inhibitors of the chemokine receptor CCR8
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 asthma, allergic dermatitis, and rheumatoid arthritis)

RN 723304-35-6 CAPLUS

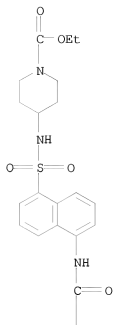
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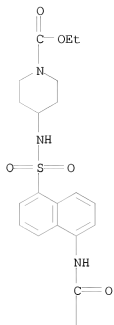
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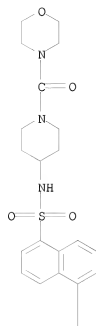
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 CN 1-Piperidinecarboxylic acid, 4-[[[5-[(2-furanylcarbonyl)amino]-1-naphthalenyl]sulfonyl]amino]-, ethyl ester (CA INDEX NAME)



RN 850330-92-6 CAPLUS
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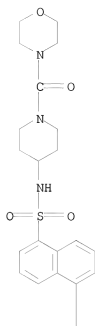


RN 850330-93-7 CAPLUS
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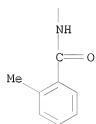


RN 850330-94-8 CAPLUS
 CN Benzamide, 2-methyl-N-[[[1-(4-morpholinylcarbonyl)-4-piperidinyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)

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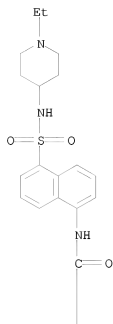


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RN 850330-95-9 CAPLUS
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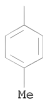
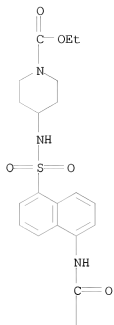
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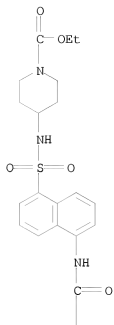


RN 850330-96-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[5-[(4-methylbenzoyl)amino]-1-naphthalenyl]sulfonyl]amino]-, ethyl ester (CA INDEX NAME)



RN 850330-97-1 CAPLUS
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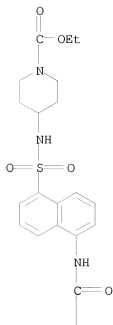
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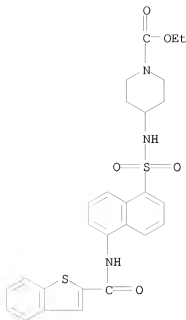
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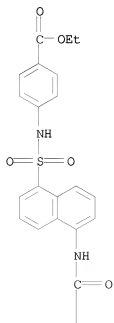
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 CN 1-Piperidinecarboxylic acid, 4-[[[5-[(benzo[b]thien-2-ylcarbonyl)amino]-1-naphthalenyl]sulfonyl]amino]-, ethyl ester (CA INDEX NAME)



RN 850331-00-9 CAPLUS
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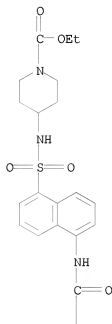


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RN 850331-01-0 CAPLUS
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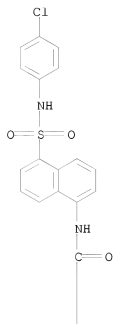
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RN 850331-02-1 CAPLUS
 CN Benzamide, N-[5-[[[4-chlorophenyl]amino]sulfonyl]-1-naphthalenyl]-2-methyl- (CA INDEX NAME)



L8 ANSWER 17 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:292259 CAPLUS

DOCUMENT NUMBER: 142:355059

TITLE: 5-(Caffeoylamino)naphthalene-1-sulfonamides, process for their preparation and use as HIV integrase inhibitors

INVENTOR(S): Zhao, Guisen; Zang, Hengchang; Xu, Yuwen; Li, Yongshu; Zhang, Linna; Yuan, Yumei

PATENT ASSIGNEE(S): Shandong University, Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 11 pp.

CODEN: CNXXEV

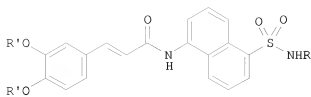
DOCUMENT TYPE: Patent

LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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CN 1482116	A	20040317	CN 2003-138909	20030724
CN 1212315	C	20050727		
PRIORITY APPLN. INFO.:			CN 2003-138909	20030724
OTHER SOURCE(S):		CASREACT 142:355059		
GI				



AB Title compds. I [wherein R' = H or acetyl; R = Ph, benzyl, phenylethyl, 4-FC6H5, 4-ClC6H5, 4-BrC6H5, 4-MeC6H5, 3-NO2C6H5, 2-MeC6H5 or dimethylphenyl], which are useful as HIV integrase inhibitors, were prepared. For example, chlorination of Na 5-acetamido-1-naphthalenesulfonate with chlorosulfonic acid at rt for 3 h (95% yield) followed by amidation with benzylamine at rt for 3 h (63% yield) gave the corresponding benzylsulfonamide. This compound underwent deacetylation with NaOH (72% yield) and subsequent N-acylation with di-O-acetylcaffeoyl chloride (67% yield) to afford I (R' = acetyl, R = benzyl). Deacetylation of this diacetate with HCl led to I (R' = H, R = benzyl) in 78% yield, which showed inhibition against HIV-1 integrase with IC50 of 8.6 ± 4.8 $\mu\text{g/mL}$.

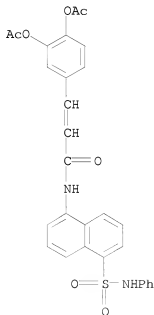
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648899-17-6P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of (caffeoylamino)naphthalenesulfonamides as HIV integrase inhibitors)

RN 648899-08-5 CAPLUS

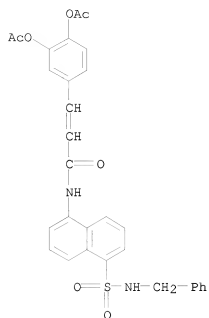
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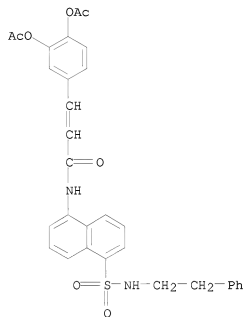
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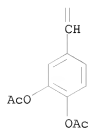
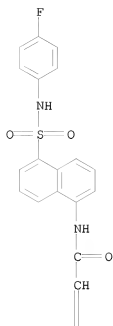
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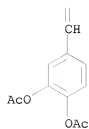
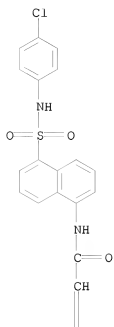


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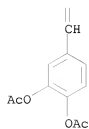
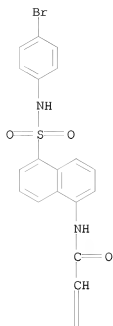
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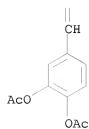
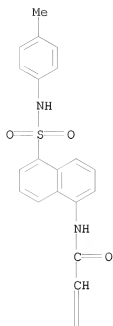
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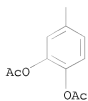
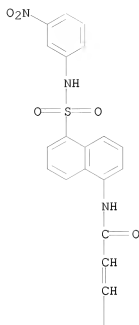
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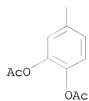
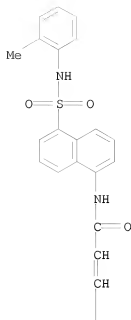
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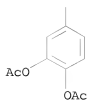
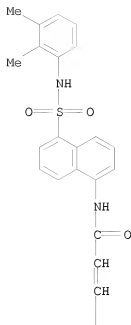
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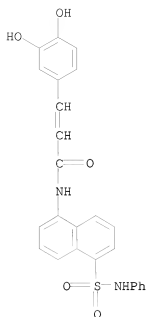
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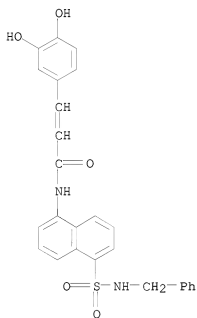
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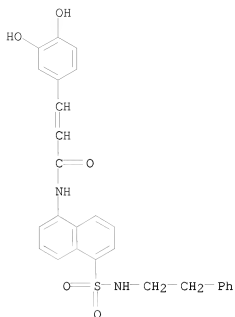
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 648899-24-5P 648899-25-6P 648899-26-7P
 648899-27-8P
 RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN
 (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);
 PREP (Preparation); USES (Uses)
 (preparation of (caffeoylamino)naphthalenesulfonamides as HIV integrase
 inhibitors)
 RN 648899-18-7 CAPLUS
 CN 2-Propenamide, 3-(3,4-dihydroxyphenyl)-N-[5-[(phenylamino)sulfonyl]-1-
 naphthalenyl]- (CA INDEX NAME)



RN 648899-19-8 CAPLUS
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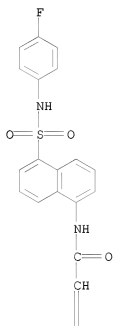


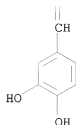
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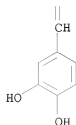
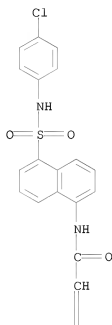
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PAGE 1-A

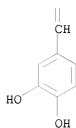
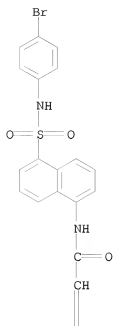




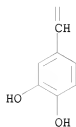
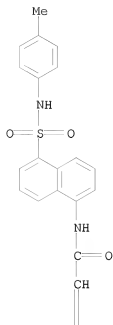
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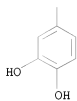
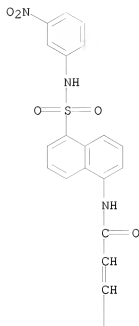
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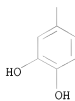
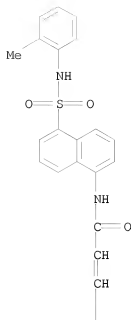
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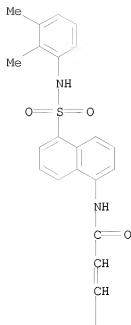
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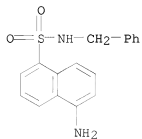
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RN 648899-27-8 CAPLUS
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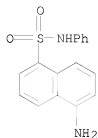


IT 147752-42-9P 648898-99-1P 648899-00-7P
 648899-01-8P 648899-02-9P 648899-03-0P
 648899-04-1P 648899-05-2P 648899-06-3P
 648899-07-4P
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of (caffeoylamino)naphthalenesulfonamides as HIV integrase inhibitors)
 RN 147752-42-9 CAPLUS
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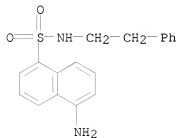
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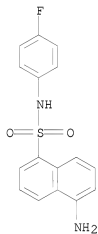
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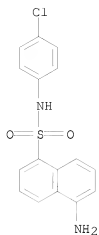
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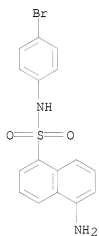
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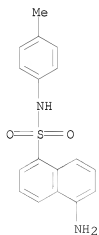
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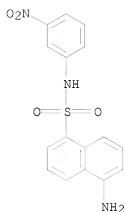
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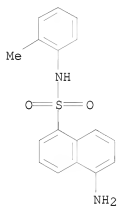
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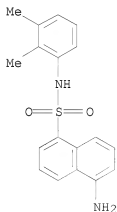
RN 648899-06-3 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(2-methylphenyl)- (CA INDEX NAME)



RN 648899-07-4 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(2,3-dimethylphenyl)- (CA INDEX NAME)



IT 648899-28-9P

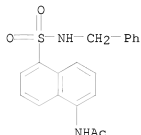
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of (caffeoylamino)naphthalenesulfonamides as HIV integrase inhibitors)

RN 648899-28-9 CAPLUS

CN Acetamide, N-[5-[(phenylmethyl)amino]sulfonyl]-1-naphthalenyl]- (CA
INDEX NAME)



L8 ANSWER 18 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:565207 CAPLUS

DOCUMENT NUMBER: 141:123482

TITLE: Sulfonamides prepared as inhibitors of the cytokine receptor Ccr8 for the treatment of Th2- and eosinophil-mediated diseases

INVENTOR(S): Guan, Bing; Minor, Charles; Dai, Mingshi; Ghosh, Shomir; Jenkins, Tracy J.; Li, Gang; Burdi, Douglas F.; Bennett, Robert A.

PATENT ASSIGNEE(S): Millennium Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 301 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

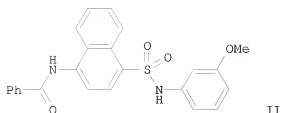
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

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EP 1590327	A1	20051102	EP 2003-808569	20031223
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PRIORITY APPLN. INFO.:			US 2002-436537P	P 20021223
			WO 2003-US41360	W 20031223

OTHER SOURCE(S): MARPAT 141:123482

GI



AB Compds. R1(CH2)mXYN(R2)X1ArS(=O)nNHR3 (I) [Ar = (un)substituted bivalent six-membered aryl group fused to either a six-membered aromatic moiety or to a five- or six-membered nonarom. moiety; R1 = (un)substituted aromatic or nonarom. group; R2, R4 = H, alkyl, (un)substituted aromatic or nonarom. group; R3 = (un)substituted Ph, benzyl, phenethyl, or a non-aromatic ring; X = bond, O, R4N; X1 = bond, carbonyl, (un)substituted methylene; Y = bond, CH2, C(=Z); Z = O, NH, S (if Y = bond then X = bond); m = 0-3; n = 1-2; if X = NR4, R4N(CH2)mR1 may comprise an (un)substituted nonarom. heterocyclic group] such as II are prepared as inhibitors of the chemokine receptor Ccr8 for the treatment of diseases such as asthma, atopic or allergic contact dermatitis, allergic rhinitis, systemic anaphylaxis, rheumatoid arthritis, inflammatory bowel disease, or graft rejection which are mediated by either Th2 cells or eosinophils. Acylation of 4-amino-1-naphthalenesulfonic acid with benzoyl chloride, chlorination of the derived 4-(benzoylamino)-1-naphthalenesulfonic acid pyridine salt with thionyl chloride, and addition of m-anisidine yields II. Ki values for the binding of I to Ccr8 are disclosed; for example, II binds to Ccr8 with a Ki value of < 0.5 μ M.

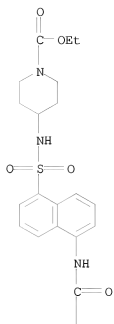
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723308-04-1P 723308-05-2P 723308-06-3P
723308-07-4P 723308-08-5P 723308-09-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

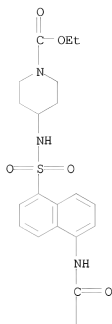
(drug candidate; preparation of sulfonamides as inhibitors of the chemokine receptor Ccr8 for the treatment of eosinophil and Th2-mediated diseases such as asthma, allergic dermatitis, and rheumatoid arthritis)

RN 723304-35-6 CAPLUS

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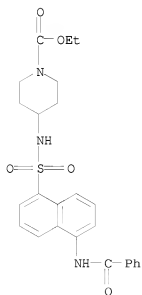


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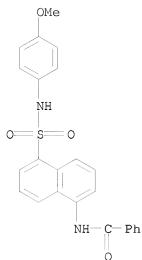
RN 723304-37-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[5-(benzoylamino)-1-naphthalenyl]sulfonyl]amino]-, ethyl ester (CA INDEX NAME)



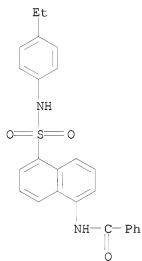
RN 723308-04-1 CAPLUS

CN Benzamide, N-[5-[[4-methoxyphenyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



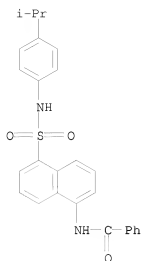
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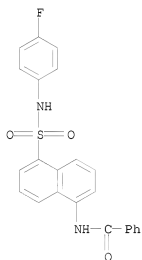
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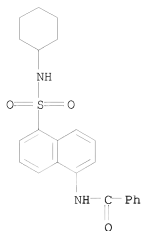
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RN 723308-08-5 CAPLUS

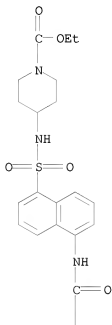
CN Benzamide, N-[5-[(cyclohexylamino)sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



RN 723308-09-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[5-[(cyclohexylcarbonyl)amino]-1-naphthalenyl]sulfonyl]amino]-, ethyl ester (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



DOCUMENT NUMBER: 140:111311
 TITLE: 3-Alkoxy-5-isoxazolidinones mimic β -lactams
 AUTHOR(S): Cao, Xuefei; Iqbal, Amjad; Patel, Amit; Gretz, Paul; Huang, Gregory; Crowder, Michael; Day, Richard A.
 CORPORATE SOURCE: Department of Chemistry, University of Cincinnati, Cincinnati, OH, 45221-0172, USA
 SOURCE: Biochemical and Biophysical Research Communications (2003), 311(2), 267-271
 CODEN: BBRC9; ISSN: 0006-291X
 PUBLISHER: Elsevier Science
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 140:111311

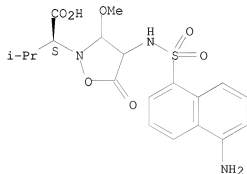
AB The preparation and biol. activity of 3-Alkoxy-5-isoxazolidinones which mimic the action of β -lactams are reported. These compds. bind to the penicillin-binding proteins and inhibit Class A, Class B, and Class D β -lactams. They also inhibit the growth of *Bacillus subtilis*.

IT 646031-77-8P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and biol. activities of alkoxyisoxazolidinones mimic β -lactams from corresponding N-hydroxy amino acids)

RN 646031-77-8 CAPLUS

CN 2-Isioxazolidineacetic acid, 4-[[5-amino-1-naphthalenyl)sulfonyl]amino]-3-methoxy- α -(1-methylethyl)-5-oxo-, (α S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 20 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2003:595157 CAPLUS
 DOCUMENT NUMBER: 140:122070
 TITLE: Caffeoyle naphthalenesulfonamide derivatives as HIV integrase inhibitors
 AUTHOR(S): Xu, Yu-Wen; Zhao, Gui-Sen; Shin, Cha-Gyun; Zang, Heng-Chang; Lee, Chong-Kyo; Lee, Yong Sup
 CORPORATE SOURCE: College of Pharmacy, Shandong University, Ji'nan, Shandong Province, 250012, Peop. Rep. China
 SOURCE: Bioorganic & Medicinal Chemistry (2003), 11(17), 3589-3593
 CODEN: BMECEP; ISSN: 0968-0896
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 140:122070

AB HIV-1 integrase (IN) is an essential enzyme for retroviral replication and a rational target for the design of anti-AIDS drugs. In the present

study, we have designed, synthesized and tested a series of caffeoyl naphthalenesulfonamide derivs. as HIV integrase inhibitors. Among these compds., we found that HIV integrase inhibitory activities of some of compds. were more potent than l-chicoric acid (IC₅₀=11.8 µg/mL) and others were comparable to l-chicoric acid. Furthermore, the structure-activity relationships of these compds. were studied. The information gathered from this paper will be useful in the development and design of HIV-1 integrase inhibitors in the future.

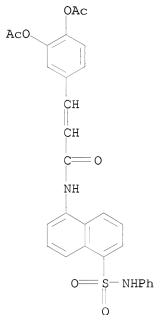
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648899-11-0P 648899-12-1P 648899-13-2P
648899-14-3P 648899-15-4P 648899-16-5P
648899-17-6P

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation and structure-activity relationship of caffeoyl naphthalenesulfonamide derivs. as HIV integrase inhibitors)

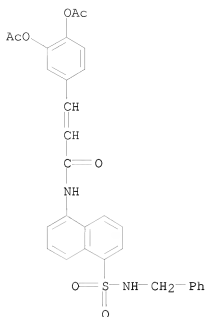
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CN 2-Propenamide, 3-[3,4-bis(acetyloxy)phenyl]-N-[5-[(phenylamino)sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)

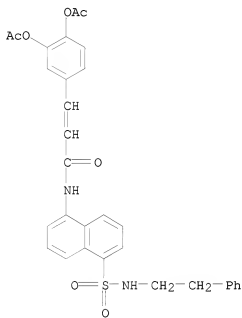


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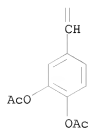
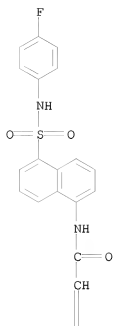
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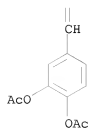
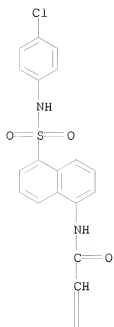
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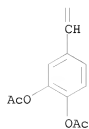
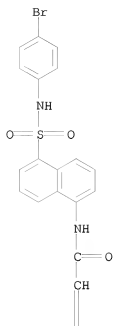
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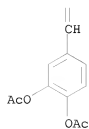
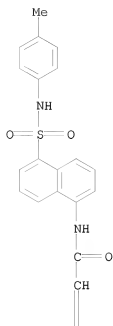
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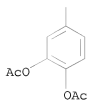
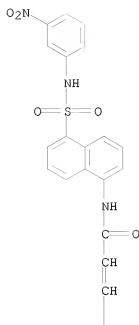
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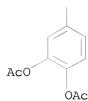
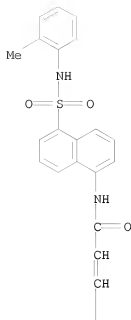
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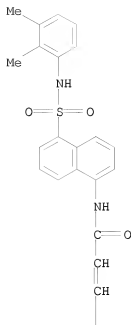
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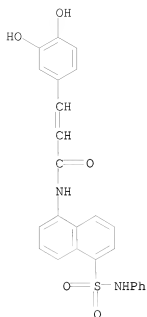
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RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

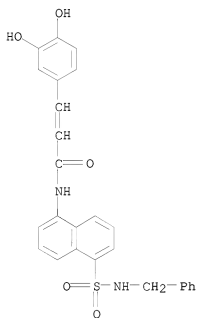
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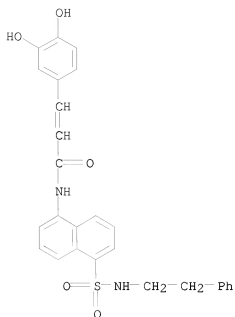
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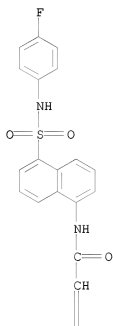


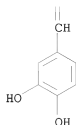
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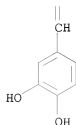
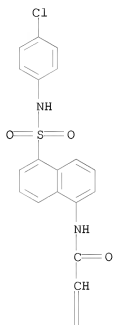
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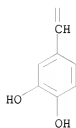
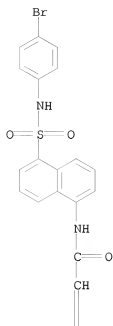




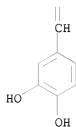
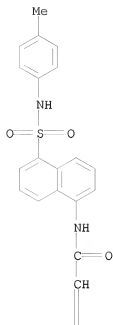
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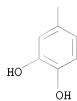
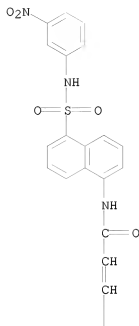
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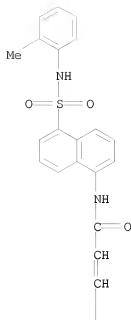
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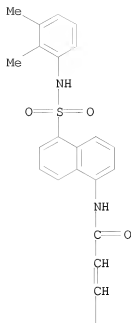
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RN 648899-26-7 CAPLUS
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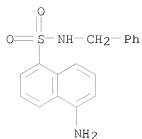
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and structure-activity relationship of caffeoyl naphthalenesulfonamide derivs. as HIV integrase inhibitors)

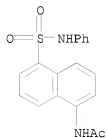
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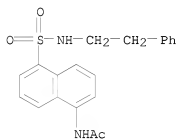
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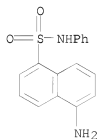
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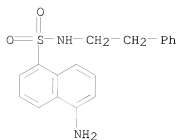
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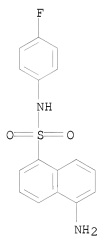
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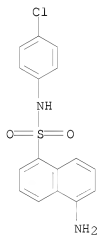
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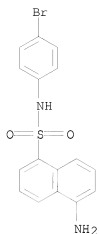
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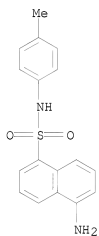
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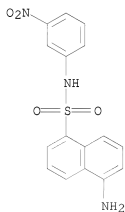
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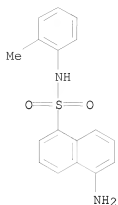
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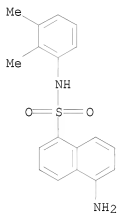
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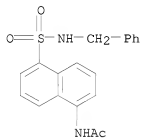
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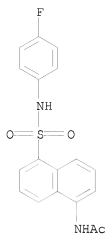
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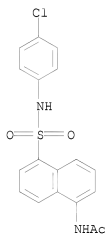
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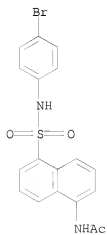
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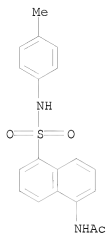
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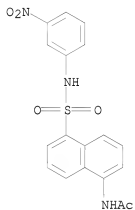
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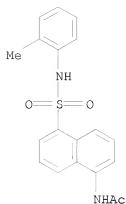
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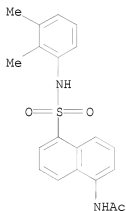


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REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 21 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2003:376632 CAPLUS
 DOCUMENT NUMBER: 138:379204
 TITLE: Use of endothelin receptor antagonists in the
 treatment of tumor diseases
 INVENTOR(S): Osswald, Mathias; Dorsch, Dieter; Mederski, Werner;
 Amendt, Christiane; Grell, Matthias
 PATENT ASSIGNEE(S): Merck Patent GMBH, Germany
 SOURCE: PCT Int. Appl., 96 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003039539	A2	20030515	WO 2002-EP11350	20021010
WO 2003039539	A3	20031106		

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 CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG

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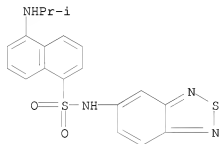
OTHER SOURCE(S): MARPAT 138:379204

AB The invention discloses the use of endothelin receptor antagonists in the production of a medicament for treating tumors.

IT 197073-86-2 197073-87-3 197073-88-4
197073-89-5 197073-90-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
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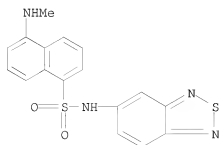
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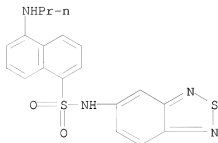
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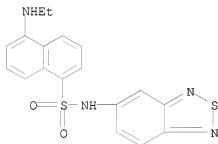
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(CA INDEX NAME)



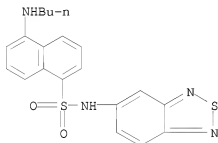
RN 197073-89-5 CAPLUS

CN 1-Naphthalenesulfonamide, N-2,1,3-benzothiadiazol-5-yl-5-(ethylamino)-
(CA INDEX NAME)



RN 197073-90-8 CAPLUS

CN 1-Naphthalenesulfonamide, N-2,1,3-benzothiadiazol-5-yl-5-(butylamino)-
(CA INDEX NAME)



L8 ANSWER 22 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:269043 CAPLUS

DOCUMENT NUMBER: 139:62271

TITLE: Immobilization of a boronic receptor for fructose recognition: influence on the photoinduced electron transfer process

AUTHOR(S): Velez Lopez, E.; Pina Luis, G.; Suarez-Rodriguez, J. L.; Rivero, I. A.; Diaz-Garcia, M. E.

CORPORATE SOURCE: Technological Institute of Tijuana, Baja California, 22000, Mex.

SOURCE: Sensors and Actuators, B: Chemical (2003), B90(1-3),

256-263
CODEN: SABCEB; ISSN: 0925-4005
Elsevier Science B.V.
Journal
English

PUBLISHER:
DOCUMENT TYPE:
LANGUAGE:

AB The work presented here describes the synthesis on different solid supports of a luminescent probe, dansylphenylboronic acid (DPBA) for use in fructose recognition. While in aqueous solution DPBA changes its fluorescence in response to added fructose via a photoinduced electron transfer (PET) mechanism, once immobilized the fructose binding event could not be monitored by a change in fluorescence. It was observed, however, that the immobilized DPBA displayed enhanced acidity upon binding of fructose. The system was indeed selective for fructose in competitive binding expts., preferring fructose over glucose. Design of immobilized fluorescent sensors for fructose, based on a PET mechanism, is not an easy task due to the chemical and spectral changes experienced by the fluorescent probe upon immobilization.

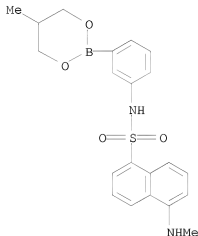
IT 545363-48-2DP, resin bonded

RL: ARU (Analytical role, unclassified); DEV (Device component use); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)

(immobilization of a boronic receptor for fructose recognition by fluorescence via photoinduced electron transfer mechanism)

RN 545363-48-2 CAPLUS

CN 1-Naphthalenesulfonamide, 5-(methylamino)-N-[3-(5-methyl-1,3,2-dioxaborinan-2-yl)phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 23 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:116011 CAPLUS

DOCUMENT NUMBER: 139:159395

TITLE: Pharmacokinetics and metabolism of endothelin receptor antagonist: Contribution of kidneys in the overall in vivo N-demethylation

AUTHOR(S): Chong, Saeho; Obermeier, Mary; Humphreys, W. Griffith

CORPORATE SOURCE: Department of Metabolism and Pharmacokinetics, Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543, USA

SOURCE: Archives of Pharmacol Research (2003), 26(1), 89-94

CODEN: APHRDQ; ISSN: 0253-6269

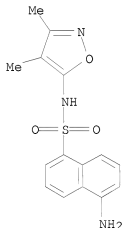
PUBLISHER: Pharmaceutical Society of Korea
DOCUMENT TYPE: Journal
LANGUAGE: English

AB In vivo clearance of BMS-182874 was primarily due to metabolism via stepwise N-demethylation. Despite in vivo clearance approached ca 50% of the total liver plasma flow, BMS-182874 was completely bioavailable after oral administration in rats. Saturable first-pass metabolism and the role of extrahepatic tissue were evaluated as possible reasons for complete oral bioavailability despite extensive metabolic clearance. Pharmacokinetic parameters were obtained after an i.v. and a range of oral doses of BMS-182874 in rats. Bile and urine were collected from bile-duct cannulated (BDC) rats and the in vivo metabolic pathways of BMS-182874 were evaluated. Pharmacokinetics of BMS-182874 were also compared in nephrectomized (renally impaired) vs. sham-operated control rats. Oral bioavailability of BMS-182874 averaged 100%, indicating that BMS-182874 was completely absorbed and the first-pass metabolism (liver or intestine) was negligible. The AUC and Cmax values increased dose-proportionally, indicating kinetics were linear within the oral dose range of 13 to 290 mmole/kg. After i.v. administration of BMS-182874 to BDC rats, about 2% of intact BMS-182874 was recovered in excreta, indicating that BMS-182874 was cleared primarily via metabolism in vivo. The major metabolite circulating in plasma was the mono-N-desmethyl metabolite and the major metabolite recovered in excreta was the di-N-desmethyl metabolite. In vivo clearance of BMS-182874 was significantly reduced in nephrectomized rats. These observations suggest saturable first-pass metabolism is unlikely to be a mechanism for complete oral bioavailability of BMS-182874. Reduced clearance observed in the nephrectomized rats suggests that extrahepatic tissues (e.g., kidneys) may play an important role in the in vivo clearance of xenobiotics that are metabolized via N-demethylation.

IT 153042-45-6, BMS 182542 153458-26-5, BMS 187345
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(pharmacokinetics and metabolism of BMS182874)

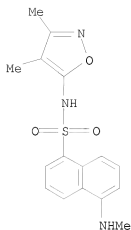
RN 153042-45-6 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(3,4-dimethyl-5-isoxazolyl)- (CA INDEX NAME)



RN 153458-26-5 CAPLUS

CN 1-Naphthalenesulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-5-(methylamino)- (CA INDEX NAME)

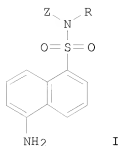


REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 24 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2003:76595 CAPLUS
 DOCUMENT NUMBER: 138:122238
 TITLE: Preparation of 5-amino-1-naphthalenesulfonamide derivatives as drugs for potentiating effect of cancer therapy
 INVENTOR(S): Itai, Akiko; Muto, Susumu; Komukai, Masayuki
 PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design Inc., Japan
 SOURCE: PCT Int. Appl., 89 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003007931	A1	20030130	WO 2002-JP5671	20020607
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002306297	A1	20030303	AU 2002-306297	20020607
EP 1402890	A1	20040331	EP 2002-733399	20020607
EP 1402890	B1	20080109		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 20040234622	A1	20041125	US 2004-478687	20040524
PRIORITY APPLN. INFO.:			JP 2001-174066	A 20010608
			JP 2001-353026	A 20011119
			WO 2002-JP5671	W 20020607

OTHER SOURCE(S): MARPAT 138:122238
 GI



AB The title compds. I [wherein R = (un)substituted alkyl or cyclohydrocarbyl; Z = H or (un)substituted alkyl; or R and Z together form a ring] and physiol. acceptable salts thereof are prepared as active ingredients in the drugs for potentiating the effect of cancer therapy, and lessening the administration dose of anticancer agents and/or radiation dose, thereby relieving side effects accompanying cancer therapy. For example, 1-naphthylmethylamine was reacted with 5-(acetylamino)-1-naphthalenesulfonyl chloride in THF in the presence of Et3N to give N-[5-[[[(1-naphthyl)methyl]amino]sulfonyl]-1-naphthyl]acetamide (80%). The above amide was treated with aqueous HCl in 1-propanol and H2O to afford 5-amino-N-[(1-naphthyl)methyl]-1-naphthalenesulfonamide hydrochloride (90%). I showed strong inhibiting effect against Jurkat cell proliferation.

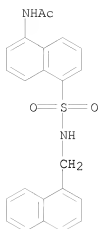
IT 491579-45-4P 491579-46-5P 491579-47-6P
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 491580-01-9P 491580-03-1P 491580-06-4P
 491580-07-5P 491580-08-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of aminonaphthalenesulfonamides by coupling reaction as drugs for potentiating effect of cancer therapy)

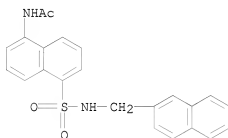
RN 491579-45-4 CAPLUS

CN Acetamide, N-[5-[[[(1-naphthalenyl)methyl]amino]sulfonyl]-1-naphthalenyl]-
 (CA INDEX NAME)



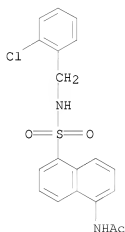
RN 491579-46-5 CAPLUS

CN Acetamide, N-[5-[[[(2-naphthalenylmethyl)amino]sulfonyl]-1-naphthalenyl]-
(CA INDEX NAME)



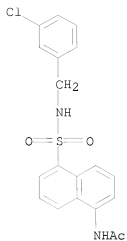
RN 491579-47-6 CAPLUS

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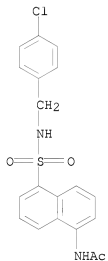
RN 491579-48-7 CAPLUS

CN Acetamide, N-[5-[[[(3-chlorophenyl)methyl]amino]sulfonyl]-1-naphthalenyl]-
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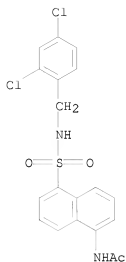
RN 491579-49-8 CAPLUS

CN Acetamide, N-[5-[[[4-chlorophenyl)methyl]amino]sulfonyl]-1-naphthalenyl]-
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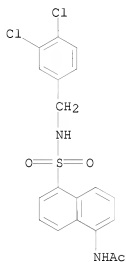
RN 491579-50-1 CAPLUS

CN Acetamide, N-[5-[[[2,4-dichlorophenyl)methyl]amino]sulfonyl]-1-naphthalenyl]-
(CA INDEX NAME)



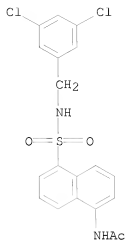
RN 491579-51-2 CAPLUS

CN Acetamide, N-[5-[[[(3,4-dichlorophenyl)methyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



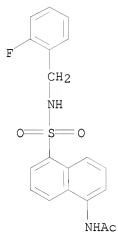
RN 491579-52-3 CAPLUS

CN Acetamide, N-[5-[[[(3,5-dichlorophenyl)methyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



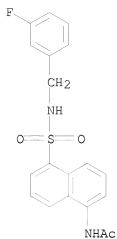
RN 491579-53-4 CAPLUS

CN Acetamide, N-[5-[[[2-fluorophenyl]methyl]amino]sulfonyl]-1-naphthalenyl]-
(CA INDEX NAME)



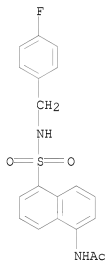
RN 491579-54-5 CAPLUS

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(CA INDEX NAME)



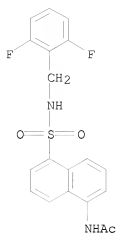
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CN Acetamide, N-[5-[[[(4-fluorophenyl)methyl]amino]sulfonyl]-1-naphthalenyl]-
(CA INDEX NAME)



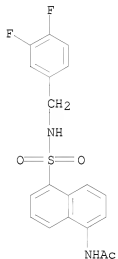
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CN Acetamide, N-[5-[[[(2,6-difluorophenyl)methyl]amino]sulfonyl]-1-naphthalenyl]-
(CA INDEX NAME)



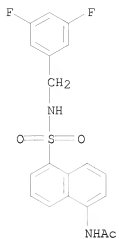
RN 491579-57-8 CAPLUS

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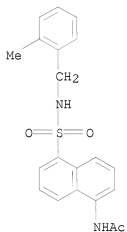
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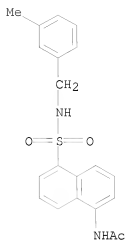
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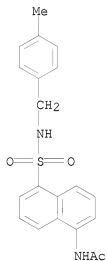
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CN Acetamide, N-[5-[[[3-methylphenyl]methyl]amino]sulfonyl]-1-naphthalenyl]-
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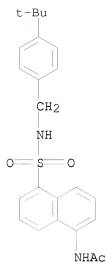
RN 491579-61-4 CAPLUS

CN Acetamide, N-[5-[[[4-methylphenyl)methyl]amino]sulfonyl]-1-naphthalenyl]-
(CA INDEX NAME)



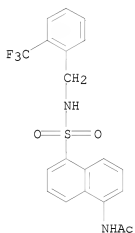
RN 491579-62-5 CAPLUS

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(CA INDEX NAME)



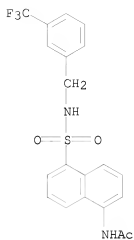
RN 491579-63-6 CAPLUS

CN Acetamide, N-[5-[[[2-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



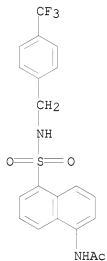
RN 491579-64-7 CAPLUS

CN Acetamide, N-[5-[[[3-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



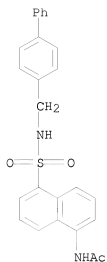
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CN Acetamide, N-[5-[[[4-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



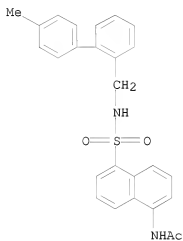
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CN Acetamide, N-[5-[[[1,1'-biphenyl]-4-ylmethyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



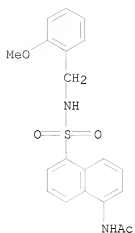
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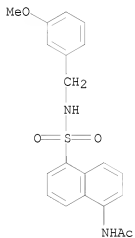
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CN Acetamide, N-[5-[[[2-(4-methoxyphenyl)methyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



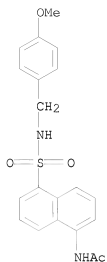
RN 491579-69-2 CAPLUS

CN Acetamide, N-[5-[[[(3-methoxyphenyl)methyl]amino]sulfonyl]-1-naphthalenyl]-
(CA INDEX NAME)



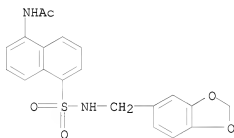
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CN Acetamide, N-[5-[[[(4-methoxyphenyl)methyl]amino]sulfonyl]-1-naphthalenyl]-
(CA INDEX NAME)



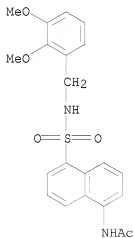
RN 491579-71-6 CAPLUS

CN Acetamide, N-[5-[[[(1,3-benzodioxol-5-ylmethyl)amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



RN 491579-72-7 CAPLUS

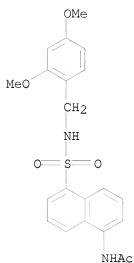
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RN 491579-73-8 CAPLUS

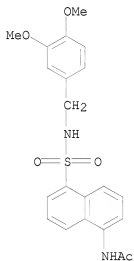
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naphthalenyl]- (CA INDEX NAME)



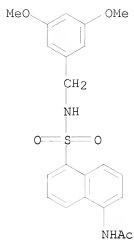
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CN Acetamide, N-[5-[[[(3,4-dimethoxyphenyl)methyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



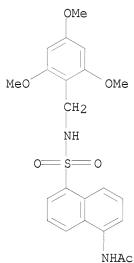
RN 491579-75-0 CAPLUS

CN Acetamide, N-[5-[[[(3,5-dimethoxyphenyl)methyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



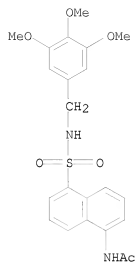
RN 491579-76-1 CAPLUS

CN Acetamide, N-[5-[[[(2,4,6-trimethoxyphenyl)methyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



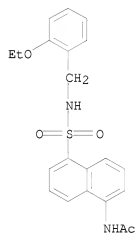
RN 491579-77-2 CAPLUS

CN Acetamide, N-[5-[[[(3,4,5-trimethoxyphenyl)methyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



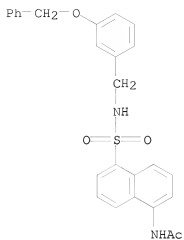
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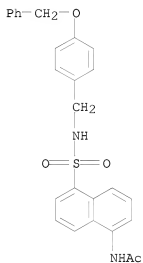
RN 491579-79-4 CAPLUS

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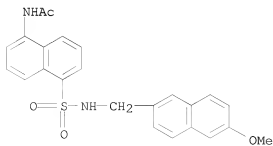
RN 491579-80-7 CAPLUS

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RN 491579-81-8 CAPLUS

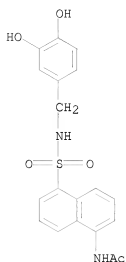
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RN 491579-82-9 CAPLUS

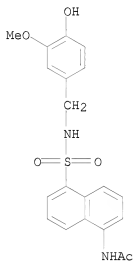
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naphthalenyl]- (CA INDEX NAME)



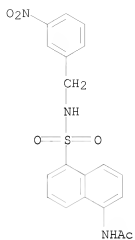
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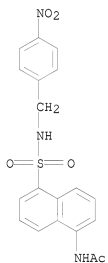
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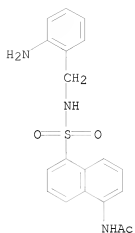
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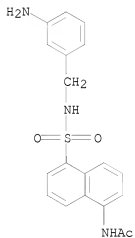
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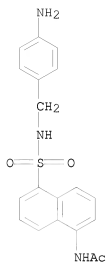
RN 491579-87-4 CAPLUS

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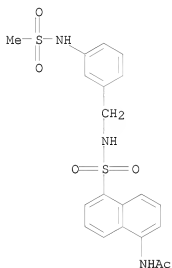
RN 491579-88-5 CAPLUS

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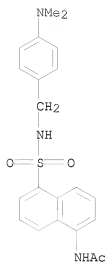
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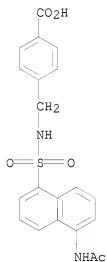
RN 491579-90-9 CAPLUS

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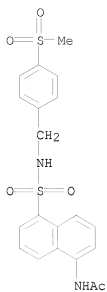
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CN Benzoic acid, 4-[[[5-(acetylamino)-1-naphthalenyl]sulfonyl]amino]methyl]-
(CA INDEX NAME)



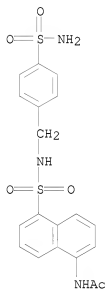
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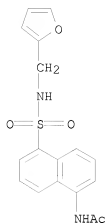
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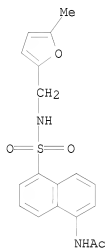
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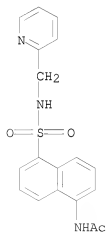
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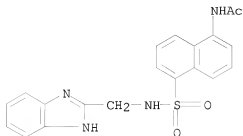
RN 491579-96-5 CAPLUS

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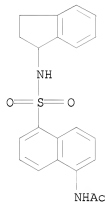
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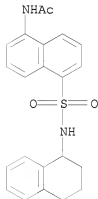
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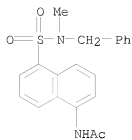
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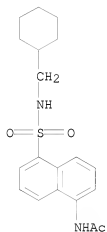
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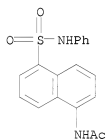
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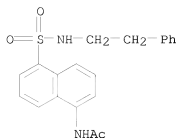
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CN Acetamide, N-[5-[(phenylamino)sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



RN 491580-08-6 CAPLUS

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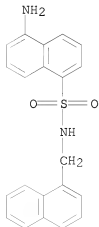
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminonaphthalenesulfonamides by coupling reaction as drugs for potentiating effect of cancer therapy)

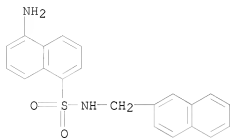
RN 491578-77-9 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(1-naphthalenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)



RN 491578-78-0 CAPLUS

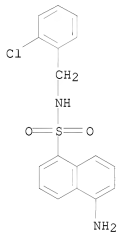
CN 1-Naphthalenesulfonamide, 5-amino-N-(2-naphthalenylmethyl)-, hydrochloride
(1:1) (CA INDEX NAME)



● HCl

RN 491578-79-1 CAPLUS

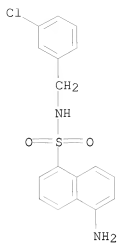
CN 1-Naphthalenesulfonamide, 5-amino-N-[(2-chlorophenyl)methyl]-,
hydrochloride (1:1) (CA INDEX NAME)



● HCl

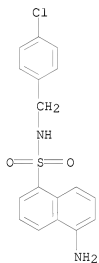
RN 491578-80-4 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-[(3-chlorophenyl)methyl]-,
hydrochloride (1:1) (CA INDEX NAME)



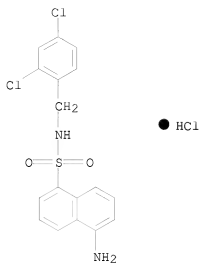
● HCl

RN 491578-81-5 CAPLUS
 CN 1-Naphthalenesulfonamide, 5-amino-N-[(4-chlorophenyl)methyl]-,
 hydrochloride (1:1) (CA INDEX NAME)



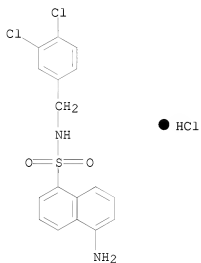
● HCl

RN 491578-82-6 CAPLUS
 CN 1-Naphthalenesulfonamide, 5-amino-N-[(2,4-dichlorophenyl)methyl]-,
 hydrochloride (1:1) (CA INDEX NAME)



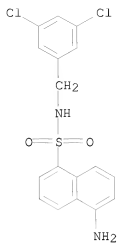
RN 491578-83-7 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-[(3,4-dichlorophenyl)methyl]-,
hydrochloride (1:1) (CA INDEX NAME)



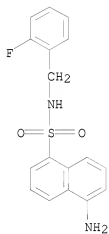
RN 491578-84-8 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-[(3,5-dichlorophenyl)methyl]-,
hydrochloride (1:1) (CA INDEX NAME)



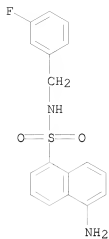
● HCl

RN 491578-85-9 CAPLUS
 CN 1-Naphthalenesulfonamide, 5-amino-N-[(2-fluorophenyl)methyl]-,
 hydrochloride (1:1) (CA INDEX NAME)



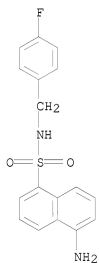
● HCl

RN 491578-86-0 CAPLUS
 CN 1-Naphthalenesulfonamide, 5-amino-N-[(3-fluorophenyl)methyl]-,
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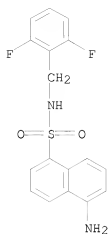
● HCl

RN 491578-87-1 CAPLUS
 CN 1-Naphthalenesulfonamide, 5-amino-N-[(4-fluorophenyl)methyl]-,
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● HCl

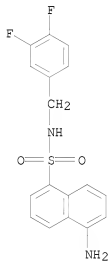
RN 491578-89-3 CAPLUS
 CN 1-Naphthalenesulfonamide, 5-amino-N-[(2,6-difluorophenyl)methyl]-,
 hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 491578-90-6 CAPLUS

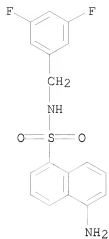
CN 1-Naphthalenesulfonamide, 5-amino-N-[(3,4-difluorophenyl)methyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

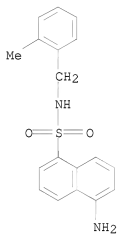
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CN 1-Naphthalenesulfonamide, 5-amino-N-[(3,5-difluorophenyl)methyl]-, hydrochloride (1:1) (CA INDEX NAME)



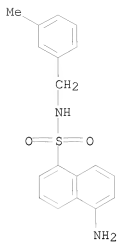
● HCl

RN 491578-92-8 CAPLUS
 CN 1-Naphthalenesulfonamide, 5-amino-N-[(2-methylphenyl)methyl]-,
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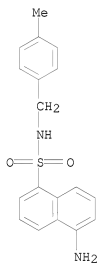
● HCl

RN 491578-94-0 CAPLUS
 CN 1-Naphthalenesulfonamide, 5-amino-N-[(3-methylphenyl)methyl]-,
 hydrochloride (1:1) (CA INDEX NAME)



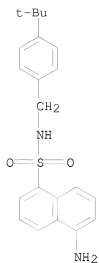
● HCl

RN 491578-96-2 CAPLUS
 CN 1-Naphthalenesulfonamide, 5-amino-N-[(4-methylphenyl)methyl]-,
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● HCl

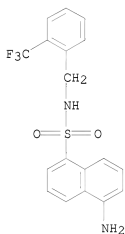
RN 491578-97-3 CAPLUS
 CN 1-Naphthalenesulfonamide, 5-amino-N-[[4-(1,1-dimethylethyl)phenyl]methyl]-,
 hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 491578-98-4 CAPLUS

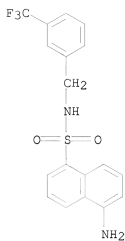
CN 1-Naphthalenesulfonamide, 5-amino-N-[[2-(trifluoromethyl)phenyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

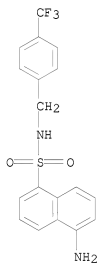
RN 491578-99-5 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-[[3-(trifluoromethyl)phenyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)



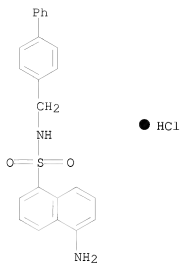
● HCl

RN 491579-00-1 CAPLUS
 CN 1-Naphthalenesulfonamide, 5-amino-N-[[4-(trifluoromethyl)phenyl]methyl]-,
 hydrochloride (1:1) (CA INDEX NAME)



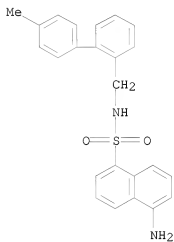
● HCl

RN 491579-01-2 CAPLUS
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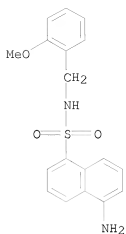
RN 491579-02-3 CAPLUS

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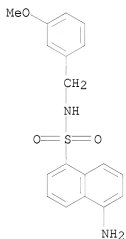
RN 491579-03-4 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-[(2-methoxyphenyl)methyl]-, hydrochloride (1:1) (CA INDEX NAME)



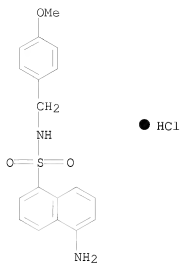
● HCl

RN 491579-04-5 CAPLUS
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 hydrochloride (1:1) (CA INDEX NAME)



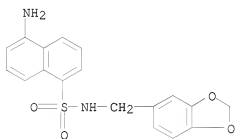
● HCl

RN 491579-05-6 CAPLUS
 CN 1-Naphthalenesulfonamide, 5-amino-N-[(4-methoxyphenyl)methyl]-,
 hydrochloride (1:1) (CA INDEX NAME)



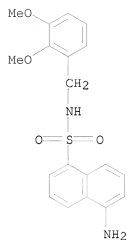
RN 491579-06-7 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(1,3-benzodioxol-5-ylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)



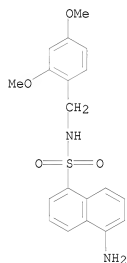
RN 491579-07-8 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-[(2,3-dimethoxyphenyl)methyl]-, hydrochloride (1:1) (CA INDEX NAME)



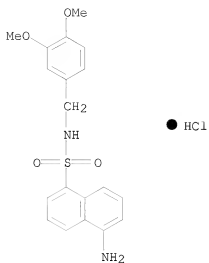
● HCl

RN 491579-08-9 CAPLUS
 CN 1-Naphthalenesulfonamide, 5-amino-N-[(2,4-dimethoxyphenyl)methyl]-,
 hydrochloride (1:1) (CA INDEX NAME)



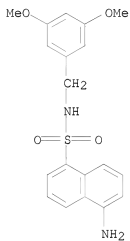
● HCl

RN 491579-09-0 CAPLUS
 CN 1-Naphthalenesulfonamide, 5-amino-N-[(3,4-dimethoxyphenyl)methyl]-,
 hydrochloride (1:1) (CA INDEX NAME)



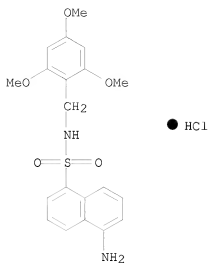
RN 491579-10-3 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-[(3,5-dimethoxyphenyl)methyl]-,
hydrochloride (1:1) (CA INDEX NAME)



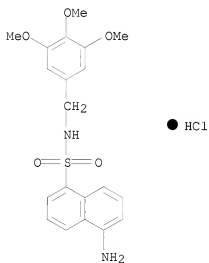
RN 491579-11-4 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-[(2,4,6-trimethoxyphenyl)methyl]-,
hydrochloride (1:1) (CA INDEX NAME)



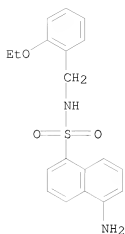
RN 491579-12-5 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-[(3,4,5-trimethoxyphenyl)methyl]-, hydrochloride (1:1) (CA INDEX NAME)



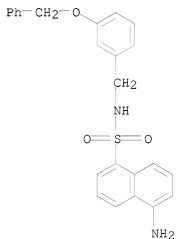
RN 491579-13-6 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-[(2-ethoxyphenyl)methyl]- (CA INDEX NAME)



RN 491579-14-7 CAPLUS

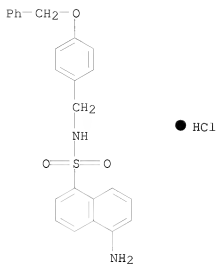
CN 1-Naphthalenesulfonamide, 5-amino-N-[[3-(phenylmethoxy)phenyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

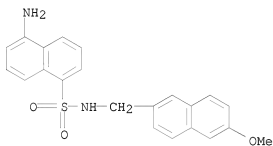
RN 491579-15-8 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-[[4-(phenylmethoxy)phenyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)



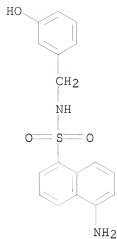
RN 491579-16-9 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-[(6-methoxy-2-naphthalenyl)methyl]-, hydrochloride (1:1) (CA INDEX NAME)



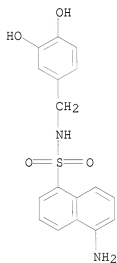
RN 491579-17-0 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-[(3-hydroxyphenyl)methyl]-, hydrochloride (1:1) (CA INDEX NAME)



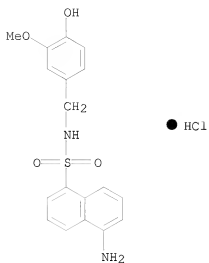
● HCl

RN 491579-18-1 CAPLUS
 CN 1-Naphthalenesulfonamide, 5-amino-N-[(3,4-dihydroxyphenyl)methyl]-,
 hydrochloride (1:1) (CA INDEX NAME)



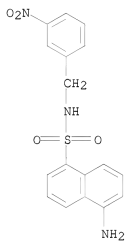
● HCl

RN 491579-19-2 CAPLUS
 CN 1-Naphthalenesulfonamide, 5-amino-N-[(4-hydroxy-3-methoxyphenyl)methyl]-,
 hydrochloride (1:1) (CA INDEX NAME)



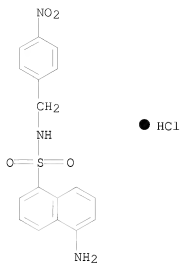
RN 491579-20-5 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-[(3-nitrophenyl)methyl]-,
hydrochloride (1:1) (CA INDEX NAME)



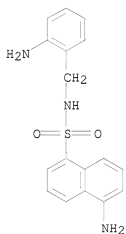
RN 491579-21-6 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-[(4-nitrophenyl)methyl]-,
hydrochloride (1:1) (CA INDEX NAME)



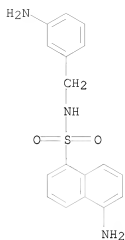
RN 491579-22-7 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-[(2-aminophenyl)methyl]-,
hydrochloride (1:2) (CA INDEX NAME)



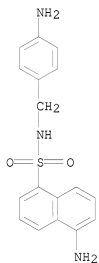
RN 491579-23-8 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-[(3-aminophenyl)methyl]-,
hydrochloride (1:2) (CA INDEX NAME)



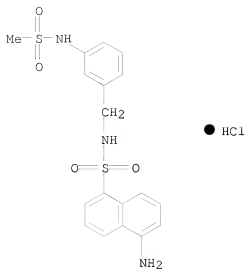
● 2 HCl

RN 491579-24-9 CAPLUS
 CN 1-Naphthalenesulfonamide, 5-amino-N-[(4-aminophenyl)methyl]-,
 hydrochloride (1:2) (CA INDEX NAME)



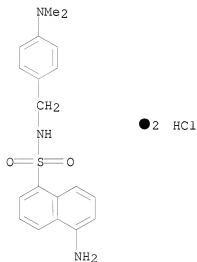
● 2 HCl

RN 491579-25-0 CAPLUS
 CN 1-Naphthalenesulfonamide, 5-amino-N-[[3-
 [(methylsulfonyl)amino]phenyl)methyl]-, hydrochloride (1:1) (CA INDEX
 NAME)



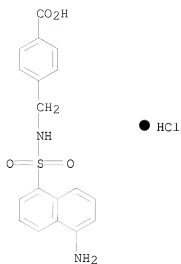
RN 491579-26-1 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-[[4-(dimethylamino)phenyl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)



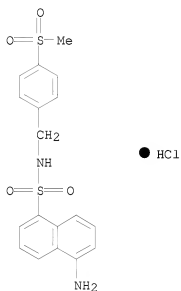
RN 491579-27-2 CAPLUS

CN Benzoic acid, 4-[[[(5-amino-1-naphthalenyl)sulfonyl]amino]methyl]-, hydrochloride (1:1) (CA INDEX NAME)



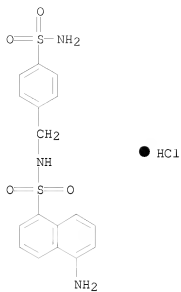
RN 491579-28-3 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-[[4-(methylsulfonyl)phenyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

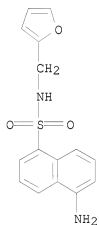


RN 491579-29-4 CAPLUS

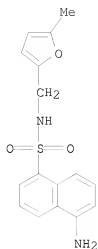
CN 1-Naphthalenesulfonamide, 5-amino-N-[[4-(aminosulfonyl)phenyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)



RN 491579-30-7 CAPLUS
 CN 1-Naphthalenesulfonamide, 5-amino-N-(2-furanylmethyl)-, hydrochloride
 (1:1) (CA INDEX NAME)



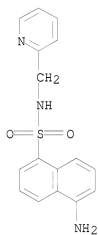
RN 491579-31-8 CAPLUS
 CN 1-Naphthalenesulfonamide, 5-amino-N-[(5-methyl-2-furanyl)methyl]-,
 hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 491579-32-9 CAPLUS

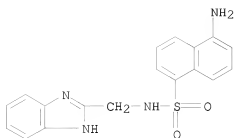
CN 1-Naphthalenesulfonamide, 5-amino-N-(2-pyridinylmethyl)-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

RN 491579-33-0 CAPLUS

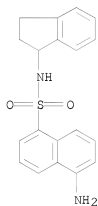
CN 1-Naphthalenesulfonamide, 5-amino-N-(1H-benzimidazol-2-ylmethyl)-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

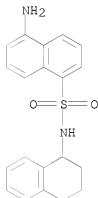
RN 491579-36-3 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(2,3-dihydro-1H-inden-1-yl)- (CA INDEX NAME)



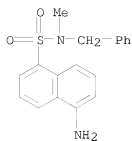
RN 491579-37-4 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (CA INDEX NAME)



RN 491579-39-6 CAPLUS

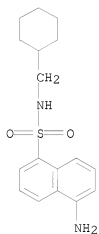
CN 1-Naphthalenesulfonamide, 5-amino-N-methyl-N-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 491579-42-1 CAPLUS

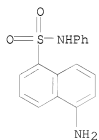
CN 1-Naphthalenesulfonamide, 5-amino-N-(cyclohexylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

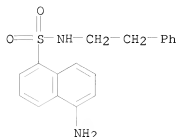
RN 491579-43-2 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-phenyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 491579-44-3 CAPLUS
CN 1-Naphthalenesulfonamide, 5-amino-N-(2-phenylethyl)-, hydrochloride (1:1)
(CA INDEX NAME)



● HCl

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 25 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:926557 CAPLUS

DOCUMENT NUMBER: 139:322

TITLE: Distance profiles (DiP): a translationally and rotationally invariant 3D structure descriptor capturing steric properties of molecules

AUTHOR(S): Baumann, Knut

CORPORATE SOURCE: Department of Pharmacy and Food Chemistry, University of Wuerzburg, Wuerzburg, 97074, Germany

SOURCE: Quantitative Structure-Activity Relationships (2002), 21(5), 507-519

CODEN: QSARDI; ISSN: 0931-8771

PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A novel translationally and rotationally invariant structure descriptor based on the distribution of 3D-atom pairs is described. The new Distance Profiles (DiP) descriptor was applied to two data sets which were previously studied with various 3D-QSAR techniques. DiP compares favorably to the other descriptors for these two data sets and obtains better models in both cases. Since DiP is used in combination with variable selection to achieve interpretability, special emphasis was put on validating the derived models. Avoiding overfitted models was accomplished by constraining the maximum number of variables allowed to select, and by using leave-50%-out cross-validation instead of leave-one-out cross-validation as objective function in variable selection. Furthermore, the derived models were validated with a permutation test where the entire variable selection procedure is repeated each time the response data are scrambled.

IT 153042-43-4 153042-45-6 153457-90-0

153458-00-5

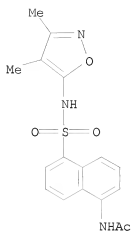
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)

(endothelin A receptor antagonist; distance profiles (DiP) as translationally and rotationally invariant 3D structure descriptor capturing steric properties of mols. for use in QSAR and its applications)

RN 153042-43-4 CAPLUS

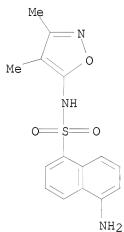
CN Acetamide, N-[5-[(3,4-dimethyl-5-isoxazolyl)amino)sulfonyl]-1-

naphthalenyl]- (CA INDEX NAME)



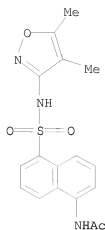
RN 153042-45-6 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(3,4-dimethyl-5-isoxazolyl)- (CA INDEX NAME)

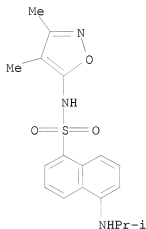


RN 153457-90-0 CAPLUS

CN Acetamide, N-[5-[(4,5-dimethyl-3-isoxazolyl)amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



RN 153458-00-5 CAPLUS
 CN 1-Naphthalenesulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-5-[(1-methylethyl)amino]- (CA INDEX NAME)



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 26 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:347196 CAPLUS

DOCUMENT NUMBER: 136:355072

TITLE: Preparation of
 N-[(acylamino)phenyl]aminonaphthalenesulfonamides as
 5-HT6 receptor antagonists

INVENTOR(S): Boess, Frank-Gerhard; Brueggemeier, Ulf; Mueller,
 Stephan-Nicholas

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Ger. Offen., 38 pp.

CODEN: GWXXBX

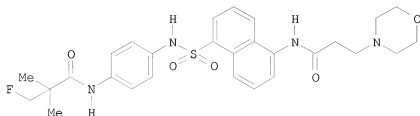
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10053796	A1	20020508	DE 2000-10053796	20001030



II

AB R3ZNHSO2Z1NR1R2 [I; R1 = H or alkanoyl; R2 = acyl; R3 = acylamino or alkylcarbamoyl; Z = (un)substituted Ph; Z1 = naphthalene-(1 or 2), (5-8)-diyl] were prepared. Thus, 4-(H2N)C6H4NHCOCMe2CH2F was amidated by 5-[(3-morpholinopropanoyl)amino]-1-naphthalenesulfonyl chloride to give title compound II. Data for biol. activity of I were given.

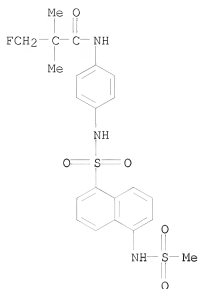
IT 321188-81-2P 321188-82-3P 321188-83-4P
 321188-84-5P 321188-85-6P 321188-86-7P
 321188-89-0P 321188-90-3P 321188-91-4P
 321188-92-5P 321188-93-6P 321188-94-7P
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 321189-71-3P 321189-72-4P 321189-73-5P
 321189-79-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

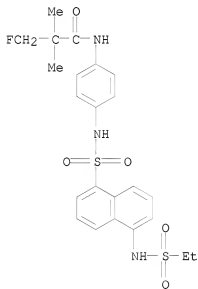
(preparation of N-[(acylamino)phenyl]aminonaphthalenesulfonamides as 5-HT6 receptor antagonists)

RN 321188-81-2 CAPLUS

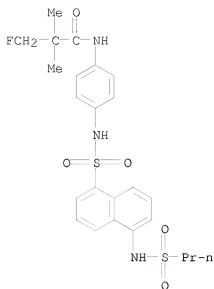
CN Propanamide, 3-fluoro-2,2-dimethyl-N-[4-[[5-[(methylsulfonyl)amino]-1-naphthalenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)



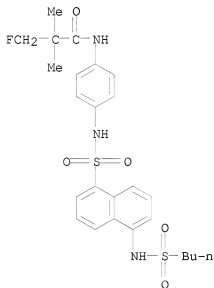
RN 321188-82-3 CAPLUS
 CN Propanamide, N-[4-[[[5-[(ethylsulfonyl)amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-3-fluoro-2,2-dimethyl- (CA INDEX NAME)



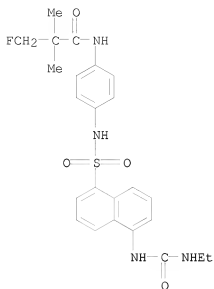
RN 321188-83-4 CAPLUS
 CN Propanamide, 3-fluoro-2,2-dimethyl-N-[4-[[[5-[(propylsulfonyl)amino]-1-naphthalenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)



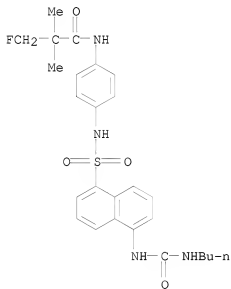
RN 321188-84-5 CAPLUS
 CN Propanamide, N-[4-[[[5-[(butylsulfonyl)amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-3-fluoro-2,2-dimethyl- (CA INDEX NAME)



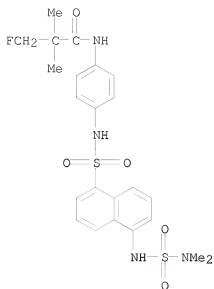
RN 321188-85-6 CAPLUS
 CN Propanamide, N-[4-[[[5-[(ethylamino)carbonyl]amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-3-fluoro-2,2-dimethyl- (CA INDEX NAME)



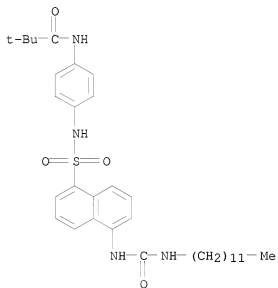
RN 321188-86-7 CAPLUS
 CN Propanamide, N-[4-[[[5-[[[(butylamino)carbonyl]amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-3-fluoro-2,2-dimethyl- (CA INDEX NAME)



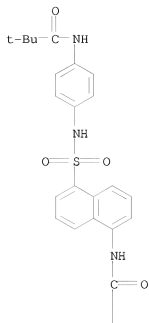
RN 321188-89-0 CAPLUS
 CN Propanamide, N-[4-[[[5-[[[(dimethylamino)sulfonyl]amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-3-fluoro-2,2-dimethyl- (CA INDEX NAME)



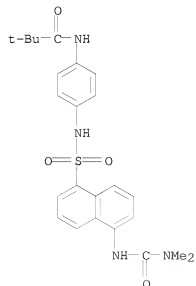
RN 321188-90-3 CAPLUS
 CN Propanamide, N-[4-[[[5-[[[(dodecylamino)carbonyl]amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-2,2-dimethyl- (CA INDEX NAME)



RN 321188-91-4 CAPLUS
 CN 1-Pyrrolidinecarboxamide, N-[5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)

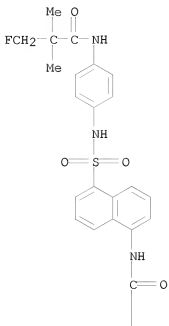


RN 321188-92-5 CAPLUS
 CN Propanamide, N-[4-[[[5-[[[(dimethylamino)carbonyl]amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-2,2-dimethyl- (CA INDEX NAME)



RN 321188-93-6 CAPLUS
 CN 1-Pyrrolidinecarboxamide, N-[5-[[[4-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)

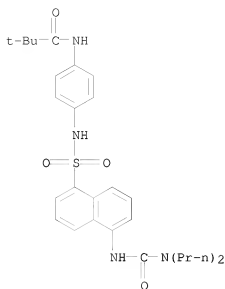
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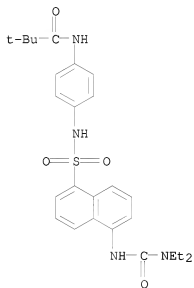
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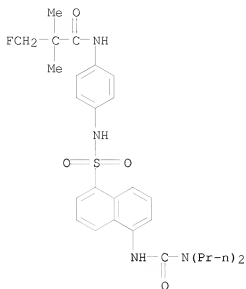
RN 321188-94-7 CAPLUS
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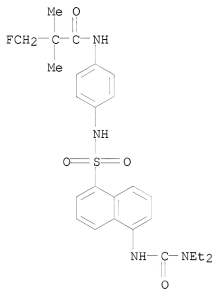
RN 321188-95-8 CAPLUS
 CN Propanamide, N-[4-[[[5-[[[(diethylamino)carbonyl]amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-2,2-dimethyl- (CA INDEX NAME)]



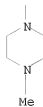
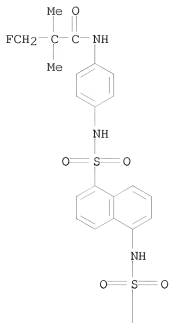
RN 321188-96-9 CAPLUS
 CN Propanamide, N-[4-[[[5-[[[(diethylamino)carbonyl]amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-3-fluoro-2,2-dimethyl- (9CI) (CA INDEX NAME)]



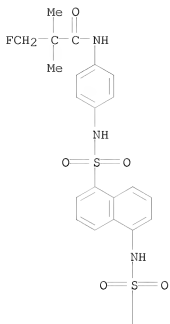
RN 321188-97-0 CAPLUS
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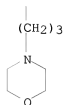
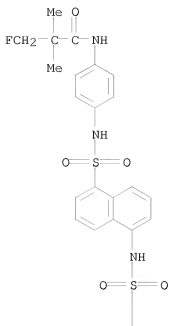
RN 321188-98-1 CAPLUS
 CN Propanamide, 3-fluoro-2,2-dimethyl-N-[4-[[[5-[[[(4-methyl-1-piperazinyl)sulfonyl]amino]-1-naphthalenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)



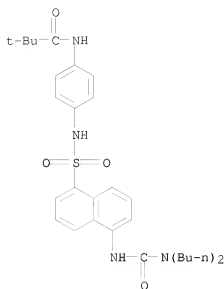
RN 321188-99-2 CAPLUS
 CN Propanamide, 3-fluoro-2,2-dimethyl-N-[4-[[[5-[(4-morpholinylsulfonyl)amino]-1-naphthalenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)



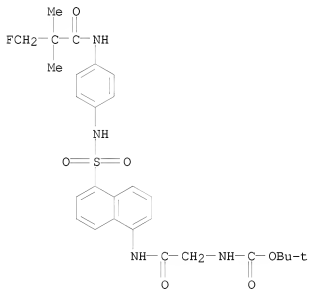
RN 321189-00-8 CAPLUS
 CN Propanamide, 3-fluoro-2,2-dimethyl-N-[4-[[[5-[[[3-(4-morpholinyl)propyl]sulfonyl]amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-
 (CA INDEX NAME)



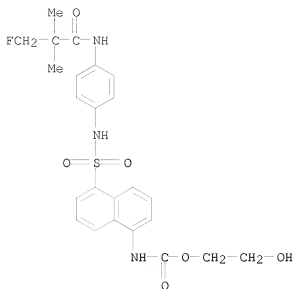
RN 321189-01-9 CAPLUS
 CN Propanamide, N-[4-[[[5-[[[(dibutylamino)carbonyl]amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-2,2-dimethyl- (CA INDEX NAME)



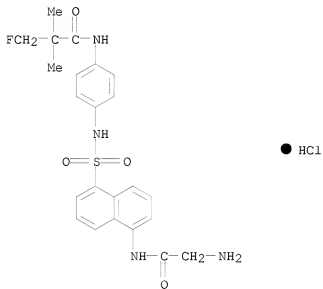
RN 321189-02-0 CAPLUS
 CN Carbamic acid, [2-[[[5-[[[4-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



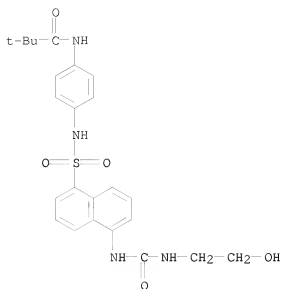
RN 321189-03-1 CAPLUS
 CN Carbamic acid, [5-[[[4-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 2-hydroxyethyl ester (9CI) (CA INDEX NAME)



RN 321189-04-2 CAPLUS
 CN Propanamide, N-[4-[[[5-[(2-aminoacetyl)amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-3-fluoro-2,2-dimethyl-, hydrochloride (1:1) (CA INDEX NAME)

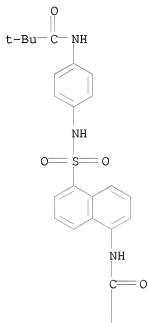


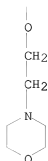
RN 321189-07-5 CAPLUS
 CN Propanamide, N-[4-[[[5-[[[(2-hydroxyethyl)amino]carbonyl]amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-2,2-dimethyl- (CA INDEX NAME)



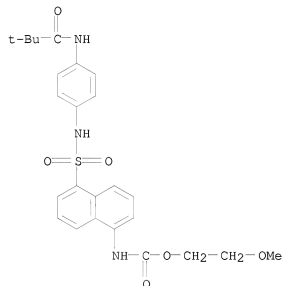
RN 321189-10-0 CAPLUS
 CN Carbamic acid, [5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 2-(4-morpholinyl)ethyl ester (9CI) (CA INDEX NAME)

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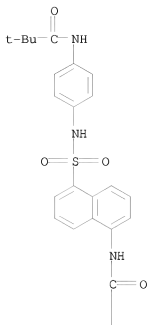




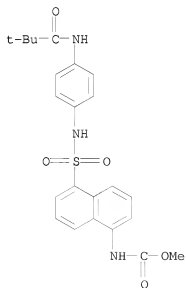
RN 321189-11-1 CAPLUS
 CN Carbamic acid, [5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)



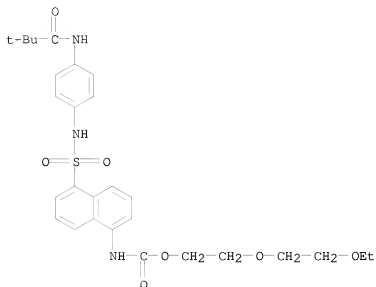
RN 321189-12-2 CAPLUS
 CN 4-Morpholinecarboxamide, N-[5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



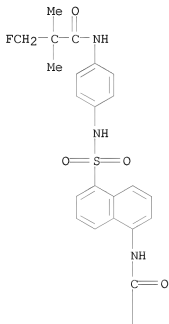
RN 321189-13-3 CAPLUS
 CN Carbamic acid, [5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, methyl ester (9CI) (CA INDEX NAME)



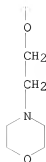
RN 321189-14-4 CAPLUS
 CN Carbamic acid, [5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 2-(2-ethoxyethoxy)ethyl ester (9CI) (CA INDEX NAME)



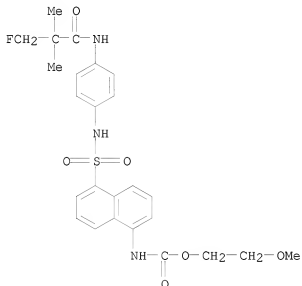
RN 321189-15-5 CAPLUS
 CN Carbamic acid, [5-[[[4-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 2-(4-morpholinyl)ethyl ester (9CI) (CA INDEX NAME)



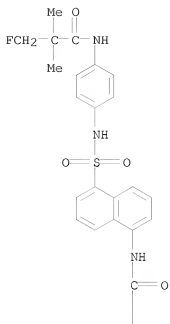
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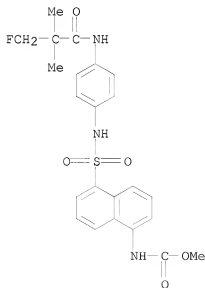
RN 321189-16-6 CAPLUS
 CN Carbamic acid, [5-[[[4-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)



RN 321189-17-7 CAPLUS
 CN 4-Morpholinecarboxamide, N-[5-[[[4-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)

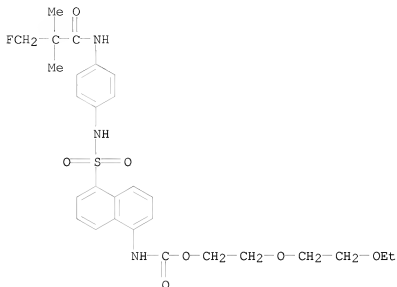


RN 321189-18-8 CAPLUS
 CN Carbamic acid, [5-[[[4-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, methyl ester (9CI) (CA INDEX NAME)



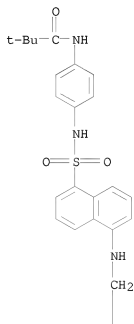
RN 321189-19-9 CAPLUS

CN Carbamic acid, [5-[[[4-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 2-(2-ethoxyethoxy)ethyl ester (9CI) (CA INDEX NAME)

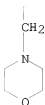


RN 321189-20-2 CAPLUS

CN Propanamide, 2,2-dimethyl-N-[4-[[[5-[[2-(4-morpholinyl)ethyl]amino]-1-naphthalenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)

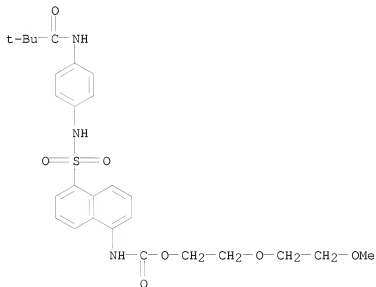


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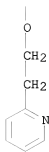
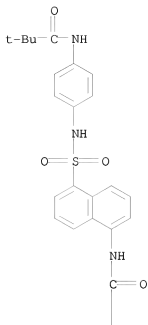
RN 321189-21-3 CAPLUS

CN Carbamic acid, [5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 2-(2-methoxyethoxy)ethyl ester (9CI) (CA INDEX NAME)

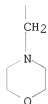
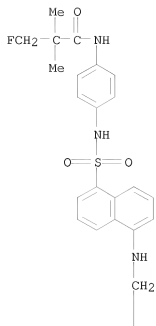


RN 321189-22-4 CAPLUS

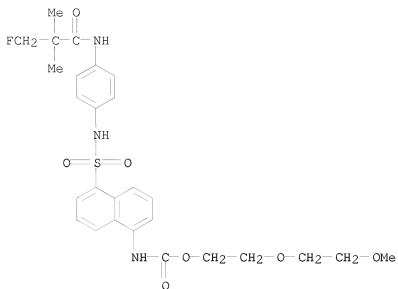
CN Carbamic acid, [5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 2-(2-pyridinyl)ethyl ester (9CI) (CA INDEX NAME)



RN 321189-23-5 CAPLUS
 CN Propanamide, 2-(4-((2-(4-morpholinyl)ethyl)amino)-1-naphthalenyl)sulfonylamino-phenyl]- (CA INDEX NAME)

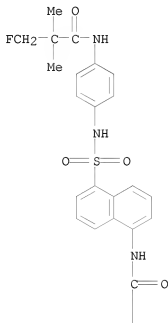


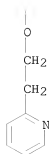
RN 321189-24-6 CAPLUS
 CN Carbamic acid, [5-[[[4-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 2-(2-methoxyethoxy)ethyl ester (9CI) (CA INDEX NAME)



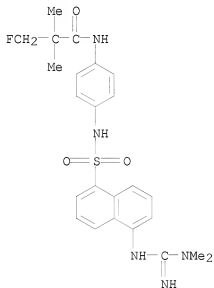
RN 321189-25-7 CAPLUS
 CN Carbamic acid, [5-[[[4-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 2-(2-pyridinyl)ethyl ester (9CI) (CA INDEX NAME)

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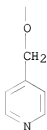
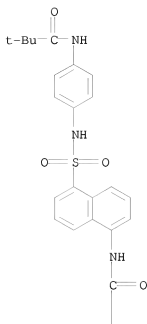




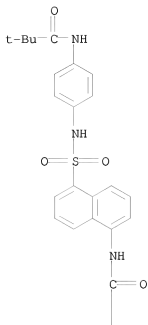
RN 321189-26-8 CAPLUS
 CN Propanamide, N-[4-[[[5-[[[(dimethylamino)iminomethyl]amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-3-fluoro-2,2-dimethyl- (CA INDEX NAME)



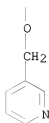
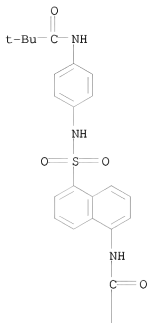
RN 321189-27-9 CAPLUS
 CN Carbamic acid, [5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 4-pyridinylmethyl ester (9CI) (CA INDEX NAME)



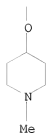
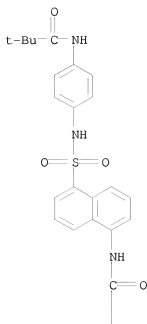
RN 321189-28-0 CAPLUS
 CN 1H-Azepine-1-carboxamide, N-[5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]hexahydro- (CA
 INDEX NAME)



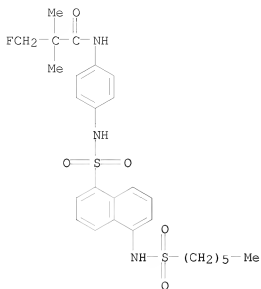
RN 321189-29-1 CAPLUS
 CN Carbamic acid, [5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 3-pyridinylmethyl ester (9CI) (CA INDEX NAME)



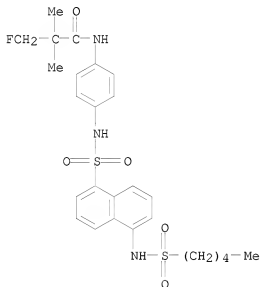
RN 321189-30-4 CAPLUS
 CN Carbamic acid, [5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 1-methyl-4-piperidinyl ester (9CI) (CA INDEX NAME)



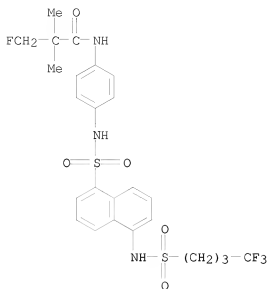
RN 321189-31-5 CAPLUS
 CN Propanamide, 2-(fluoromethyl)-N-[4-[[[5-[(hexylsulfonyl)amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-2-methyl- (CA INDEX NAME)



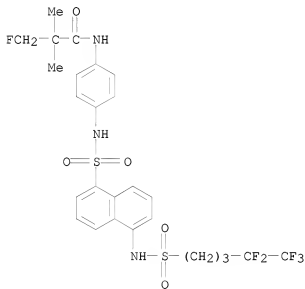
RN 321189-32-6 CAPLUS
 CN Propanamide, 3-fluoro-2,2-dimethyl-N-[4-[[[5-[(pentylsulfonyl)amino]-1-naphthalenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)



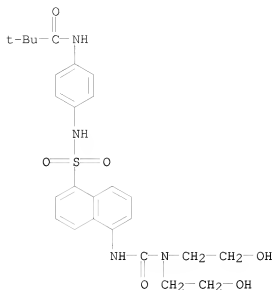
RN 321189-43-9 CAPLUS
 CN Propanamide, 2-(fluoromethyl)-2-methyl-N-[4-[[[5-[[[4,4,4-trifluorobutyl)sulfonyl]amino]-1-naphthalenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)



RN 321189-44-0 CAPLUS
 CN Propanamide, 3-fluoro-2,2-dimethyl-N-[4-[[[5-[[[(4,4,5,5-pentafluoropentyl)sulfonyl]amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-(CA INDEX NAME)

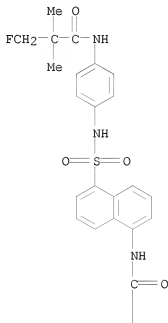


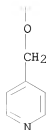
RN 321189-45-1 CAPLUS
 CN Propanamide, N-[4-[[[5-[[[bis(2-hydroxyethyl)amino]carbonyl]amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-2,2-dimethyl- (CA INDEX NAME)



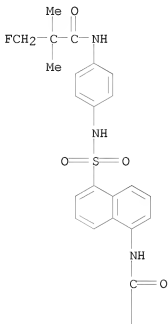
RN 321189-46-2 CAPLUS
 CN Carbamic acid, [5-[[[4-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 4-pyridinylmethyl ester (9CI) (CA INDEX NAME)

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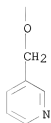
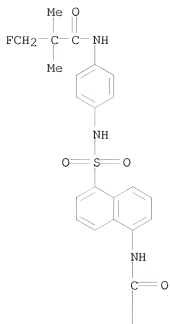




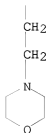
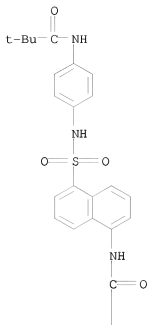
RN 321189-47-3 CAPLUS
 CN 1H-Azepine-1-carboxamide, N-[5-[[[4-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]hexahydro- (CA INDEX NAME)



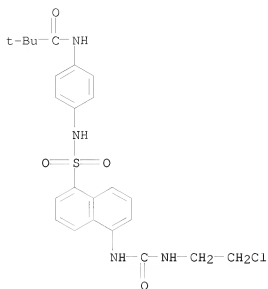
RN 321189-48-4 CAPLUS
 CN Carbamic acid, [5-[[[4-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 3-pyridinylmethyl ester (9CI) (CA INDEX NAME)



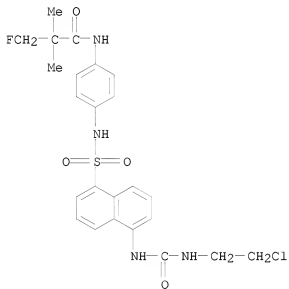
RN 321189-52-0 CAPLUS
 CN 4-Morpholinepropanamide, N-[5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



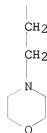
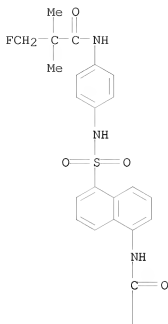
RN 321189-53-1 CAPLUS
 CN Propanamide, N-[4-[[[5-[[[(2-chloroethyl)amino]carbonyl]amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-2,2-dimethyl- (CA INDEX NAME)



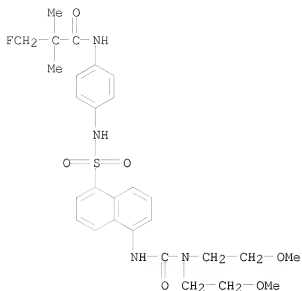
RN 321189-54-2 CAPLUS
 CN Propanamide, N-[4-[[[5-[[[(2-chloroethyl)amino]carbonyl]amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-3-fluoro-2,2-dimethyl- (CA INDEX NAME)



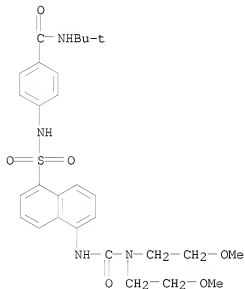
RN 321189-55-3 CAPLUS
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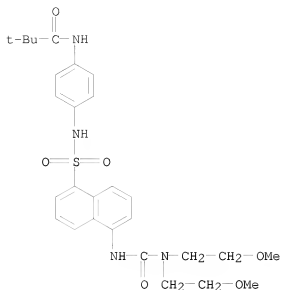
RN 321189-56-4 CAPLUS
 CN Propanamide, N-[4-[[[5-[[[bis(2-methoxyethyl)amino]carbonyl]amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-3-fluoro-2,2-dimethyl- (9CI) (CA INDEX NAME)



RN 321189-57-5 CAPLUS
 CN Benzamide, 4-[[[5-[[[bis(2-methoxyethyl)amino]carbonyl]amino]-1-naphthalenyl]sulfonyl]amino]-N-(1,1-dimethylethyl)- (CA INDEX NAME)

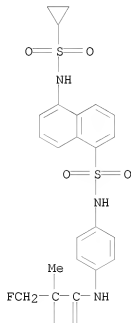


RN 321189-58-6 CAPLUS
 CN Propanamide, N-[4-[[[5-[[[bis(2-methoxyethyl)amino]carbonyl]amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-2,2-dimethyl- (CA INDEX NAME)



RN 321189-62-2 CAPLUS
 CN Propanamide, N-[4-[[[5-[(cyclopropylsulfonyl)amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-3-fluoro-2,2-dimethyl- (CA INDEX NAME)

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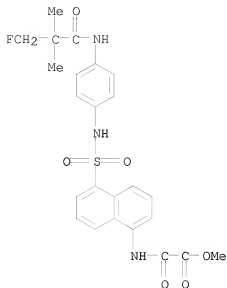


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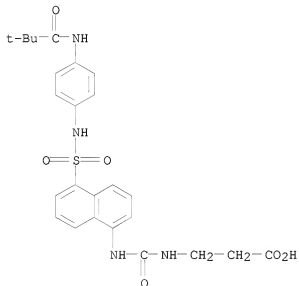
RN 321189-63-3 CAPLUS

CN Acetic acid, 2-[[[5-[[[4-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]amino]-2-oxo-, methyl ester (CA INDEX NAME)



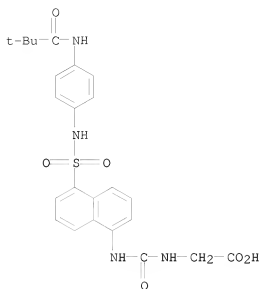
RN 321189-65-5 CAPLUS

CN β -Alanine, N-[[[5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]amino]carbonyl]-(CA INDEX NAME)

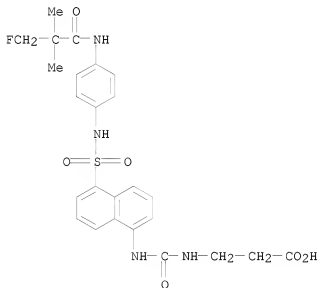


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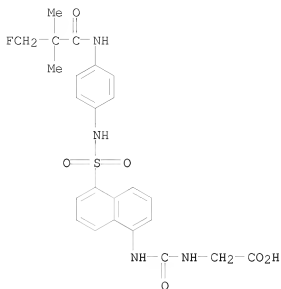
CN Glycine, N-[[[5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]amino]carbonyl]-(CA INDEX NAME)



RN 321189-67-7 CAPLUS
 CN β -Alanine, N-[[[5-[[[4-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]amino]carbonyl]-
 (CA INDEX NAME)

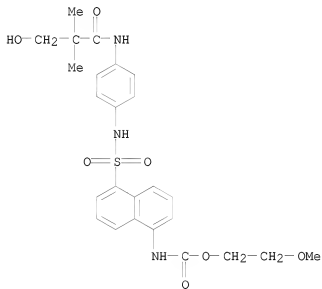


RN 321189-68-8 CAPLUS
 CN Glycine, N-[[[5-[[[4-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]amino]carbonyl]-
 (CA INDEX NAME)



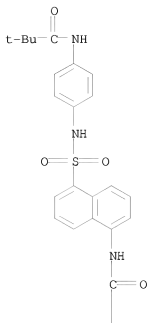
RN 321189-70-2 CAPLUS

CN Carbamic acid, [5-[[[4-[(3-hydroxy-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)

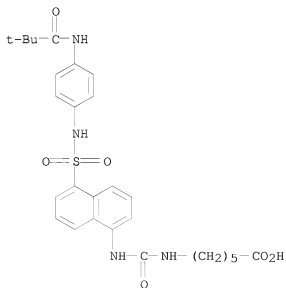


RN 321189-71-3 CAPLUS

CN 1-Piperidinecarboxamide, N-[5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)

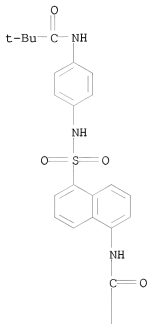


RN 321189-72-4 CAPLUS
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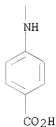


RN 321189-73-5 CAPLUS
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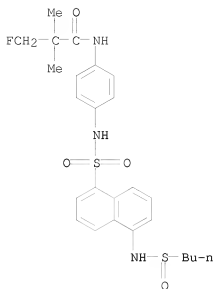
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RN 321189-79-1 CAPLUS
 CN Propanamide, N-[4-[[[5-[(butylsulfinyl)amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-3-fluoro-2,2-dimethyl- (CA INDEX NAME)



L8 ANSWER 27 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:61535 CAPLUS

DOCUMENT NUMBER: 134:115761

TITLE: Preparation of naphthalenesulfonamides as virucides for treatment of cytomegalovirus infection.

INVENTOR(S): Eckenberg, Peter; Reefsclaeger, Juergen; Bender, Wolfgang; Goldmann, Siegfried; Haerter, Michael; Hallenberger, Sabine; Keldenich, Joerg; Weber, Olaf; Henninger, Kerstin

PATENT ASSIGNEE(S): Bayer Ag, Germany

SOURCE: Ger. Offen., 38 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

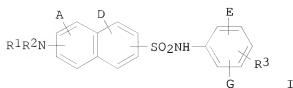
PATENT INFORMATION:

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DE 19934321	A1	20010125	DE 1999-19934321	19990721
WO 2001007403	A1	20010201	WO 2000-EP6515	20000710
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: DE 1999-19934321 A 19990721

OTHER SOURCE(S): MARPAT 134:115761

GI



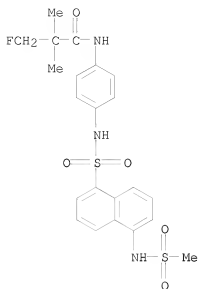
AB Title compds. [I; R1 = H, alkanoyl; R2 = heterocyclylalkyl, COR4, SO2R5, etc.; R4 = (substituted) alkyl, heterocyclyl, cycloalkyl, etc.; R5 = (substituted) alkyl, cycloalkyl, amino; R3 = NHCOR17, CONHR17; R17 = (substituted) alkyl; A, D, E, G = H, halo, NO2, cyano, OH, CO2H, CF3, OCF3, alkyl, alkoxy, alkanoyloxy, alkoxycarbonyl, alkylsulfonyl], were prepared Thus, N-(4-aminophenyl)-3-fluoro-2,2-dimethylpropionamide, pyridine, and 5-[(3-morpholinopropanoyl)amino]-1-naphthalenesulfonyl chloride were stirred 18 h to give 26% 3-fluoro-2,2-dimethyl-N-[4-[[[5-[(3-morpholinopropanoyl)amino]-1-naphthyl]sulfonyl]amino]phenyl]propionamide. The latter inhibited human cytomegalovirus in human embryonic lung fibroblasts with EC50 = 0.049 µM.

IT 321188-81-2P 321188-82-3P 321188-83-4P
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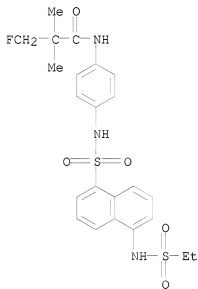
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of naphthalenesulfonamides as virucides for treatment of cytomegalovirus infection)

RN 321188-81-2 CAPLUS

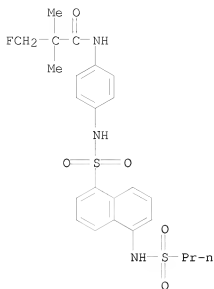
CN Propanamide, 3-fluoro-2,2-dimethyl-N-[4-[[[5-[(methylsulfonyl)amino]-1-naphthalenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)



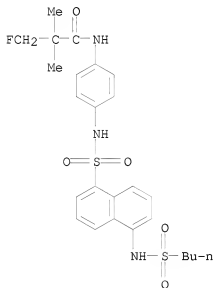
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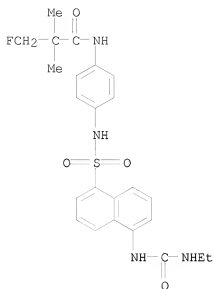
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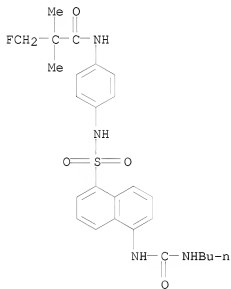
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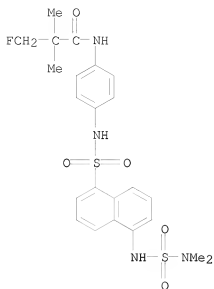
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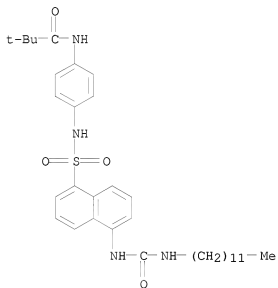
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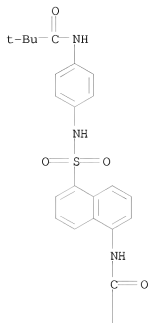
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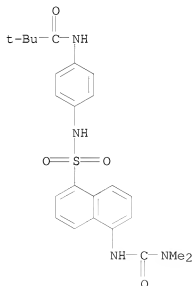
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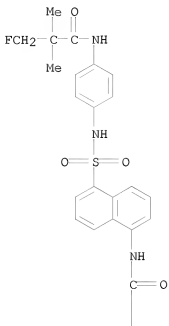


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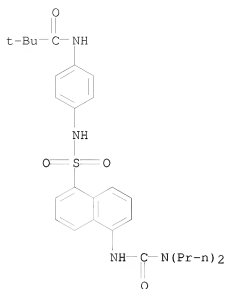
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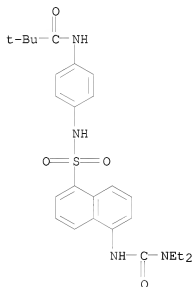
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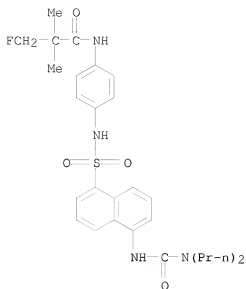
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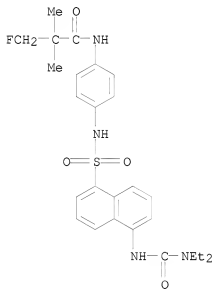
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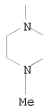
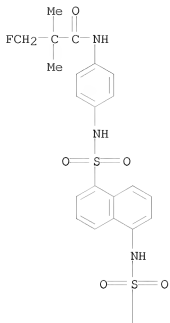
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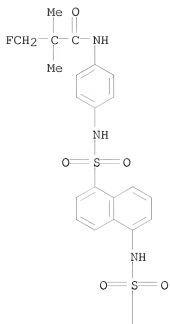
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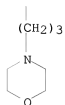
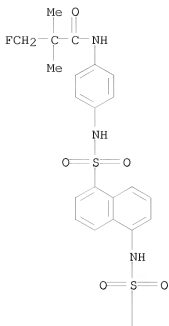
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 CN Propanamide, 3-fluoro-2,2-dimethyl-N-[4-[[[5-[[[(4-methyl-1-piperazinyl)sulfonyl]amino]-1-naphthalenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)



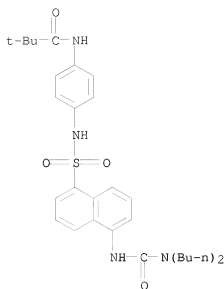
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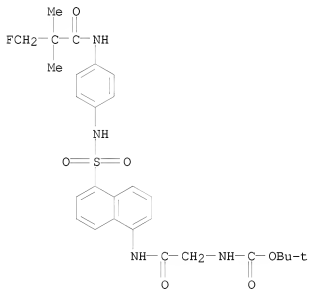
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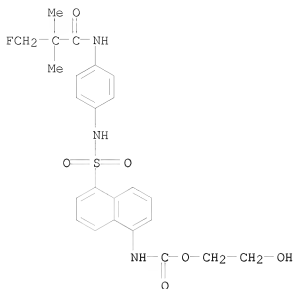
RN 321189-01-9 CAPLUS
 CN Propanamide, N-[4-[[[5-[[[(dibutylamino)carbonyl]amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-2,2-dimethyl- (CA INDEX NAME)



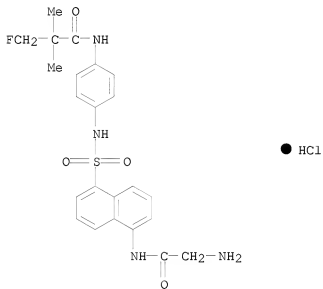
RN 321189-02-0 CAPLUS
 CN Carbamic acid, [2-[[[5-[[[4-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



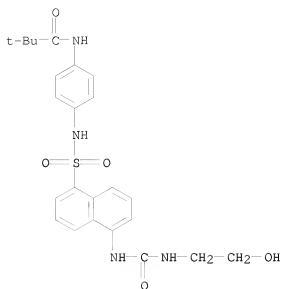
RN 321189-03-1 CAPLUS
 CN Carbamic acid, [5-[[[4-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 2-hydroxyethyl ester (9CI) (CA INDEX NAME)



RN 321189-04-2 CAPLUS
 CN Propanamide, N-[4-[[[5-[(2-aminoacetyl)amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-3-fluoro-2,2-dimethyl-, hydrochloride (1:1) (CA INDEX NAME)

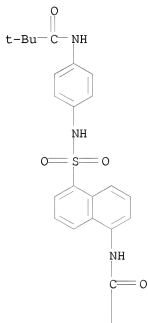


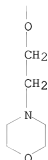
RN 321189-07-5 CAPLUS
 CN Propanamide, N-[4-[[[5-[[[(2-hydroxyethyl)amino]carbonyl]amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-2,2-dimethyl- (CA INDEX NAME)



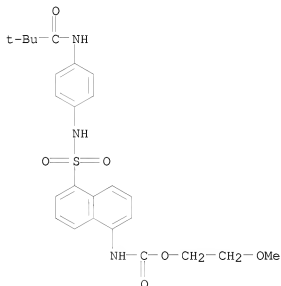
RN 321189-10-0 CAPLUS
 CN Carbamic acid, [5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 2-(4-morpholinyl)ethyl ester (9CI) (CA INDEX NAME)

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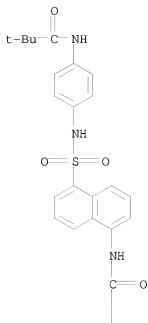




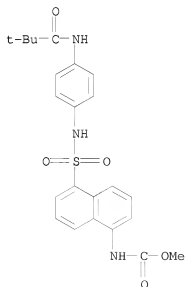
RN 321189-11-1 CAPLUS
 CN Carbamic acid, [5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)



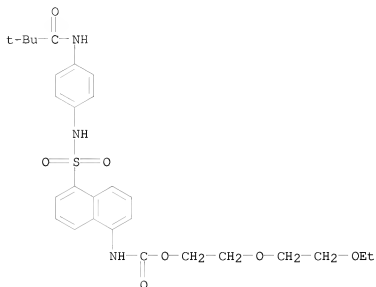
RN 321189-12-2 CAPLUS
 CN 4-Morpholinecarboxamide, N-[5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



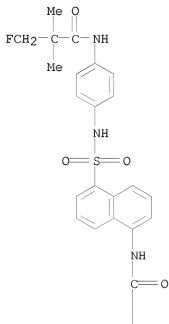
RN 321189-13-3 CAPLUS
 CN Carbamic acid, [5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, methyl ester (9CI) (CA INDEX NAME)



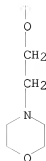
RN 321189-14-4 CAPLUS
 CN Carbamic acid, [5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 2-(2-ethoxyethoxy)ethyl ester (9CI) (CA INDEX NAME)



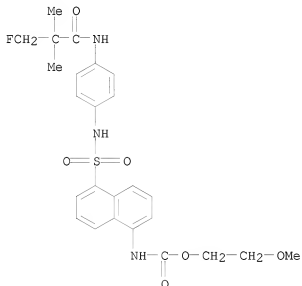
RN 321189-15-5 CAPLUS
 CN Carbamic acid, [5-[[[4-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 2-(4-morpholinyl)ethyl ester (9CI) (CA INDEX NAME)



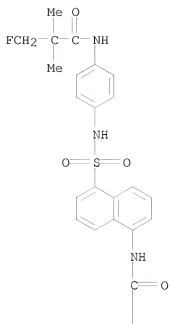
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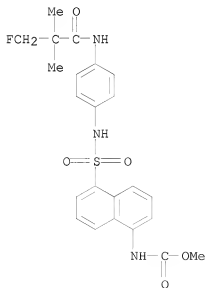
RN 321189-16-6 CAPLUS
 CN Carbamic acid, [5-[[[4-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)



RN 321189-17-7 CAPLUS
 CN 4-Morpholinecarboxamide, N-[5-[[[4-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)

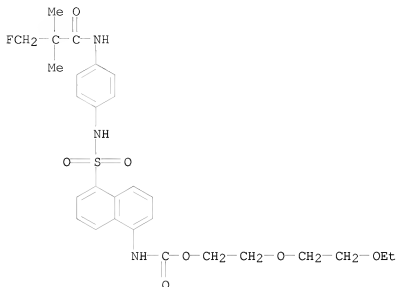


RN 321189-18-8 CAPLUS
 CN Carbamic acid, [5-[[[4-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, methyl ester (9CI) (CA INDEX NAME)



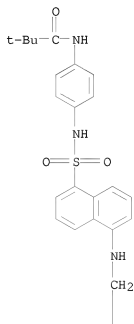
RN 321189-19-9 CAPLUS

CN Carbamic acid, [5-[[[4-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 2-(2-ethoxyethoxy)ethyl ester (9CI) (CA INDEX NAME)

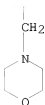


RN 321189-20-2 CAPLUS

CN Propanamide, 2,2-dimethyl-N-[4-[[[5-[2-(4-morpholinyl)ethyl]amino]-1-naphthalenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)

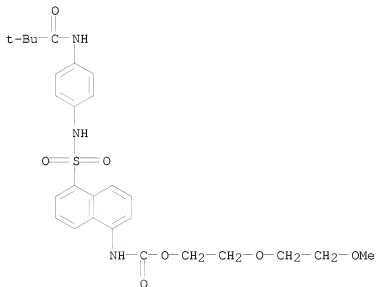


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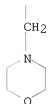
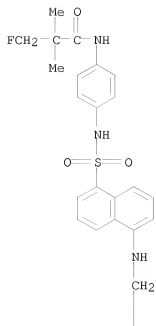
RN 321189-21-3 CAPLUS

CN Carbamic acid, [5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 2-(2-methoxyethoxy)ethyl ester (9CI) (CA INDEX NAME)

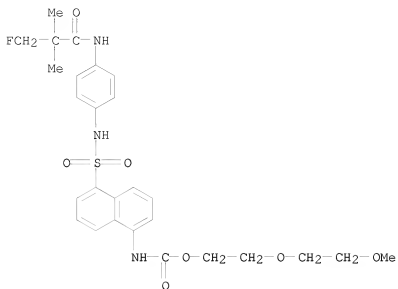


RN 321189-22-4 CAPLUS

CN Carbamic acid, [5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 2-(2-pyridinyl)ethyl ester (9CI) (CA INDEX NAME)

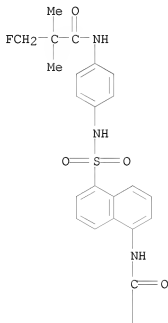


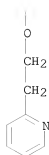
RN 321189-24-6 CAPLUS
 CN Carbamic acid, [5-[[[4-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 2-(2-methoxyethoxy)ethyl ester (9CI) (CA INDEX NAME)



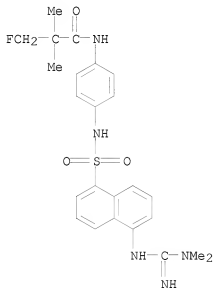
RN 321189-25-7 CAPLUS
 CN Carbamic acid, [5-[[[4-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 2-(2-pyridinyl)ethyl ester (9CI) (CA INDEX NAME)

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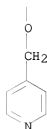
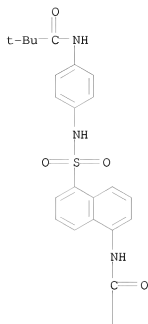




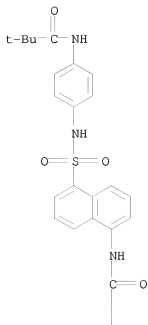
RN 321189-26-8 CAPLUS
 CN Propanamide, N-[4-[[[5-[[[(dimethylamino)iminomethyl]amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-3-fluoro-2,2-dimethyl- (CA INDEX NAME)



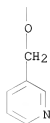
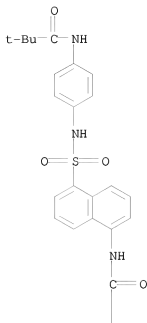
RN 321189-27-9 CAPLUS
 CN Carbamic acid, [5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 4-pyridinylmethyl ester (9CI) (CA INDEX NAME)



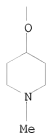
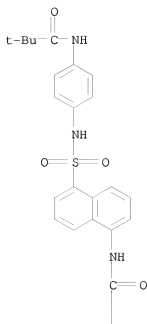
RN 321189-28-0 CAPLUS
 CN 1H-Azepine-1-carboxamide, N-[5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]hexahydro- (CA
 INDEX NAME)



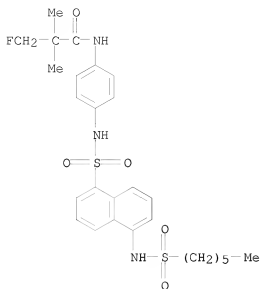
RN 321189-29-1 CAPLUS
 CN Carbamic acid, [5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 3-pyridinylmethyl ester (9CI) (CA INDEX NAME)



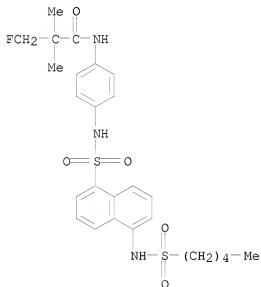
RN 321189-30-4 CAPLUS
 CN Carbamic acid, [5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 1-methyl-4-piperidinyl ester (9CI) (CA INDEX NAME)



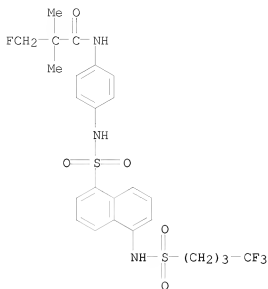
RN 321189-31-5 CAPLUS
 CN Propanamide, 2-(fluoromethyl)-N-[4-[[[5-[(hexylsulfonyl)amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-2-methyl- (CA INDEX NAME)



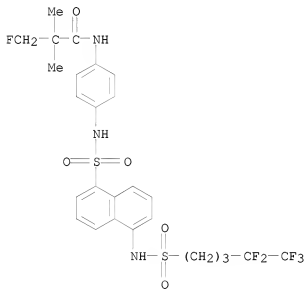
RN 321189-32-6 CAPLUS
 CN Propanamide, 3-fluoro-2,2-dimethyl-N-[4-[[[5-[(pentylsulfonyl)amino]-1-naphthalenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)



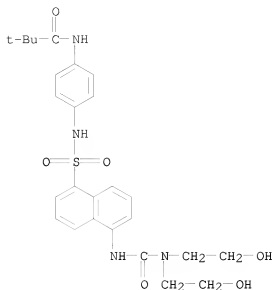
RN 321189-43-9 CAPLUS
 CN Propanamide, 2-(fluoromethyl)-2-methyl-N-[4-[[[5-[[[4,4,4-trifluorobutyl)sulfonyl]amino]-1-naphthalenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)



RN 321189-44-0 CAPLUS
 CN Propanamide, 3-fluoro-2,2-dimethyl-N-[4-[[[5-[[[(4,4,5,5-pentafluoropentyl)sulfonyl]amino]-1-naphthalenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)

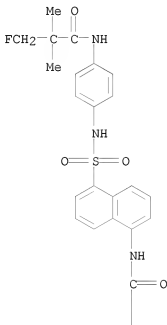


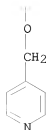
RN 321189-45-1 CAPLUS
 CN Propanamide, N-[4-[[[5-[[[bis(2-hydroxyethyl)amino]carbonyl]amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-2,2-dimethyl- (CA INDEX NAME)



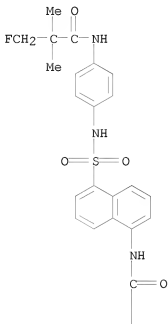
RN 321189-46-2 CAPLUS
 CN Carbamic acid, [5-[[[4-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 4-pyridinylmethyl ester (9CI) (CA INDEX NAME)

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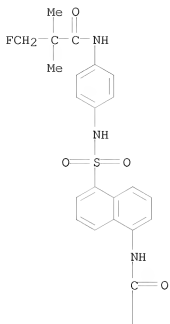


RN 321189-47-3 CAPLUS
 CN 1H-Azepine-1-carboxamide, N-[5-[[[4-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]hexahydro- (CA INDEX NAME)

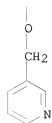


RN 321189-48-4 CAPLUS
 CN Carbamic acid, [5-[[[4-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 3-pyridinylmethyl ester (9CI) (CA INDEX NAME)

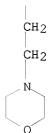
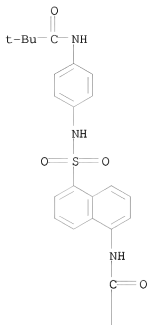
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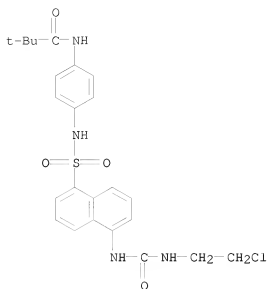
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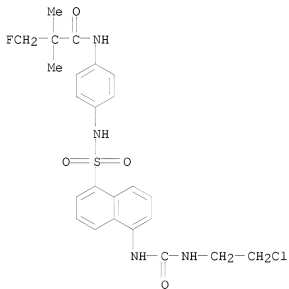
RN 321189-52-0 CAPLUS
 CN 4-Morpholinepropanamide, N-[5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



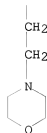
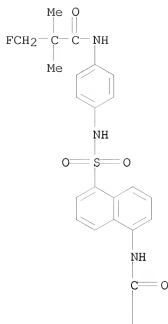
RN 321189-53-1 CAPLUS
 CN Propanamide, N-[4-[[[5-[[[(2-chloroethyl)amino]carbonyl]amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-2,2-dimethyl- (CA INDEX NAME)



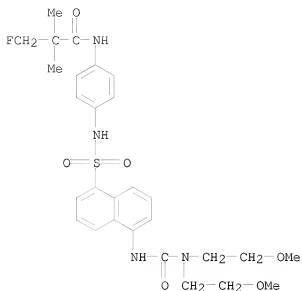
RN 321189-54-2 CAPLUS
 CN Propanamide, N-[4-[[[5-[[[(2-chloroethyl)amino]carbonyl]amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-3-fluoro-2,2-dimethyl- (CA INDEX NAME)



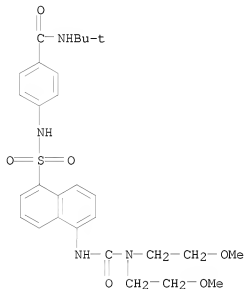
RN 321189-55-3 CAPLUS
 CN 4-Morpholinepropanamide, N-[5-[[[4-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



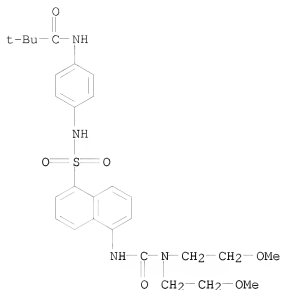
RN 321189-56-4 CAPLUS
 CN Propanamide, N-[4-[[[5-[[[bis(2-methoxyethyl)amino]carbonyl]amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-3-fluoro-2,2-dimethyl- (9CI) (CA INDEX NAME)



RN 321189-57-5 CAPLUS
 CN Benzamide, 4-[[[5-[[[bis(2-methoxyethyl)amino]carbonyl]amino]-1-naphthalenyl]sulfonyl]amino]-N-(1,1-dimethylethyl)- (CA INDEX NAME)

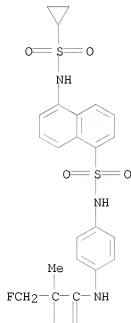


RN 321189-58-6 CAPLUS
 CN Propanamide, N-[4-[[[5-[[[bis(2-methoxyethyl)amino]carbonyl]amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-2,2-dimethyl- (CA INDEX NAME)



RN 321189-62-2 CAPLUS
 CN Propanamide, N-[4-[[[5-[(cyclopropylsulfonyl)amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-3-fluoro-2,2-dimethyl- (CA INDEX NAME)

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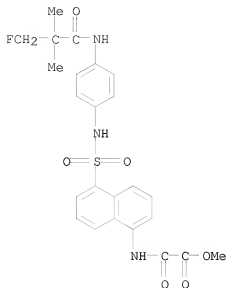


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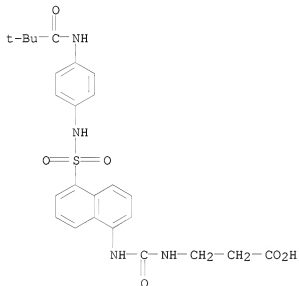
RN 321189-63-3 CAPLUS

CN Acetic acid, 2-[[[5-[[[4-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]amino]-2-oxo-, methyl ester (CA INDEX NAME)



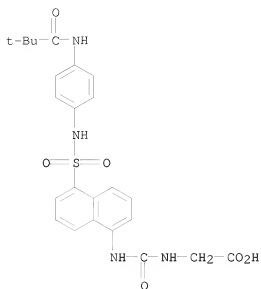
RN 321189-65-5 CAPLUS

CN β -Alanine, N-[[[5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]amino]carbonyl]-(CA INDEX NAME)

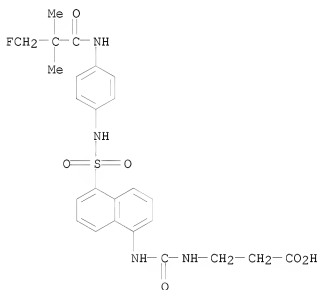


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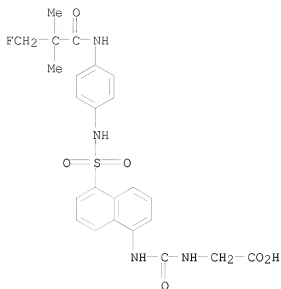
CN Glycine, N-[[[5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]amino]carbonyl]-(CA INDEX NAME)



RN 321189-67-7 CAPLUS
 CN β -Alanine, N-[[[5-[[[4-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]amino]carbonyl]-
 (CA INDEX NAME)

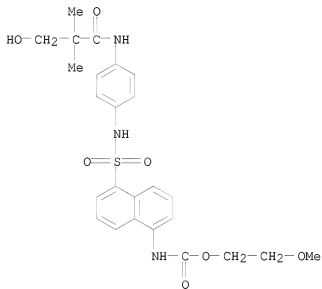


RN 321189-68-8 CAPLUS
 CN Glycine, N-[[[5-[[[4-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]amino]carbonyl]-
 (CA INDEX NAME)



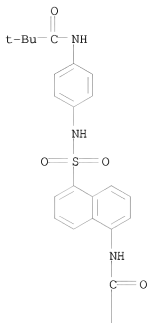
RN 321189-70-2 CAPLUS

CN Carbamic acid, [5-[[[4-[(3-hydroxy-2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)

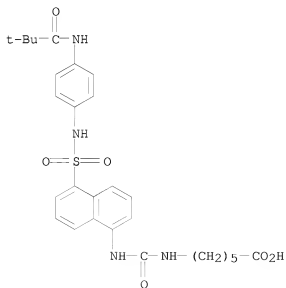


RN 321189-71-3 CAPLUS

CN 1-Piperidinecarboxamide, N-[5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)

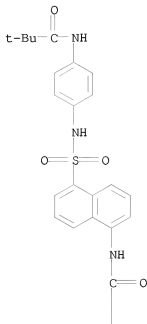


RN 321189-72-4 CAPLUS
 CN Hexanoic acid, 6-[[[5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]amino]carbonyl]amino]- (CA INDEX NAME)

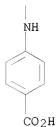


RN 321189-73-5 CAPLUS
 CN Benzoic acid, 4-[[[5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]amino]carbonyl]amino]- (CA INDEX NAME)

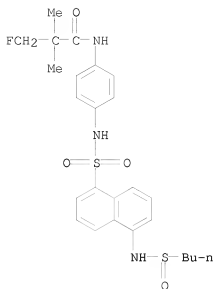
PAGE 1-A



PAGE 2-A



RN 321189-79-1 CAPLUS
 CN Propanamide, N-[4-[[[5-[(butylsulfinyl)amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-3-fluoro-2,2-dimethyl- (CA INDEX NAME)



L8 ANSWER 28 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:384159 CAPLUS

DOCUMENT NUMBER: 133:30670

TITLE: Preparation of substituted benzo[de]isoquinoline-1,3-diones as glycoprotein IbIX antagonists

INVENTOR(S): Mederski, Werner; Devant, Ralf; Barnickel, Gerhard; Bernotat-Danielowski, Sabine; Melzer, Guido; Raddatz, Peter; Wu, Zhengdong; Dhanoa, Daljit; Soll, Richard; Rinker, James; Graybill, Todd

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: PCT Int. Appl., 278 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

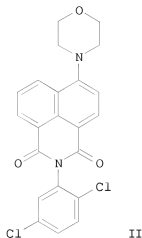
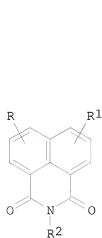
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000032577	A2	20000608	WO 1999-EP8561	19991109
WO 2000032577	A3	20000921		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2352045	A1	20000608	CA 1999-2352045	19991109
BR 9915648	A	20010814	BR 1999-15648	19991109
EP 1144381	A2	20011017	EP 1999-968783	19991109
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
HU 2001004520	A2	20020429	HU 2001-4520	19991109
HU 2001004520	A3	20021028		
JP 2002537225	T	20021105	JP 2000-585219	19991109
AU 760136	B2	20030508	AU 2000-26603	19991109
TW 473474	B	20020121	TW 1999-88120540	19991124

NO 2001002544	A	20010523	NO 2001-2544	20010523
MX 2001PA05227	A	20011203	MX 2001-PA5227	20010524
ZA 2001005191	A	20021213	ZA 2001-5191	20010622
IN 2001KN00647	A	20050311	IN 2001-KN647	20010626
PRIORITY APPLN. INFO.:			US 1998-199413	A 19981125
			US 1999-398783	A 19990920
			WO 1999-EP8561	W 19991109
OTHER SOURCE(S):	MARPAT 133:30670			
GI				



AB The title compds. [I; R = H, NO₂; R₁ = Het, -HetSO₂Ar, NO₂, etc.; R₂ = Ar, Het₁, -Het₁Ar, etc.; Ar = Ph, biphenyl, pyridyl, etc.; Het, Het₁ = (un)substituted (un)saturated mono-, bi- or tricyclic 5-13 membered heterocyclyl], useful as glycoprotein IbIX antagonists (no data) for the control of thrombotic disorders, were prepared and formulated. E.g., preparation

of II was given. Compds. I are effective at 0.02-10 mg/kg/day.

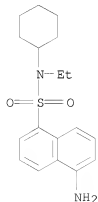
IT 179955-58-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of substituted benzo[de]isoquinoline-1,3-diones as glycoprotein IbIX antagonists)

RN 179955-58-9 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-cyclohexyl-N-ethyl- (CA INDEX NAME)



L8 ANSWER 29 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:234750 CAPLUS

DOCUMENT NUMBER: 133:37706

TITLE: Global 3D-QSAR methods: MS-WHIM and autocorrelation
AUTHOR(S): Gancia, Emanuela; Bravi, Gianpaolo; Mascagni, Paolo;
Zaliani, Andrea

CORPORATE SOURCE: Italfarmaco Research Centre, Milan, I-20092, Italy
SOURCE: Journal of Computer-Aided Molecular Design (2000),
14(3), 293-306

CODEN: JCADEQ; ISSN: 0920-654X

PUBLISHER: Kluwer Academic Publishers

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The recently proposed MS-WHIM indexes, a set of theor. descriptors containing information about size, shape and electrostatic distribution of a mol., have been further investigated. The main objectives of this work were: (i) to confirm the descriptive power of MS-WHIM in modeling specific biol. interactions, (ii) to analyze the dependence of MS-WHIM on the type of atomic charges used for computing electrostatic potential and (iii) to compare the performances of MS-WHIM with those provided by other global 3D mol. descriptors. The spatial autocorrelation of atomic and mol. surface properties were selected for comparison purposes. WHIM-based and autocorrelation-based vectors were calculated for two mol. sets from the literature, namely a series of 18 HIV-1 reverse transcriptase inhibitors and a set of 36 sulfonamide endothelin inhibitors. PLS was adopted to derive statistical predictive models that were validated by cross-validation. The reported results confirmed that MS-WHIM indexes are able to provide meaningful statistical correlations with biol. activity. MS-WHIM descriptors are sensitive to the type of partial atomic charges applied and improved models were obtained using more accurate charges. Moreover for both the datasets, MS-WHIM results, in terms of fitting and predictive power of PLS models, were superior to those from autocorrelation. Finally, the strengths/weaknesses of global 3D-QSAR descriptors over local CoMFA-like methods, as well as the main differences between WHIM-based and autocorrelation-based vectors, are discussed.

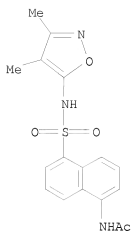
IT 153042-43-4 153042-45-6 153457-90-0

153458-00-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(global 3D-QSAR methods using MS-WHIM and autocorrelation applied to HIV-1 reverse transcriptase inhibitors and sulfonamide endothelin inhibitors)

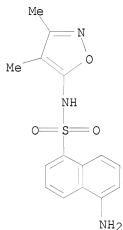
RN 153042-43-4 CAPLUS

CN Acetamide, N-[5-[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



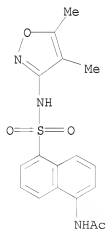
RN 153042-45-6 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(3,4-dimethyl-5-isoxazolyl)- (CA INDEX NAME)

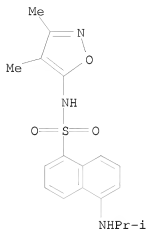


RN 153457-90-0 CAPLUS

CN Acetamide, N-[5-[(4,5-dimethyl-3-isoxazolyl)amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



RN 153458-00-5 CAPLUS
 CN 1-Naphthalenesulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-5-[(1-methylethyl)amino]- (CA INDEX NAME)



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 30 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1999:487266 CAPLUS
 DOCUMENT NUMBER: 131:116085
 TITLE: Preparation of 1-amino-5-naphthalenesulfonamides and related compounds as virucides useful against cytomegalovirus.
 INVENTOR(S): Bender, Wolfgang; Reefschlager, Jurgen; Eckenberg, Peter; Goldmann, Siegfried; Harter, Michael; Hallenberger, Sabine; Trappe, Jorg; Weber, Olaf
 PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
 SOURCE: PCI Int. Appl., 98 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

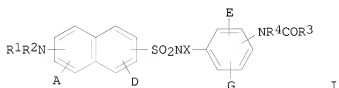
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9937609	A1	19990729	WO 1999-EP99	19990109
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
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AU 9924207	A	19990809	AU 1999-24207	19990109
BR 9907738	A	20001017	BR 1999-7738	19990109
EP 1049666	A1	20001108	EP 1999-903617	19990109
EP 1049666	B1	20030604		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
TR 200002140	T2	20001221	TR 2000-2140	19990109
EE 200000432	A	20011217	EE 2000-432	19990109

JP 2002501043	T	20020115	JP 2000-528533	19990109
NZ 505900	A	20020201	NZ 1999-505900	19990109
HU 2002000918	A2	20020928	HU 2002-918	19990109
AT 242207	T	20030615	AT 1999-903617	19990109
ES 2201666	T3	20040316	ES 1999-903617	19990109
ZA 9900473	A	19990727	ZA 1999-473	19990122
TW 461880	B	20011101	TW 1999-88100933	19990122
NO 2000003601	A	20000914	NO 2000-3601	20000713
IN 2000MN00183	A	20050715	IN 2000-MN183	20000713
BG 104617	A	20010430	BG 2000-104617	20000717
MX 2000PA07167	A	20010405	MX 2000-PA7167	20000721
HR 2000000532	A1	20010228	HR 2000-532	20000809
US 6417181	B1	20020709	US 2000-600750	20000901

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 131:116085

GI



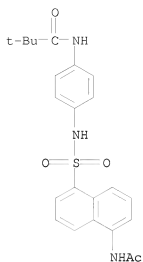
AB Title compds. [I; R1, R2 = H, CHO, (halo-substituted) Ph, PhCH2, (halo- or hydroxy-substituted) alkyl, acyl; A, D, E, G = H, halo, NO2, OH, CH2H, CF3, OCF3, etc.; R3 = alkenyl, (substituted) alkyl, etc.; R4, X = H, aminomethylcarbonyl], were prepared. Thus, N-(4-aminophenyl)pivaloyl chloride (preparation given) in pyridine was treated portion wise with dansyl chloride followed by 18 h stirring to give N-[4-(5-dimethylaminonaphthyl-1-sulfonylamino)phenyl]-2,2-dimethylpropionamide. I showed 10-50 times the anti-HCMV activity of ganciclovir in HELF cell cultures.

IT 233254-77-8P 233254-78-9P 233254-81-4P
233254-85-8P 233254-86-9P 233254-90-5P
233254-91-6P 233255-05-5P 233255-06-6P
233255-07-7P 233255-09-9P 233255-10-2P
233255-11-3P 233255-12-4P 233255-13-5P
233255-15-7P 233255-16-8P 233255-17-9P
233255-18-0P 233255-21-5P 233255-22-6P
233255-23-7P 233255-24-8P 233255-25-9P
233255-37-3P 233255-38-4P 233255-39-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-amino-5-naphthalenesulfonamides and related compds. as virucides useful against cytomegalovirus)

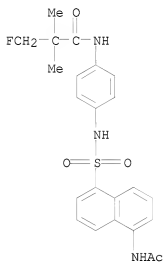
RN 233254-77-8 CAPLUS

CN Propanamide, N-[4-[[[5-(acetylamino)-1-naphthalenyl]sulfonyl]amino]phenyl]-2,2-dimethyl- (CA INDEX NAME)



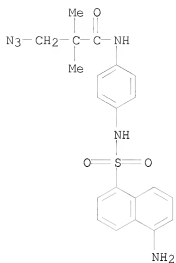
RN 233254-78-9 CAPLUS

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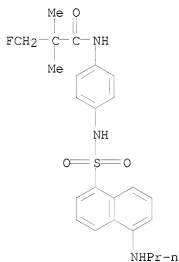
RN 233254-81-4 CAPLUS

CN Propanamide, N-[4-[[[5-(acetylamino)-1-naphthalenyl]sulfonyl]amino]phenyl]-3-azido-2,2-dimethyl- (9CI) (CA INDEX NAME)



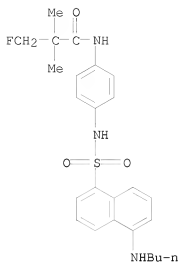
RN 233254-85-8 CAPLUS

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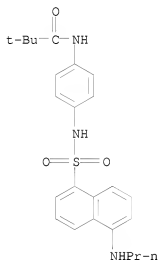
RN 233254-86-9 CAPLUS

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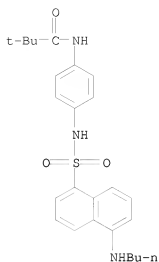
RN 233254-90-5 CAPLUS

CN Propanamide, 2,2-dimethyl-N-[4-[[[5-(propylamino)-1-naphthalenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)



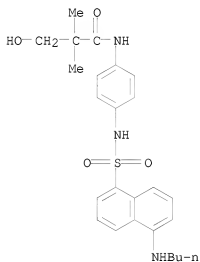
RN 233254-91-6 CAPLUS

CN Propanamide, N-[4-[[[5-(butylamino)-1-naphthalenyl]sulfonyl]amino]phenyl]-2,2-dimethyl- (CA INDEX NAME)



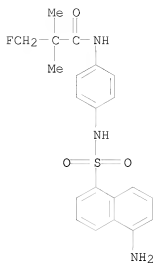
RN 233255-05-5 CAPLUS

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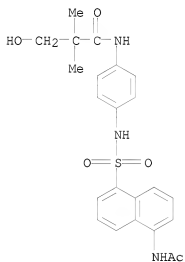
RN 233255-06-6 CAPLUS

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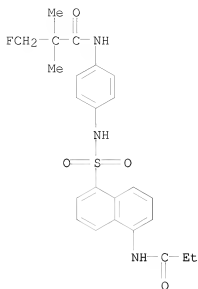
RN 233255-07-7 CAPLUS

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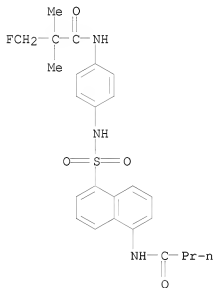


RN 233255-09-9 CAPLUS

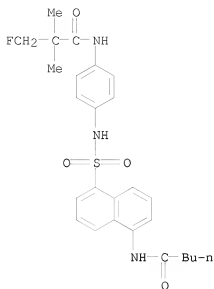
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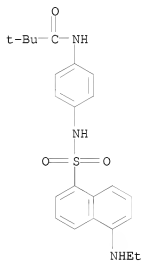
RN 233255-10-2 CAPLUS
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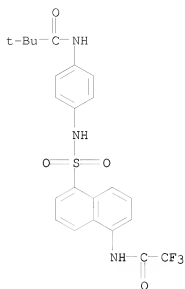
RN 233255-11-3 CAPLUS
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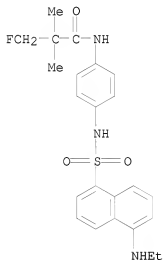
RN 233255-12-4 CAPLUS
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 2,2-dimethyl- (CA INDEX NAME)



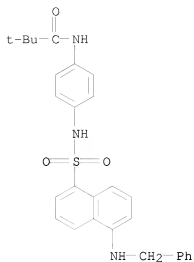
RN 233255-13-5 CAPLUS
 CN Propanamide, 2,2-dimethyl-N-[4-[[[5-[(2,2,2-trifluoroacetyl)amino]-1-naphthalenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)



RN 233255-15-7 CAPLUS
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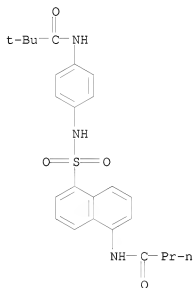


RN 233255-16-8 CAPLUS
 CN Propanamide, 2,2-dimethyl-N-[4-[[[5-[(phenylmethyl)amino]-1-naphthalenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)



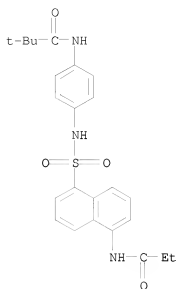
RN 233255-17-9 CAPLUS

CN Butanamide, N-[5-[[[4-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)

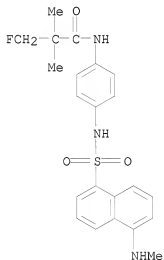


RN 233255-18-0 CAPLUS

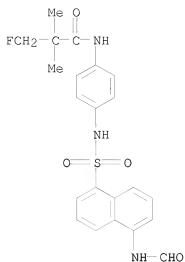
CN Propanamide, 2,2-dimethyl-N-[4-[[[5-[(1-oxopropyl)amino]-1-naphthalenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)



RN 233255-21-5 CAPLUS
 CN Propanamide, 3-fluoro-2,2-dimethyl-N-[4-[[[5-(methylanino)-1-naphthalenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)

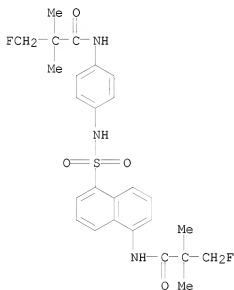


RN 233255-22-6 CAPLUS
 CN Propanamide, 2-(fluoromethyl)-N-[4-[[[5-(formylamino)-1-naphthalenyl]sulfonyl]amino]phenyl]-2-methyl- (CA INDEX NAME)



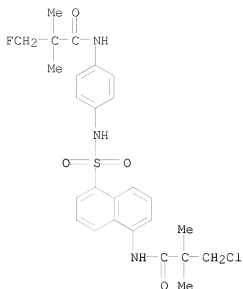
RN 233255-23-7 CAPLUS

CN Propanamide, 3-fluoro-N-[4-[[[5-[(3-fluoro-2,2-dimethyl-1-oxopropyl)amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-2,2-dimethyl- (CA INDEX NAME)

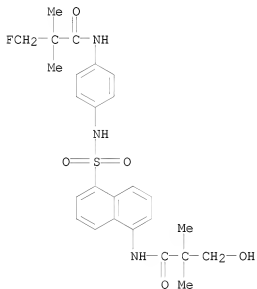


RN 233255-24-8 CAPLUS

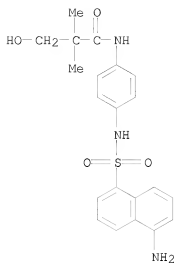
CN Propanamide, N-[4-[[[5-[(3-chloro-2,2-dimethyl-1-oxopropyl)amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-3-fluoro-2,2-dimethyl- (CA INDEX NAME)



RN 233255-25-9 CAPLUS
 CN Propanamide, 3-fluoro-N-[4-[[[5-[(3-hydroxy-2,2-dimethyl-1-oxopropyl)amino]-1-naphthalenyl]sulfonyl]amino]phenyl]-2,2-dimethyl- (CA INDEX NAME)

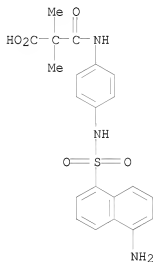


RN 233255-37-3 CAPLUS
 CN Propanamide, N-[4-[[[5-amino-1-naphthalenyl]sulfonyl]amino]phenyl]-3-hydroxy-2,2-dimethyl- (9CI) (CA INDEX NAME)



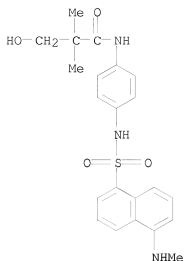
RN 233255-38-4 CAPLUS

CN Propanoic acid, 3-[[4-[[[5-amino-1-naphthalenyl]sulfonyl]amino]phenyl]amino]-2,2-dimethyl-3-oxo- (CA INDEX NAME)



RN 233255-39-5 CAPLUS

CN Propanamide, 3-hydroxy-2,2-dimethyl-N-[4-[[[5-(methylanino)-1-naphthalenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 31 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:65866 CAPLUS

DOCUMENT NUMBER: 130:276227

TITLE: Self-organizing molecular field analysis: a tool for structure-activity studies

AUTHOR(S): Robinson, Daniel D.; Winn, Peter J.; Lyne, Paul D.; Richards, W. Graham

CORPORATE SOURCE: Physical and Theoretical Chemistry Laboratory, Oxford University, Oxford, OX1 3QZ, UK

SOURCE: Journal of Medicinal Chemistry (1999), 42(4), 573-583
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

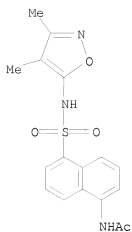
AB Self-organizing mol. field anal. (SOMFA) is a novel technique for three-dimensional quant. structure-activity relations (3D-QSAR). It is simple and intuitive in concept and avoids the complex statistical tools and variable selection procedures favored by other methods. Our calcns. show the method to be as predictive as the best 3D-QSAR methods available. Importantly, steric and electrostatic maps can be produced to aid the mol. design process by highlighting important mol. features. The simplicity of the technique leaves scope for further development, particularly with regard to handling mol. alignment and conformation selection. Here, the method has been used to predict the corticosteroid-binding globulin binding affinity of the "benchmark" steroids, expanded from the usual 31 compds. to 43 compds. Test predictions have also been performed on a set of sulfonamide endothelin inhibitors.

IT 153042-43-4 153042-45-6 153457-90-0
153458-00-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(self-organizing mol. field anal.: a tool for structure-activity studies)

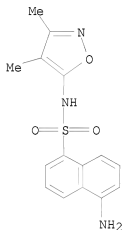
RN 153042-43-4 CAPLUS

CN Acetamide, N-[5-[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



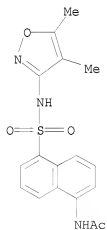
RN 153042-45-6 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(3,4-dimethyl-5-isoxazolyl)- (CA INDEX NAME)

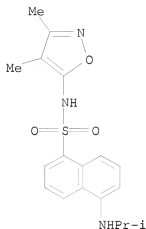


RN 153457-90-0 CAPLUS

CN Acetamide, N-[5-[(4,5-dimethyl-3-isoxazolyl)amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



RN 153458-00-5 CAPLUS
 CN 1-Naphthalenesulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-[(1-methylethyl)amino]- (CA INDEX NAME)



REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 32 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:648930 CAPLUS

DOCUMENT NUMBER: 127:293229

ORIGINAL REFERENCE NO.: 127:57315a, 57318a

TITLE: Preparation of arylsulfonaminobenzothiadiazoles as endothelin receptor antagonists.

INVENTOR(S): Mederski, Werner; Oswald, Mathias; Dorsch, Dieter; Schmitges, Claus J.; Wilm, Claudia; Christadler, Maria
 PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
 SOURCE: Ger. Offen., 7 pp.

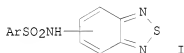
CODEN: GWXXBX

DOCUMENT TYPE: Patent
 LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19609597	A1	19970918	DE 1996-19609597	19960312
PRIORITY APPLN. INFO.: OTHER SOURCE(S): GI	MARPAT	127:293229	DE 1996-19609597	19960312



AB Title compds. (I; Ar = amino-substituted naphthyl), were prepared as endothelin receptor antagonists (no data). Thus, N-(2,1,3-benzothiadiazol-5-yl)-5-amino-1-naphthalenesulfonamide (preparation given) was stirred 3 h with titanium tetraisopropoxide in acetone; the residue was treated with NaBH₃CN in EtOH to give N-(2,1,3-benzothiadiazol-5-yl)-5-N-isopropylamino-1-

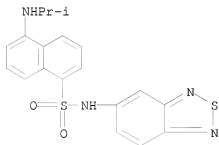
naphthalenesulfonamide.

IT 197073-86-2P 197073-87-3P 197073-88-4P
197073-89-5P 197073-90-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylsulfonfylaminobenzothiadiazoles as endothelin receptor antagonists)

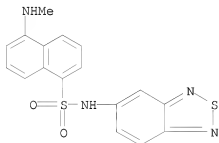
RN 197073-86-2 CAPLUS

CN 1-Naphthalenesulfonamide, N-2,1,3-benzothiadiazol-5-yl-5-[(1-methylethyl)amino]- (CA INDEX NAME)



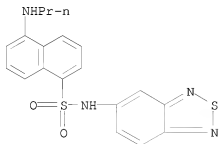
RN 197073-87-3 CAPLUS

CN 1-Naphthalenesulfonamide, N-2,1,3-benzothiadiazol-5-yl-5-(methylamino)- (CA INDEX NAME)



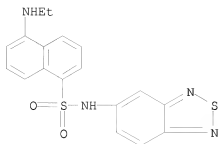
RN 197073-88-4 CAPLUS

CN 1-Naphthalenesulfonamide, N-2,1,3-benzothiadiazol-5-yl-5-(propylamino)- (CA INDEX NAME)

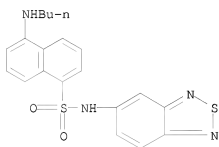


RN 197073-89-5 CAPLUS

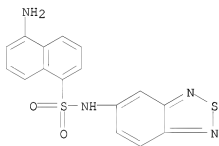
CN 1-Naphthalenesulfonamide, N-2,1,3-benzothiadiazol-5-yl-5-(ethylamino)- (CA INDEX NAME)



RN 197073-90-8 CAPLUS
 CN 1-Naphthalenesulfonamide, N-2,1,3-benzothiadiazol-5-yl-5-(butylamino)-
 (CA INDEX NAME)



IT 197073-92-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of arylsulfonfylaminobenzothiadiazoles as endothelin receptor
 antagonists)
 RN 197073-92-0 CAPLUS
 CN 1-Naphthalenesulfonamide, 5-amino-N-2,1,3-benzothiadiazol-5-yl- (CA INDEX
 NAME)



L8 ANSWER 33 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1997:416552 CAPLUS
 DOCUMENT NUMBER: 127:34511
 ORIGINAL REFERENCE NO.: 127:6659a,6662a
 TITLE: Process for preparing
 5-arginylaminonaphthalene-1-sulfamides
 INVENTOR(S): Palaima, Algirdas; Butenas, Saulius; Nedospasov,
 Andrej
 PATENT ASSIGNEE(S): Biochemijos Institutas, Lithuania
 SOURCE: Lith., 8 pp.

DOCUMENT TYPE: CODEN: LIXXFS
LANGUAGE: Patent
FAMILY ACC. NUM. COUNT: Lithuanian
PATENT INFORMATION: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
LT 3826	B	19960325	LT 1993-1745	19931230
PRIORITY APPLN. INFO.:			LT 1993-1745	19931230

OTHER SOURCE(S): MARPAT 127:34511

AB A method is disclosed for the production of the title derivs. having general formula $[1-(R_1R_2NSO_2)C_{10}H_6(NHArg)-5]$ ($R_1 = H$, $R_2 = Me$, Et , Pr , $iso-Pr$, Bu , $iso-Bu$, $tert-Bu$, $pentyl$, $cyclohexyl$; or $R_1 = R_2 = Me$, Et ; or $NR_1R_2 = morpholinyl$, $piperidinyl$, $perhydroazepinyl$). The target products are prepared in 62-84% without separation of N-protected intermediate. The method comprises α -N-substituted arginine hydrochloride condensation with 5-aminonaphthalene-1-sulfamide (or another amide) in an organic solvent (DMF or pyridine) in the presence of di- $tert$ -Bu pyrocarbonate is followed by the addition of trifluoroacetic acid, methylene chloride, and $NaHCO_3$. Molar ratio of N- $tert$ -butoxycarbonylarginine hydrochloride to di- $tert$ -Bu pyrocarbonate is $\geq 1:1.8$.

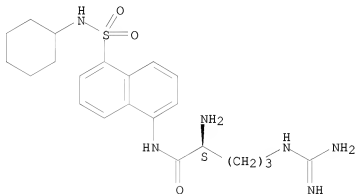
IT 149339-00-4P

RL: IMF (Industrial manufacture); PREP (Preparation)
(process for preparing 5-arginylaminonaphthalene-1-sulfamides)

RN 149339-00-4 CAPLUS

CN Pentanamide, 2-amino-5-[(aminoiminomethyl)amino]-N-[5-
[(cyclohexylamino)sulfonyl]-1-naphthalenyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 34 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:383542 CAPLUS

DOCUMENT NUMBER: 127:4936

ORIGINAL REFERENCE NO.: 127:1121a,1124a

TITLE: Preparation of 5-aminonaphthalene-1-sulfonamides

INVENTOR(S): Butenas, Saulius; Nedospasov, Andrej; Palaima, Algirdas; Staniulyte, Zita

PATENT ASSIGNEE(S): Biochemijos Institutas, Lithuania

SOURCE: Lith., 17 pp.

CODEN: LIXXFS

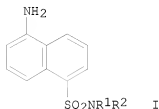
DOCUMENT TYPE: Patent

LANGUAGE: Lithuanian

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
LT 3911	B	19960425	LT 1993-1741	19931230
PRIORITY APPLN. INFO.:			LT 1993-1741	19931230
OTHER SOURCE(S):		CASREACT 127:4936; MARPAT 127:4936		
GI				

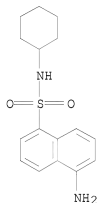


AB The title compds. [I; R1, R2 = H, C1-8 alkyl, CH2CH2OH, etc.; NR1R2 = piperidino, morpholino, hexamethyleneimino], were prepared by reaction of the 5-phthalimidonaphthalenesulfonyl chloride with the corresponding amines in the presence of Et3N in Me2CO followed by treatment of the resulting 5-phthalimidonaphthalenesulfonamides with N2H4.H2O in MeOH.

IT 147752-41-8P 147752-42-9P 176976-72-0P
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
 (preparation of 5-aminonaphthalene-1-sulfonamides)

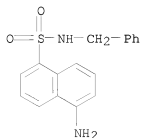
RN 147752-41-8 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-cyclohexyl- (CA INDEX NAME)

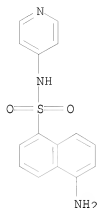


RN 147752-42-9 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(phenylmethyl)- (CA INDEX NAME)



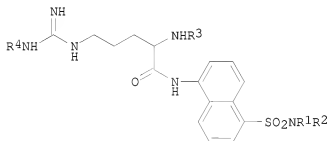
RN 176976-72-0 CAPLUS
 CN 1-Naphthalenesulfonamide, 5-amino-N-4-pyridinyl- (CA INDEX NAME)



L8 ANSWER 35 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1997:346887 CAPLUS
 DOCUMENT NUMBER: 126:317661
 ORIGINAL REFERENCE NO.: 126:61629a,61632a
 TITLE: Preparation of 5-arginylaminonaphthalene-1-sulfonamide derivatives.
 INVENTOR(S): Butenas, Saulius; Palaima, Algirdas; Nedospasov, Andrej; Jankauskas, Rimas
 PATENT ASSIGNEE(S): Biochemijos Institutas, Lithuania
 SOURCE: Lith., 24 pp.
 CODEN: LIXXFS
 DOCUMENT TYPE: Patent
 LANGUAGE: Lithuanian
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
LT 3910	B	19960425	LT 1993-1740	19931230

PRIORITY APPLN. INFO.:
 GI LT 1993-1740 19931230



I

AB Title compds. (I; R1 = R2 = H, Me, Et, Pr, iso-Pr, Bu, iso-Bu, tert-Bu, CH2Ph, C5H11, C8H17, cyclohexyl; NR1R2 = morpholino, piperidino, azepino; R3 = R4 = H) were prepared in yields of 64-79% without using DCC or benzyl bromide. I were prepared by the reaction of Boc-Arg(NO2)-OH with basic 5-aminonaphthalene-1-sulfonamides using pyridine and dioxane as solvents

and di-tert-Bu pyrocarbonate as the condensing agent giving the intermediate I (R3 = Boc; R4 = NO2). The protecting groups were removed by using MeOH and HCl as solvents and Pd/C as catalyst.

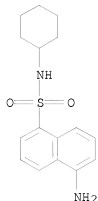
IT 147752-41-8 147752-42-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of arginylaminonaphthalenesulfonamides)

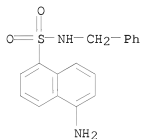
RN 147752-41-8 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-cyclohexyl- (CA INDEX NAME)



RN 147752-42-9 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(phenylmethyl)- (CA INDEX NAME)



IT 149320-71-8P 160917-54-4P 160917-55-5P

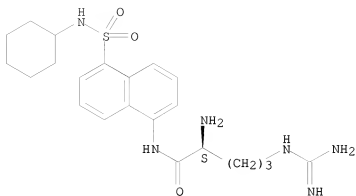
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of arginylaminonaphthalenesulfonamides)

RN 149320-71-8 CAPLUS

CN Pentanamide, 2-amino-5-[(aminoiminomethyl)amino]-N-[5-[(cyclohexylamino)sulfonyl]-1-naphthalenyl]-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

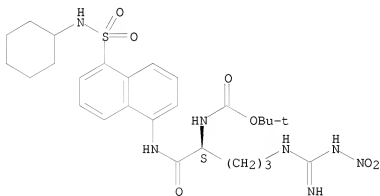


●2 HCl

RN 160917-54-4 CAPLUS

CN Carbamic acid, [1-[[[5-[(cyclohexylamino)sulfonyl]-1-naphthalenyl]amino]carbonyl]-4-[[imino(nitroamino)methyl]amino]butyl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

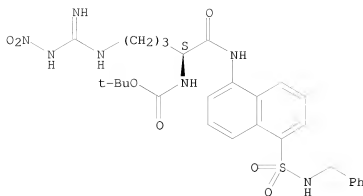
Absolute stereochemistry.



RN 160917-55-5 CAPLUS

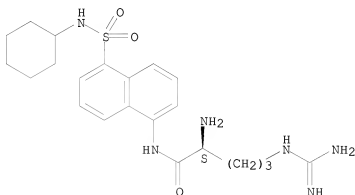
CN Carbamic acid, [4-[[imino(nitroamino)methyl]amino]-1-[[[5-[[[phenylmethyl]amino)sulfonyl]-1-naphthalenyl]amino]carbonyl]butyl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 149339-00-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of arginylaminonaphthalenesulfonamides)
 RN 149339-00-4 CAPLUS
 CN Pentanamide, 2-amino-5-[(aminoiminomethyl)amino]-N-[5-
 [(cyclohexylamino)sulfonyl]-1-naphthalenyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 36 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1997:186961 CAPLUS
 DOCUMENT NUMBER: 126:207131
 ORIGINAL REFERENCE NO.: 126:39897a,39900a
 TITLE: New Non-Peptide Endothelin-A Receptor Antagonists:
 Synthesis, Biological Properties, and
 Structure-Activity Relationships of
 5-(Dimethylamino)-N-pyridyl-, -N-pyrimidinyl-,
 -N-pyridazinyl-, and
 -N-pyrazinyl-1-naphthalenesulfonamides
 AUTHOR(S): Bradbury, Robert H.; Bath, Colin; Butlin, Roger J.;
 Dennis, Michael; Heys, Christine; Hunt, Sarah J.;
 James, Roger; Mortlock, Andrew A.; Sumner, Neil F.;
 Tang, Eric K.; Telford, Berwick; Whiting, Elaine;
 Wilson, Campbell
 CORPORATE SOURCE: Cardiovascular and Musculoskeletal Department, ZENECA
 Pharmaceuticals, Mereside /Alderley Park
 /Macclesfield, SK10 4TG, UK
 SOURCE: Journal of Medicinal Chemistry (1997), 40(6), 996-1004
 CODEN: JMCMAR; ISSN: 0022-2623
 PUBLISHER: American Chemical Society

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB Use of automated synthesis led to the discovery of several 6-membered nitrogen heterocycles as replacements for the N-isoxazolyl substituent present in the 1-naphthalenesulfonamide endothelin-A (ETA) antagonist 5-(dimethylamino)-N-(3,4-dimethyl-5-isoxazolyl)-1-naphthalenesulfonamide (BMS 182874). In each of these heterocycles, a small substituent such as halogen para to the position of attachment to the sulfonamide nitrogen atom was advantageous for ETA receptor affinity. Of these heterocycles, 2-pyrazines offered the greatest scope for improving receptor affinity. Optimization of the substituents at the 3- and 5-positions in the pyrazine ring led to potent, ETA-selective compds. such as 5-(dimethylamino)-N-(5-chloro-3-methoxy-2-pyrazinyl)-1-naphthalenesulfonamide (ETA pIC₅₀ 8.1). When dosed orally at 10 mg/kg to conscious, normotensive rats infused with big ET-1, some of these compds. showed significant inhibition of the pressor response with a duration of effect lasting for the 5 h course of the experiment

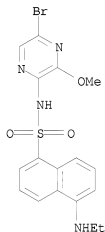
IT 173253-83-3P 187973-58-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and endothelin A antagonist structure activity relations of heterocyclic naphthalenesulfonamides)

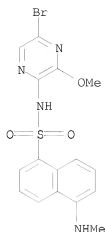
RN 173253-83-3 CAPLUS

CN 1-Naphthalenesulfonamide, N-(5-bromo-3-methoxy-2-pyrazinyl)-5-(ethylamino)- (CA INDEX NAME)



RN 187973-58-6 CAPLUS

CN 1-Naphthalenesulfonamide, N-(5-bromo-3-methoxy-2-pyrazinyl)-5-(methylamino)- (CA INDEX NAME)

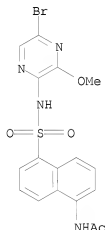


IT 173253-56-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and endothelin A antagonist structure activity relations of
heterocyclic naphthalenesulfonamides)

RN 173253-56-0 CAPLUS

CN Acetamide, N-[5-[[[(5-bromo-3-methoxy-2-pyrazinyl)amino]sulfonyl]-1-
naphthalenyl]- (CA INDEX NAME)



REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 37 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:55845 CAPLUS

DOCUMENT NUMBER: 126:89157

ORIGINAL REFERENCE NO.: 126:17214h,17215a

TITLE: 5-Aminonaphthalene-1-sulfonamides as
fluorescence-detectable substrate groups for peptidase
analysis

INVENTOR(S): Palajma, A. I.; Butenas, S. Yu; Talajkite, Z. A.;
Nedospasov, A. A.

PATENT ASSIGNEE(S): Institut Biokhimii Litovskoj AN, USSR

SOURCE: U.S.S.R. From: Izobreteniya 1996, (4), 266.

CODEN: URXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Russian

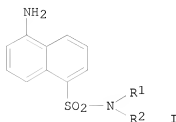
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 1646258	A3	19960210	SU 1989-4667842	19890208

PRIORITY APPLN. INFO.:
GI

SU 1989-4667842 19890208

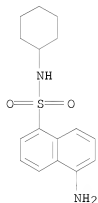


AB Title compds. I [R1 = H, R2 = Me, Et, Pr, iso-Pr, iso-Bu, tert-Bu, pentyl, benzyl, cyclohexyl, CH2CH2OH, CH2CH2OMe, CH2CH2OEt; R1 = R2 = Et, Pr; or R1R2 = (CH2)6] serve as detectable substrate groups for fluorescence anal. of peptidase.

IT 147752-41-8, 5-Amino-N-cyclohexyl-1-naphthalenesulfonamide
147752-42-9, 5-Amino-N-benzyl-1-naphthalenesulfonamide
RL: ARG (Analytical reagent use); RCT (Reactant); ANST (Analytical study);
RACT (Reactant or reagent); USES (Uses)
(aminonaphthalenesulfonamides as fluorecence-detectable substrate groups for peptidase anal.)

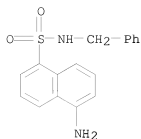
RN 147752-41-8 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-cyclohexyl- (CA INDEX NAME)



RN 147752-42-9 CAPLUS

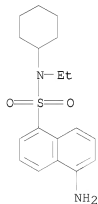
CN 1-Naphthalenesulfonamide, 5-amino-N-(phenylmethyl)- (CA INDEX NAME)



L8 ANSWER 38 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:5927 CAPLUS
 DOCUMENT NUMBER: 126:28565
 ORIGINAL REFERENCE NO.: 126:5773a,5776a
 TITLE: N,N-Disubstituted 5-aminonaphthalenesulfamides as detecting groups for ANSA analysis of proteinases Yanchene, R. A.; Palajma, A. I.; Bitene, O. M.; Nedospasov, A. A.
 INVENTOR(S): Institut Biokhimii An Litssr, USSR; Institut Molekulyarnoj Genetiki An Sssr
 PATENT ASSIGNEE(S): U.S.S.R. From: Izobreteniya 1996, (11), 269.
 SOURCE: CODEN: URXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Russian
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

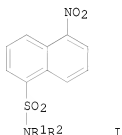
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 1649787	A1	19960420	SU 1989-4671088	19890208
PRIORITY APPLN. INFO.:			SU 1989-4671088	19890208
OTHER SOURCE(S):	CASREACT	126:28565		
AB	Title only translated.			
IT	179955-58-9			
	RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses) (aminonaphthalenesulfamide substrates in ANSA anal. of proteinases)			
RN	179955-58-9 CAPLUS			
CN	1-Naphthalenesulfonamide, 5-amino-N-cyclohexyl-N-ethyl- (CA INDEX NAME)			



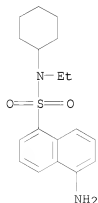
L8 ANSWER 39 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1996:431589 CAPLUS
 DOCUMENT NUMBER: 125:142308

ORIGINAL REFERENCE NO.: 125:26633a,26636a
 TITLE: N,N-Dialkyl-substituted
 1-nitronaphthalene-5-sulfonamides as intermediates for
 preparation of 1-aminonaphthalene-5-sulfonamides.
 INVENTOR(S): Yanchene, R. A.; Palajma, A. I.; Bitene, O. M.;
 Nedospasov, A. A.
 PATENT ASSIGNEE(S): Institut Biokhimii Litovskoj An, USSR
 SOURCE: U.S.S.R. From: Izobreteniya 1995, (35), 303.
 CODEN: URXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Russian
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 1707946	A3	19951220	SU 1989-4649103	19890208
PRIORITY APPLN. INFO.: GI			SU 1989-4649103	19890208



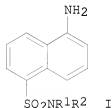
AB Title compds. I (R1 = Me, R2 = Et, Pr, Pr-iso, Bu; R1 = Et, R2 =
 cyclohexyl; NR1R2 = morpholino, piperidinol are disclosed as intermediates
 for preparation of corresponding 1-amino analogs.
 IT 179955-58-9P, N-Cyclohexyl-N-ethyl-1-aminonaphthalene-5-
 sulfonamide
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP
 (Preparation)
 (preparation of nitronaphthalenesulfonamides as intermediates for amino
 analogs)
 RN 179955-58-9 CAPLUS
 CN 1-Naphthalenesulfonamide, 5-amino-N-cyclohexyl-N-ethyl- (CA INDEX NAME)



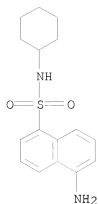
L8 ANSWER 40 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1996:431588 CAPLUS
 DOCUMENT NUMBER: 125:86337
 ORIGINAL REFERENCE NO.: 125:16269a,16272a
 TITLE: Method of preparing substituted
 1-aminonaphthalene-5-sulfonamides.
 INVENTOR(S): Palajma, A. I.; Yanchene, R. A.; Matulyauskene, R. I.;
 Nedospasov, A. A.
 PATENT ASSIGNEE(S): Institut Biokhimii Litovskoj An, USSR
 SOURCE: U.S.S.R. From: Izobreteniya 1995, (35), 303.
 CODEN: URXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Russian
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 1648056	A3	19951220	SU 1989-4648715	19890208
PRIORITY APPLN. INFO.:			SU 1989-4648715	19890208
OTHER SOURCE(S):		CASREACT 125:86337		

GI



AB Title compds. I [R₁ = Me, R₂ = Et; R₁ = H, R₂ = Me, Et, cyclohexyl; NR₁R₂ = morpholino, piperidino] are prepared by: (1) reaction of 1-nitronaphthalene-5-sulfonic acid with SOCl₂ in DMF; (2) amination of the resultant 1-nitronaphthalene-5-sulfonyl chloride with corresponding amines HNR₁R₂ in CHCl₃, CH₂Cl₂, C₆H₆, or a 2-phase system of C₆H₆ or PhMe with aqueous Na₂CO₃; and (3) reduction of the resultant 1-nitro analogs of I, preferably with Raney Ni, Fe/HCl, or SnCl₂/HCl, in MeOH.
 IT 147752-41-8P, N-Cyclohexyl-1-aminonaphthalene-5-sulfonamide
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
 (preparation of aminonaphthalenesulfonamides)
 RN 147752-41-8 CAPLUS
 CN 1-Naphthalenesulfonamide, 5-amino-N-cyclohexyl- (CA INDEX NAME)



L8 ANSWER 41 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:323169 CAPLUS

DOCUMENT NUMBER: 125:10613

ORIGINAL REFERENCE NO.: 125:2329a,2332a

TITLE: N-Substituted 5-phthalimidonaphthalene-1-sulfonamides as intermediates for preparation of N-substituted aminonaphthalenesulfonamides

INVENTOR(S): Nedospasov, A. A.; Palajma, A. I.; Butenas, S. Yu.; Baranauskas, G. Yu.

PATENT ASSIGNEE(S): Institut Biokhimii Litovskoj An, USSR

SOURCE: U.S.S.R. From: Izobreteniya 1995, (28), 271.

CODEN: URXXAF

DOCUMENT TYPE: Patent

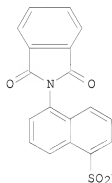
LANGUAGE: Russian

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 1706174	A3	19951010	SU 1989-4648605	19890208
PRIORITY APPLN. INFO.:			SU 1989-4648605	19890208

GI



SO₂NR₁R₂ I

AB Title compds. I [R₁ = H, R₂ = Me, Et, Bu, pentyl, octyl, cyclohexyl, 4-pyridinyl, CH₂Ph; or NR₁R₂ = morpholino, NMe₂, NEt₂, NPr₂, NBu₂, piperidino] are disclosed as intermediates for preparation of N-substituted aminonaphthalenesulfonamides.

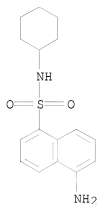
IT 147752-41-8P, N-Cyclohexyl-5-aminonaphthalene-1-sulfonamide
147752-42-9P, N-Benzyl-5-aminonaphthalene-1-sulfonamide

176976-72-0P, N-(4-Pyridinyl)-5-aminonaphthalene-1-sulfonamide
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP
(Preparation)

(preparation of phthalimidonaphthalenesulfonamides as intermediates for
aminonaphthalenesulfonamides)

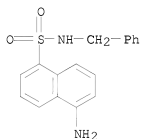
RN 147752-41-8 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-cyclohexyl- (CA INDEX NAME)



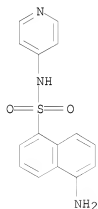
RN 147752-42-9 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(phenylmethyl)- (CA INDEX NAME)



RN 176976-72-0 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-4-pyridinyl- (CA INDEX NAME)



L8 ANSWER 42 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:87905 CAPLUS

DOCUMENT NUMBER: 124:106901

ORIGINAL REFERENCE NO.: 124:19699a,19702a

TITLE: Mutational Analysis of the Endothelin Type A Receptor (ETA): Interactions and Model of the Selective ETA Antagonist BMS-182874 with the Putative ETA Receptor Binding Cavity

AUTHOR(S): Webb, Maria L.; Patel, Pramathesh S.; Rose, Patricia M.; Liu, Eddie C. K.; Stein, Philip D.; Barrish, Joel; Lach, David A.; Stouch, Terry; Fisher, Susan M.; et al.

CORPORATE SOURCE: Department of Cardiovascular Biochemistry, Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543, USA

SOURCE: Biochemistry (1996), 35(8), 2548-56

CODEN: BICHAW; ISSN: 0006-2960

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Endothelin (ET) receptor antagonism is a potential therapeutic intervention in the treatment of vascular diseases. To elucidate the mechanism of antagonist-ET receptor complex formation, the interactions of four chemical distinct antagonists were investigated using a combination of genetic and biochem. approaches. By site-specific mutagenesis the authors previously demonstrated that Tyr129 in the second transmembrane domain was critical for high-affinity, subtype-selective binding to the A subtype of ET (ETA) receptors (1994). Affinities of the constrained cyclic pentapeptide BQ-123, the pyrimidinylbenzenesulfonamide bosentan, the indancarboxylic acid SB 209670, and the naphthalenesulfonamide BMS-182874 were decreased 20-1000-fold in Tyr129Ala, Tyr129Ser, and Tyr129His ETA receptor mutants. Substitution of Tyr129 with Phe or Trp did not alter the high-affinity binding of BQ-123, bosentan, or SB 209670. BMS-182874 binding affinity was decreased 10-fold in Tyr129Phe and Tyr129Trp ET receptors. These data indicate a role of aromatic interactions in the binding of these antagonists to ETA receptors and, in the case of BMS-182874, also suggested a hydrogen bond with the tyrosine hydroxyl. This hypothesis was supported by structure-activity data with analogs of BMS-182874 that varied the C-5 dimethylamino substituent on the naphthalene ring. Mutation of Asp126 and Asp133 also altered binding of BMS-182874 and C-5 analogs. In all cases, naphthalenesulfonamide binding was more severely affected by mutation of Asp133 than by mutation of Asp126. Phosphoinositide hydrolysis and extracellular acidification rate studies demonstrated the importance of Tyr129 to ETA-mediated signal transduction. On the basis of these data, two plausible models of the docked conformation of BMS-182874 in the ETA receptor are proposed as a starting point for further delineation of interactions that underlie antagonist-ETA receptor complex formation.

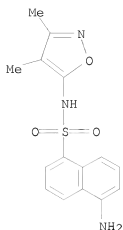
IT 153042-45-6, BMS 182542

RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)

(endothelin ETA structure-activity relations and model of antagonist BMS-182874 interaction with ETA receptor binding cavity)

RN 153042-45-6 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(3,4-dimethyl-5-isoxazolyl)- (CA INDEX NAME)



L8 ANSWER 43 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:996548 CAPLUS

DOCUMENT NUMBER: 124:146844

ORIGINAL REFERENCE NO.: 124:27341a, 27344a

TITLE: 1-(L-Arginylamino)naphthalene-5-sulfonamide derivatives as intermediates for preparation of 1-(aminoacylamino)naphthalene-5-sulfonamides, useful as fluorescent reagents for enzyme assay of amidases
Nedospasov, A. A.; Nezavibatko, V. N.; Potaman, V. N.; Rodina, E. V.

INVENTOR(S):

PATENT ASSIGNEE(S): Institut Molekulyarnoj Genetiki RAN, USSR
SOURCE: U.S.S.R. From: Izobreteniya 1995, (14), 243-4.
CODEN: URXXAF

DOCUMENT TYPE:

LANGUAGE: Russian

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 1822563	A3	19950520	SU 1986-4019225	19860210
PRIORITY APPLN. INFO.:			SU 1986-4019225	19860210

GI

A-NH



AB Arginylaminonaphthalenesulfonamides I [A = Cbz-Arg-, H-Arg-; X = SO₂Z where Z = piperidino, morpholino, or Bu; or X = SO₂NHCH₂CH₂Z where Z = piperidino] are useful as intermediates for preparation of (aminoacylamino)naphthalenesulfonamides I [A = Tos-Gly-Pro-Arg-; X = as above]. The latter are useful as fluorescent reagents for anal. of enzymes showing amidase activity.

IT 121722-35-8P 121722-38-1P

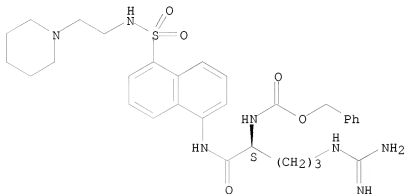
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic

preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; arginylaminonaphthalenesulfonamide derivs. as
intermediates for fluorescent reagents for amidase assay)

RN 121722-35-8 CAPLUS

CN Carbamic acid, [4-[(aminoiminomethyl)amino]-1-[[5-[[[2-(1-piperidinyl)ethyl]amino]sulfonyl]-1-naphthalenyl]amino]carbonyl]butyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

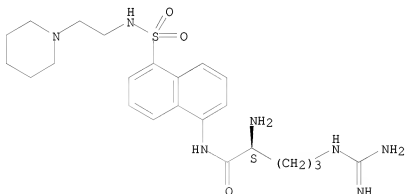
Absolute stereochemistry.



RN 121722-38-1 CAPLUS

CN Pentanamide, 2-amino-5-[(aminoiminomethyl)amino]-N-[5-[[[2-(1-piperidinyl)ethyl]amino]sulfonyl]-1-naphthalenyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



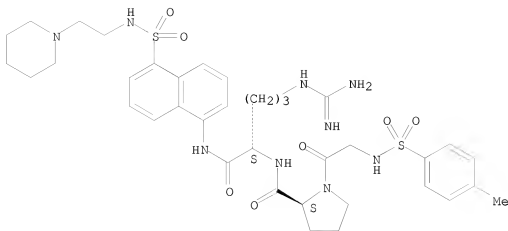
IT 121722-25-6P

RL: ARG (Analytical reagent use); IMF (Industrial manufacture); SPN
(Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES
(Uses)
(reagent; arginylaminonaphthalenesulfonamide derivs. as intermediates
for fluorescent reagents for amidase assay)

RN 121722-25-6 CAPLUS

CN L-Argininamide, N-[(4-methylphenyl)sulfonyl]glycyl-L-prolyl-N-[5-[[[2-(1-piperidinyl)ethyl]amino]sulfonyl]-1-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 44 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:996283 CAPLUS

DOCUMENT NUMBER: 124:146202

ORIGINAL REFERENCE NO.: 124:27197a, 27200a

TITLE: Preparation of N-(heterocyclyl)sulfonamide derivatives

and their use as endothelin receptor antagonists

INVENTOR(S): Bradbury, Robert Hugh

PATENT ASSIGNEE(S): Zeneca Ltd., UK

SOURCE: PCI Int. Appl., 74 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

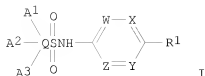
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9526957	A1	19951012	WO 1995-GB702	19950329
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9502582	A	19951002	ZA 1995-2582	19950329
AU 9520777	A	19951023	AU 1995-20777	19950329
EP 752986	A1	19970115	EP 1995-913235	19950329
EP 752986	B1	19990519		
R: CH, DE, FR, GB, IT, LI				
JP 09510987	T	19971104	JP 1995-525492	19950329
JP 3824638	B2	20060920		
TW 401402	B	20000811	TW 1995-84103053	19950330
IL 113193	A	20020725	IL 1995-113193	19950330
US 5861401	A	19990119	US 1996-716194	19960930
US 6083951	A	20000704	US 1998-211231	19981214
PRIORITY APPLN. INFO.:				A 19940331
				GB 1994-21548
				A 19941026
				WO 1995-GB702
				W 19950329

OTHER SOURCE(S): MARPAT 124:146202

GI



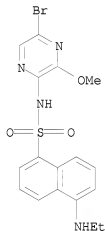
AB The title compds. [I; A1-A3, R2 = H, alkyl, alkenyl, alkynyl, etc.; Q = naphthyl, biphenyl; R1 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, etc.; W,X,Y and Z are independently selected from N and CR2 such that two or three of W,X,Y and Z are N and the remainder are CR2], which possess endothelin receptor antagonist activity, are prepared and I-containing formulations presented. Thus, 5-(dimethylamino)-1-naphthalenesulfonyl chloride was condensed with 3-amino-6-chloropyridazine, producing 5-(dimethylamino)-N-(6-chloro-3-pyridazinyl)-1-naphthalenesulfonamide, m.p. 153-154°, which demonstrated a pIC50 for an endothelin-binding study of 6.7.

IT 173253-83-3P 173253-84-4P 173253-85-5P
173254-06-3P 173254-08-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(heterocyclyl)sulfonamide derivs. and their use as endothelin receptor antagonists)

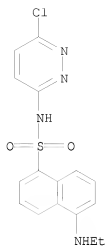
RN 173253-83-3 CAPLUS

CN 1-Naphthalenesulfonamide, N-(5-bromo-3-methoxy-2-pyrazinyl)-5-(ethylamino)- (CA INDEX NAME)



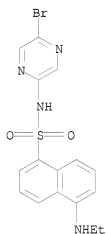
RN 173253-84-4 CAPLUS

CN 1-Naphthalenesulfonamide, N-(6-chloro-3-pyridazinyl)-5-(ethylamino)- (CA INDEX NAME)



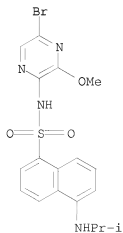
RN 173253-85-5 CAPLUS

CN 1-Naphthalenesulfonamide, N-(5-bromo-2-pyrazinyl)-5-(ethylamino)- (CA INDEX NAME)



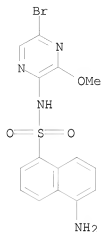
RN 173254-06-3 CAPLUS

CN 1-Naphthalenesulfonamide, N-(5-bromo-3-methoxy-2-pyrazinyl)-5-[(1-methylethyl)amino]- (CA INDEX NAME)



RN 173254-08-5 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(5-bromo-3-methoxy-2-pyrazinyl)- (CA INDEX NAME)

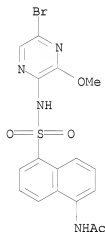


IT 173253-56-0 173253-57-1 173253-58-2

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N-(heterocyclyl)sulfonamide derivs. and their use as endothelin receptor antagonists)

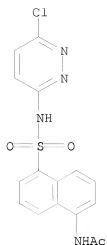
RN 173253-56-0 CAPLUS

CN Acetamide, N-[5-[[5-bromo-3-methoxy-2-pyrazinyl)amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



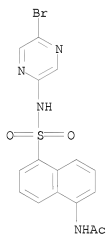
RN 173253-57-1 CAPLUS

CN Acetamide, N-[5-[[6-chloro-3-pyridazinyl)amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



RN 173253-58-2 CAPLUS

CN Acetamide, N-[5-[[5-bromo-2-pyrazinyl)amino]sulfonyl]-1-naphthalenyl]-
(CA INDEX NAME)

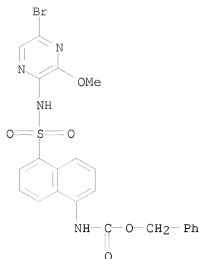


IT 173253-49-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of N-(heterocyclyl)sulfonamide derivs. and their use as
endothelin receptor antagonists)

RN 173253-49-1 CAPLUS

CN Carbamic acid, [5-[[5-bromo-3-methoxypyrazinyl)amino]sulfonyl]-1-
naphthalenyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 45 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:481875 CAPLUS

DOCUMENT NUMBER: 122:230137

ORIGINAL REFERENCE NO.: 122:41751a, 41754a

TITLE: Discovery and Structure-Activity Relationships of Sulfonamide ETA-Selective Antagonists

AUTHOR(S): Stein, Philip D.; Floyd, David M.; Bisaha, Sharon; Dickey, Joyce; Girotra, Ravindar N.; Gougoutas, Jack Z.; Kozlowski, Michael; Lee, Ving G.; Liu, Eddie C.-K.; et al.

CORPORATE SOURCE: Department of Chemistry, Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-4000, USA

SOURCE: Journal of Medicinal Chemistry (1995), 38(8), 1344-54
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

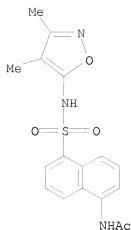
AB Random screening of compds. in an ETA receptor binding assay led to the discovery of a class of benzenesulfonamide ligands. Optimization led to the development of 5-amino-N-(3,4-dimethyl-5-isoxazolyl)-1-naphthalenesulfonamides which were functional antagonists. Structural features which were important to activity included a 1,5-substitution pattern on the naphthalene ring; a sulfonamide NH with a pK value < 7; an amine, preferably with alkyl substituents, at the 5-position; and Me groups on both the 3- and 4-positions of the isoxazole.

IT 153042-43-4P 153042-45-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(discovery and structure-activity relationships of sulfonamide ETA-selective antagonists)

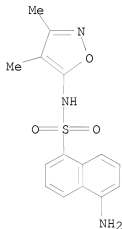
RN 153042-43-4 CAPLUS

CN Acetamide, N-[5-[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



RN 153042-45-6 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(3,4-dimethyl-5-isoxazolyl)- (CA INDEX NAME)



IT 153458-00-5P 153458-01-6P 153458-03-8P

153458-09-4P 153458-14-1P 153458-26-5P

153458-29-8P 153458-36-7P 161801-60-1P

161801-62-3P 161801-66-7P 161801-67-8P

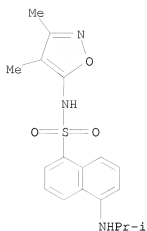
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(discovery and structure-activity relationships of sulfonamide

ETA-selective antagonists)

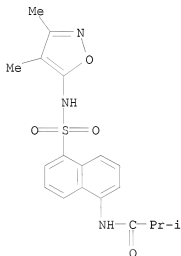
RN 153458-00-5 CAPLUS

CN 1-Naphthalenesulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-5-[(1-methylethyl)amino]- (CA INDEX NAME)



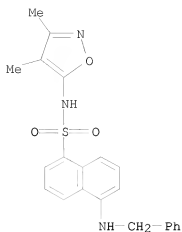
RN 153458-01-6 CAPLUS

CN Propanamide, N-[5-[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-1-naphthalenyl]-2-methyl- (CA INDEX NAME)



RN 153458-03-8 CAPLUS

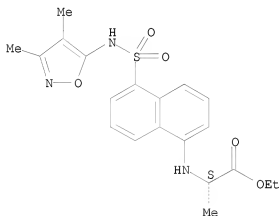
CN 1-Naphthalenesulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-5-[(phenylmethyl)amino]- (CA INDEX NAME)



RN 153458-09-4 CAPLUS

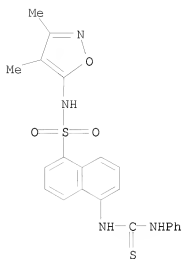
CN L-Alanine, N-[5-[[3,4-dimethyl-5-isoxazolyl]amino]sulfonyl]-1-naphthalenyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



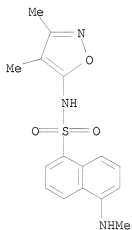
RN 153458-14-1 CAPLUS

CN 1-Naphthalenesulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-5-[[(phenylamino)thioxomethyl]amino]- (CA INDEX NAME)



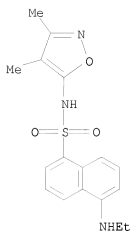
RN 153458-26-5 CAPLUS

CN 1-Naphthalenesulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-5-(methylamino)-
(CA INDEX NAME)



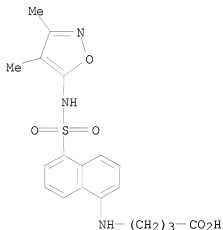
RN 153458-29-8 CAPLUS

CN 1-Naphthalenesulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-5-(ethylamino)-
(CA INDEX NAME)



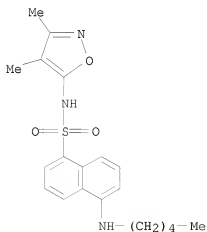
RN 153458-36-7 CAPLUS

CN Butanoic acid, 4-[[5-[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-1-naphthalenyl]amino]- (CA INDEX NAME)



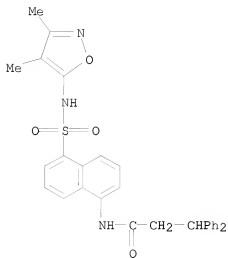
RN 161801-60-1 CAPLUS

CN 1-Naphthalenesulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-5-(pentylamino)- (CA INDEX NAME)



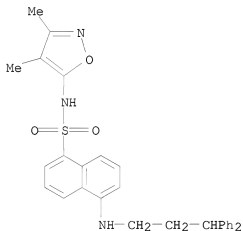
RN 161801-62-3 CAPLUS

CN Benzenepropanamide, N-[5-[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-1-naphthalenyl]- β -phenyl- (CA INDEX NAME)



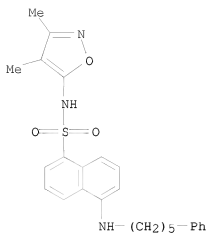
RN 161801-66-7 CAPLUS

CN 1-Naphthalenesulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-5-[(3,3-diphenylpropyl)amino]- (CA INDEX NAME)



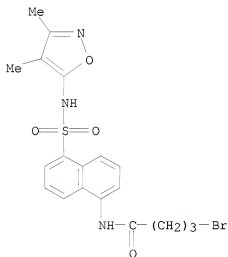
RN 161801-67-8 CAPLUS

CN 1-Naphthalenesulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-5-[(5-phenylpentyl)amino]-, sodium salt (1:1) (CA INDEX NAME)

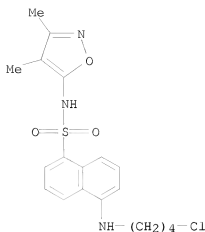


● Na

IT 153458-11-8 153458-16-3
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (discovery and structure-activity relationships of sulfonamide
 ETA-selective antagonists)
 RN 153458-11-8 CAPLUS
 CN Butanamide, 4-bromo-N-[5-[[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



RN 153458-16-3 CAPLUS
 CN 1-Naphthalenesulfonamide, 5-[(4-chlorobutyl)amino]-N-(3,4-dimethyl-5-isoxazolyl)- (CA INDEX NAME)



L8 ANSWER 46 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:444262 CAPLUS

DOCUMENT NUMBER: 122:214059

ORIGINAL REFERENCE NO.: 122:39131a, 39134a

TITLE: Preparation of (substituted isoxazolyl)naphthalenesulfonamides as endothelin antagonists

INVENTOR(S): Stein, Philip D.; Hunt, John T.; Murugesan, Natesan
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA

SOURCE: U.S., 27 pp. Cont.-in-part of U.S. Ser. No. 998, 246, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

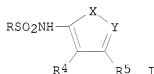
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5378715	A	19950103	US 1993-92166	19930715
PRIORITY APPLN. INFO.:			US 1992-840496	B2 19920224
			US 1993-998246	B2 19930125

OTHER SOURCE(S): MARPAT 122:214059

GI



AB Title compds. I (one of X and Y is N and the other is O; R = (substituted) naphthyl; R₄, R₅ = H, alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, aryl, aralkyl, halo, HO, NC, O₂N, etc.; R₄R₅ = alkylene or alkenylene either of which may be substituted, etc.) or pharmaceutically acceptable salt thereof, useful as endothelin antagonists (no data), are prepared I are also claimed for treatment of endothelin-related disorders. Dansyl chloride in pyridine was added to 3,4-dimethyl-5-isoxazolamine to give after workup I (X = O, Y = N, R₄ = R₅ = Me, R = 5-(dimethylamino)-1-naphthyl).

IT 153042-43-4P 153042-45-6P 153457-90-0P

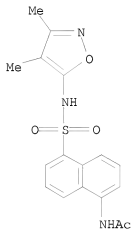
153458-00-5P 153458-01-6P 153458-03-8P
 153458-14-1P 153458-26-5P 153458-29-8P
 153458-36-7P 161801-60-1P 161801-61-2P
 161801-62-3P 161801-63-4P 161801-64-5P
 161801-65-6P 161801-66-7P 161801-67-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (substituted isoxazolyl)naphthalenesulfonamides as endothelin antagonists)

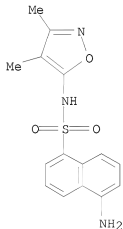
RN 153042-43-4 CAPLUS

CN Acetamide, N-[5-[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



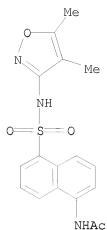
RN 153042-45-6 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(3,4-dimethyl-5-isoxazolyl)- (CA INDEX NAME)



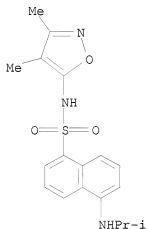
RN 153457-90-0 CAPLUS

CN Acetamide, N-[5-[(4,5-dimethyl-3-isoxazolyl)amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



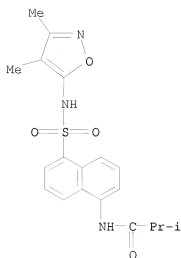
RN 153458-00-5 CAPLUS

CN 1-Naphthalenesulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-5-[(1-methylethyl)amino]- (CA INDEX NAME)



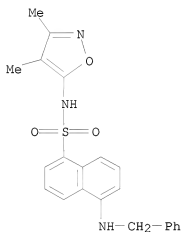
RN 153458-01-6 CAPLUS

CN Propanamide, N-[5-[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-1-naphthalenyl]-2-methyl- (CA INDEX NAME)



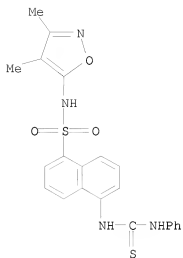
RN 153458-03-8 CAPLUS

CN 1-Naphthalenesulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-5-[(phenylmethyl)amino]- (CA INDEX NAME)



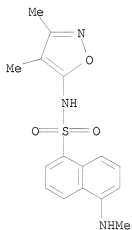
RN 153458-14-1 CAPLUS

CN 1-Naphthalenesulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-5-[(phenylamino)thioxomethyl]amino]- (CA INDEX NAME)



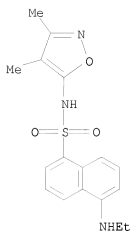
RN 153458-26-5 CAPLUS

CN 1-Naphthalenesulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-5-(methylamino)-
(CA INDEX NAME)



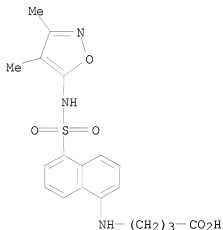
RN 153458-29-8 CAPLUS

CN 1-Naphthalenesulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-5-(ethylamino)-
(CA INDEX NAME)



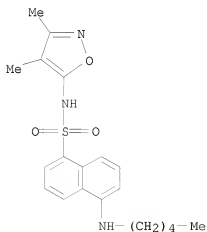
RN 153458-36-7 CAPLUS

CN Butanoic acid, 4-[[5-[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-1-naphthalenyl]amino]- (CA INDEX NAME)



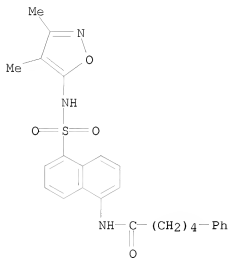
RN 161801-60-1 CAPLUS

CN 1-Naphthalenesulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-5-(pentylamino)- (CA INDEX NAME)



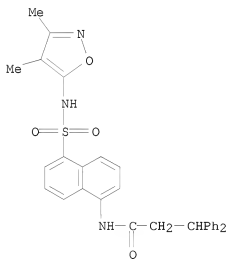
RN 161801-61-2 CAPLUS

CN Benzenepentanamide, N-[5-[[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



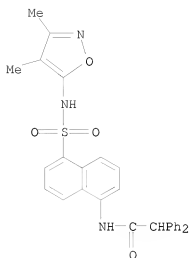
RN 161801-62-3 CAPLUS

CN Benzenepropanamide, N-[5-[[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-1-naphthalenyl]- β -phenyl- (CA INDEX NAME)



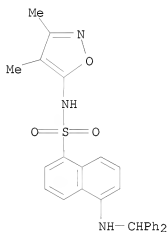
RN 161801-63-4 CAPLUS

CN Benzeneacetamide, N-[5-[[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-1-naphthalenyl]- α -phenyl- (CA INDEX NAME)



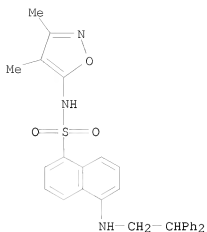
RN 161801-64-5 CAPLUS

CN 1-Naphthalenesulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-5-[(diphenylmethyl)amino]- (CA INDEX NAME)



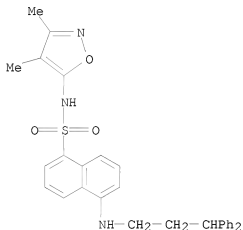
RN 161801-65-6 CAPLUS

CN 1-Naphthalenesulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-5-[(2,2-diphenylethyl)amino]- (CA INDEX NAME)



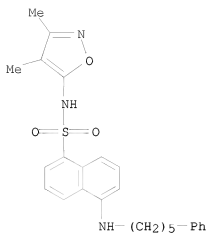
RN 161801-66-7 CAPLUS

CN 1-Naphthalenesulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-5-[(3,3-diphenylpropyl)amino]- (CA INDEX NAME)



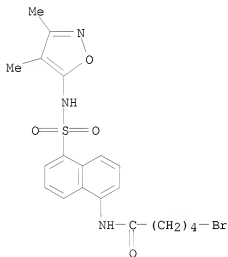
RN 161801-67-8 CAPLUS

CN 1-Naphthalenesulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-5-[(5-phenylpentyl)amino]-, sodium salt (1:1) (CA INDEX NAME)

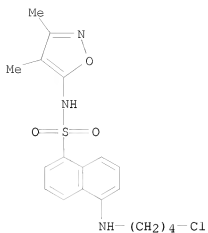


● Na

IT 153458-13-0P 153458-16-3P 161801-70-3P
 161801-72-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of (substituted isoxazolyl)naphthalenesulfonamides as
 endothelin antagonists)
 RN 153458-13-0 CAPLUS
 CN Pentanamide, 5-bromo-N-[5-[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-1-
 naphthalenyl)- (CA INDEX NAME)

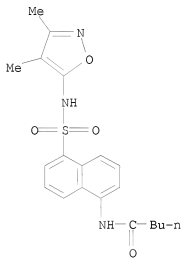


RN 153458-16-3 CAPLUS
 CN 1-Naphthalenesulfonamide, 5-[(4-chlorobutyl)amino]-N-(3,4-dimethyl-5-
 isoxazolyl)- (CA INDEX NAME)



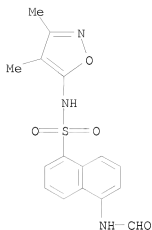
RN 161801-70-3 CAPLUS

CN Pentanamide, N-[5-[[(3,4-dimethyl-5-isoxazolyl) amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



RN 161801-72-5 CAPLUS

CN 1-Naphthalenesulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-5-(formylamino)- (CA INDEX NAME)



L8 ANSWER 47 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:418989 CAPLUS

DOCUMENT NUMBER: 122:309540

ORIGINAL REFERENCE NO.: 122:56177a,56180a

TITLE: Fluorogenic substrates for activated protein C: substrate structure - efficiency correlation

AUTHOR(S): Butenas, Saulius; Drungilaite, Vida; Mann, Kenneth G.
CORPORATE SOURCE: Dep. of Biochemistry, Univ. of Vermont, Burlington, VT, 05405, USA

SOURCE: Analytical Biochemistry (1995), 225(2), 231-41
CODEN: ANBCA2; ISSN: 0003-2697

PUBLISHER: Academic

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A series of 87 fluorescent peptide substrates have been synthesized and evaluated using human and bovine activated protein C (APC). These substrates contain various isomers of aminonaphthalenesulfonamides (ANSN) as the detecting group and were substituted at P4, P3, P2, P'1, and P'2 positions. Substrates with 6,2-ANSN at P'1 had the highest fluorescence quantum yield, exceeding that of 6,1-ANSN 9.3-fold and 5,1-ANSN almost 1000-fold. Almost 50 substrates containing substituted ANSNs as leaving groups have KM values for APC below 100 μ M, reaching as low as 4-10 μ M for 8 of these substrates. These values are significantly lower than those reported for p-nitroanilide and 4-methylcoumaryl-7-amide substrates. Addnl., some of these substrates have relatively high kcat exceeding 50 s⁻¹. These consts. as well as kcat/KM are influenced by the nature of amino acid in the P3 and P2 positions, by the isomer of ANSN (P'1), and by the structure of substituent incorporated in the sulfonamide moiety ('2). The highest kcat/KM were found for substrates with D-isomers of Leu, Phe, and Val in the P3 position when these amino acids were N-unblocked. For the P2 position Val, Phe, and Leu were preferable. Substrates containing Bu (bovine APC) and benzyl (human APC) substituents in the (P'2) structure have elevated kcat/KM. ANSN-containing substrates are hydrolyzed by both human and bovine APC at a similar rate.

IT 163225-66-9

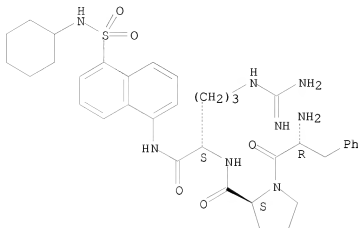
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)

(fluorogenic substrates for activated protein C and substrate structure - efficiency correlation)

RN 163225-66-9 CAPLUS

CN L-Argininamide, D-phenylalanyl-L-prolyl-N-[5-[(cyclohexylamino)sulfonyl]-1-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 48 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:354370 CAPLUS

DOCUMENT NUMBER: 122:133843

ORIGINAL REFERENCE NO.: 122:24979a, 24982a

TITLE: 5-[(No-Nitro-No-tert-butylloxycarbonyl)arginylamino]naphthalene-1-sulfamides as intermediates for the preparation of 5-arginylamino-1-naphthalenesulfamides

INVENTOR(S): Butenas, Saulys Yu.; Palajma, Algirdas I.; Nedospasov, Andrej A.

PATENT ASSIGNEE(S): Institut Biokhimii AN Litvy, USSR; Institut Molekulyarnoj Genetiki AN SSSR

SOURCE: U.S.S.R. From: Izobreteniya 1993, (13), 217.

CODEN: URXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Russian

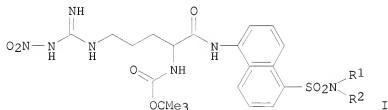
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 1807986	A3	19930407	SU 1990-4854377	19900720

PRIORITY APPLN. INFO.: SU 1990-4854377 19900720

GI



AB Title compds. I [R1 = H, R2 = Me, Et, Bu, iso-Bu, tert-Bu, pentyl, octyl, cyclohexyl, CH2Ph; or NR1R2 = NMe2, NEt2, NPr2, NBu2, morpholino, piperidino, azepino, N(Bu-iso)2] serve as intermediates for preparation of 5-arginylamino-1-naphthalenesulfamides.

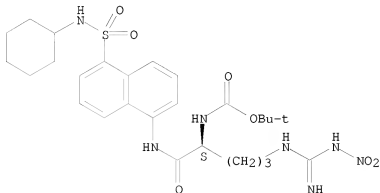
IT 160917-54-4P 160917-55-5P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
 (nitro(tert-butoxycarbonyl)arginylaminonaphthalenesulfamides as intermediates for preparation of arginylaminonaphthalenesulfamides)

RN 160917-54-4 CAPLUS

CN Carbamic acid, [1-[[[5-[(cyclohexylamino)sulfonyl]-1-naphthalenyl]amino]carbonyl]-4-[[imino(nitroamino)methyl]amino]butyl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

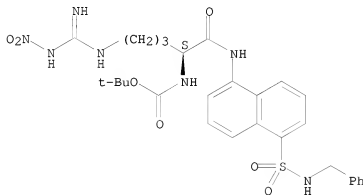
Absolute stereochemistry.



RN 160917-55-5 CAPLUS

CN Carbamic acid, [4-[[imino(nitroamino)methyl]amino]-1-[[[5-[[[phenylmethyl]amino]sulfonyl]-1-naphthalenyl]amino]carbonyl]butyl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



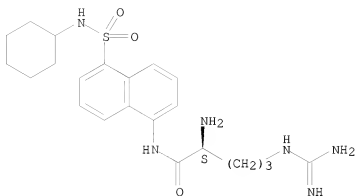
IT 149339-00-4P 160917-65-7P

RL: PNU (Preparation, unclassified); PREP (Preparation)
 (nitro(tert-butoxycarbonyl)arginylaminonaphthalenesulfamides as intermediates for preparation of arginylaminonaphthalenesulfamides)

RN 149339-00-4 CAPLUS

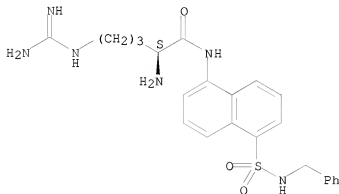
CN Pentanamide, 2-amino-5-[(aminoiminomethyl)amino]-N-[5-[(cyclohexylamino)sulfonyl]-1-naphthalenyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160917-65-7 CAPLUS
 CN Pentanamide, 2-amino-5-[(aminoiminomethyl)amino]-N-[5-
 [[(phenylmethyl)amino]sulfonyl]-1-naphthalenyl]-, (S)- (9CI) (CA INDEX
 NAME)

Absolute stereochemistry.



L8 ANSWER 49 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1995:350733 CAPLUS
 DOCUMENT NUMBER: 122:95860
 ORIGINAL REFERENCE NO.: 122:17867a,17870a
 TITLE: Three-Dimensional Quantitative Structure-Activity
 Relationships of Sulfonamide Endothelin Inhibitors
 AUTHOR(S): Krystek, Stanley R., Jr.; Hunt, John T.; Stein, Philip
 D.; Stouch, Terry R.
 CORPORATE SOURCE: Department of Macromolecular Modeling, Bristol-Myers
 Squibb Pharmaceutical Research Institute, Princeton,
 NJ, 08543-4000, USA
 SOURCE: Journal of Medicinal Chemistry (1995), 38(4), 659-68
 CODEN: JMCMAR; ISSN: 0022-2623
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB A three-dimensional quant. structure-activity relationship (QSAR) using
 steric and electrostatic fields (comparative mol. field anal., CoMFA)
 applied to 36 aryl sulfonamides assayed for endothelin receptor subtype-A
 (ETA) antagonism provided high cross-validation correlations (0.7) and
 showed promising predictive ability. The results were validated through
 trials using scrambled activities as well as trials using scrambled
 orientation of mols. CoMFA was used to discriminate between alternate

hypothetical biol. active conformations. CoMFA was also used to discriminate between two different mol. superpositions representing possible positioning within the receptor binding site. The preferred superposition supports hypotheses that suggest Tyr129 in the ETA receptor as a key residue for antagonist binding. Significant CoMFA results were obtained when crudely optimized geometries and simple charge schemes were used. The results improved on refinement, most substantially with refinement of the atomic charges.

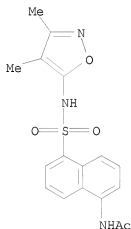
IT 153042-43-4 153042-45-6 153457-90-0

153458-00-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(QSAR of sulfonamide endothelin inhibitors)

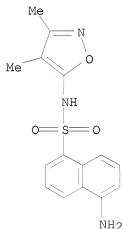
RN 153042-43-4 CAPLUS

CN Acetamide, N-[5-[[[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



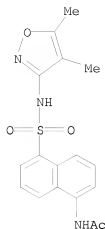
RN 153042-45-6 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(3,4-dimethyl-5-isoxazolyl)- (CA INDEX NAME)



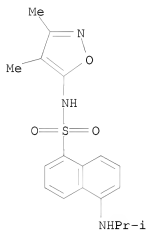
RN 153457-90-0 CAPLUS

CN Acetamide, N-[5-[[[(4,5-dimethyl-3-isoxazolyl)amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



RN 153458-00-5 CAPLUS

CN 1-Naphthalenesulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-5-[(1-methylethyl)amino]- (CA INDEX NAME)



L8 ANSWER 50 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:207104 CAPLUS

DOCUMENT NUMBER: 122:75311

ORIGINAL REFERENCE NO.: 122:14187a,14190a

TITLE: ANSA-analysis. V. Secondary specificity of peptidases for the structure of detectable groups of aminonaphthalenesulfonamide chromogenic substrates

Nedospasov, A. A.; Rodina, E. V.; Cherkasov, A. V.

Inst. Mol. Genet., Moscow, 123182, Russia

SOURCE: Biokhimiya (Moscow) (1994), 59(10), 1560-73

CODEN: BIOHAA; ISSN: 0320-9725

PUBLISHER: Nauka

DOCUMENT TYPE: Journal

LANGUAGE: Russian

AB Mixture of competing aminonaphthalenesulfonamide (ANSA) substrates can be used for identifying secondary substrate specificity of peptidases and their mixts. All substrates in the mixture had identical amino acid residues; however, cleaved ANSA differed in chromatog. mobilities due to differences in the substituent in the sulfonamide residue. ANSA generated by limited proteolysis of the substrate mixture by the enzyme preparation were

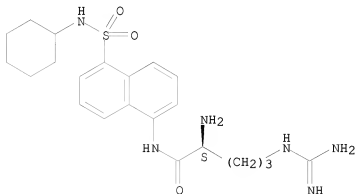
detected chromatog. The chromatogram obtained (the ANSA spectrum) was characteristic of each enzyme or enzyme-containing preparation Using arginyl-ANSA mixts., informative ANSA spectra suitable for enzyme identification were obtained. The correlations between the structure of the substituents in the SONR1R2 group of ANSA and the efficiency of substrate hydrolysis were studied. The method was used to identify snake venoms.

IT 149339-00-4
 RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses) (secondary specificity of peptidases for the structure of detectable groups of aminonaphthalenesulfonamide chromogenic substrates)

RN 149339-00-4 CAPLUS

CN Pentanamide, 2-amino-5-[(aminoiminomethyl)amino]-N-[5-[(cyclohexylamino)sulfonyl]-1-naphthalenyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 51 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:207103 CAPLUS

DOCUMENT NUMBER: 122:75200

ORIGINAL REFERENCE NO.: 122:14163a,14166a

TITLE: ANSA-analysis. IV. Specificity spectra in characterization of proteases and their mixtures
 AUTHOR(S): Rodina, E. V.; Cherkasov, A. V.; Nedospasov, A. A.
 CORPORATE SOURCE: Inst. Mol. Genet., Moscow, 123182, Russia
 SOURCE: Biokhimiya (Moscow) (1994), 59(10), 1544-59
 CODEN: BIOHAI; ISSN: 0320-9725

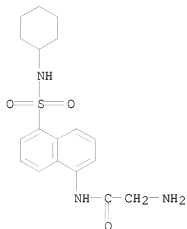
PUBLISHER: Nauka

DOCUMENT TYPE: Journal

LANGUAGE: Russian

AB ANSA-anal. was used for characterization of proteases and their mixts., such as snake venoms. The method is based on the cleavage by proteases of mixts. of competing chromogenic substrates containing substituted aminonaphthalenesulfonamide (ANSA) detectable groups. All detectable ANSA groups in the substrate mixts. have nonidentical modifiers, one or two substituents in the sulfonamide fragment, and can be determined by chromatog. methods. To identify venoms, a mixture of six peptide substrates cleaved at the Arg-ANSA bond was proposed. Hydrolysis of this substrate mixture catalyzed by the venoms of different Crotalidae and Viperidae species gave characteristic chromatograms (ANSA spectra) for each tested sample. A method for quant. description of differences in ANSA spectra has been proposed. Each ANSA spectrum can be presented as a vector going from the origin of the coordinated axes to a point in an n-dimensional space (n is the number of assayed ANSA products of proteolysis) with peak squares of corresponding ANSA as coordinates. The similarity between two ANSA spectra will then be characterized by angle between their vectors.

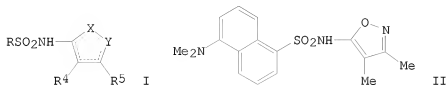
IT 160176-51-2
 RL: ARG (Analytical reagent use); BSU (Biological study, unclassified);
 ANST (Analytical study); BIOL (Biological study); USES (Uses)
 (proteinase and proteinase mixts. determination with)
 RN 160176-51-2 CAPLUS
 CN Acetamide, 2-amino-N-[5-[(cyclohexylamino)sulfonyl]-1-naphthalenyl]- (CA
 INDEX NAME)



L8 ANSWER 52 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1994:217650 CAPLUS
 DOCUMENT NUMBER: 120:217650
 ORIGINAL REFERENCE NO.: 120:38653a, 38656a
 TITLE: Antihypertensive sulfonamide endothelin antagonists
 INVENTOR(S): Stein, Philip D.; Hunt, John T.; Murugesan, Natesan
 PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA
 SOURCE: Eur. Pat. Appl., 42 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 558258	A1	19930901	EP 1993-301302	19930223
EP 558258	B1	19970507		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
ZA 9300780	A	19930908	ZA 1993-780	19930204
CA 2089184	A1	19930825	CA 1993-2089184	19930210
IL 104748	A	19961016	IL 1993-104748	19930216
AU 9333192	A	19930826	AU 1993-33192	19930222
AU 651922	B2	19940804		
PL 172035	B1	19970731	PL 1993-297820	19930222
NO 9300633	A	19930825	NO 1993-633	19930223
NO 303012	B1	19980518		
HU 65762	A2	19940728	HU 1993-491	19930223
HU 219455	B	20010428		
AT 152713	T	19970515	AT 1993-301302	19930223
ES 2103061	T3	19970816	ES 1993-301302	19930223
RU 2116301	C1	19980727	RU 1993-4661	19930223
CN 1079468	A	19931215	CN 1993-103447	19930224
CN 1044235	C	19990721		
JP 06009585	A	19940118	JP 1993-35360	19930224

JP 3273818 B2 20020415
 ES 2081251 A1 19960216 ES 1993-1747 19930804
 ES 2081251 B1 19961016
 PRIORITY APPLN. INFO.: US 1992-840496 A 19920224
 OTHER SOURCE(S): MARPAT 120:217650
 GI

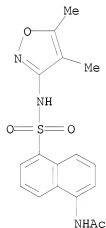


AB The title compds. I [R = (un)substituted naphthyl; R4, R5 = H, alkyl, alkenyl, alkynyl, halogen, HO, CN, CHO, CO2H, etc.; R4R5 = alkylene, alkylene; one of X and Y is N and the other is O], useful for the treatment of hypertension (no data), endotoxemia (no data), etc., are prepared. Thus, dansyl chloride was condensed with 3,4-dimethyl-5-isoxazolamine, producing naphthalenesulfonamide II, m.p. 126.2-129.8°.

IT 153457-90-0P 153458-01-6P 153458-03-8P
 153458-09-4P 153458-14-1P 153458-26-5P
 153458-29-8P 153458-36-7P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and antihypertensive activity of)

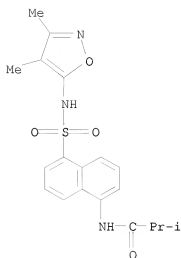
RN 153457-90-0 CAPLUS

CN Acetamide, N-[5-[[[(4,5-dimethyl-3-isoxazolyl)amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



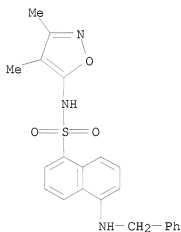
RN 153458-01-6 CAPLUS

CN Propanamide, N-[5-[[[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-1-naphthalenyl]-2-methyl- (CA INDEX NAME)



RN 153458-03-8 CAPLUS

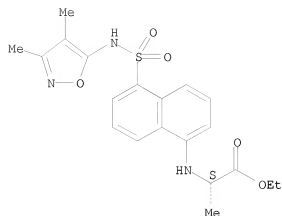
CN 1-Naphthalenesulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-5-[(phenylmethyl)amino]- (CA INDEX NAME)



RN 153458-09-4 CAPLUS

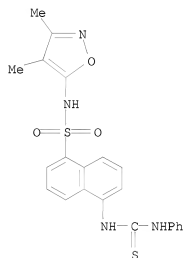
CN L-Alanine, N-[5-[[[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-1-naphthalenyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



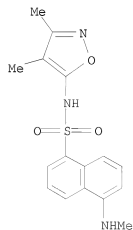
RN 153458-14-1 CAPLUS

CN 1-Naphthalenesulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-5-
[[(phenylamino)thioxomethylamino]- (CA INDEX NAME)



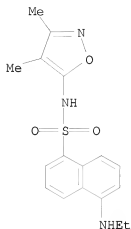
RN 153458-26-5 CAPLUS

CN 1-Naphthalenesulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-5-(methylamino)-
(CA INDEX NAME)



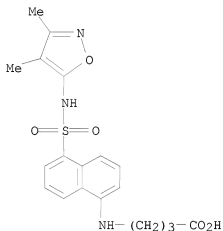
RN 153458-29-8 CAPLUS

CN 1-Naphthalenesulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-5-(ethylamino)-
(CA INDEX NAME)



RN 153458-36-7 CAPLUS

CN Butanoic acid, 4-[[5-[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-1-naphthalenyl]amino]- (CA INDEX NAME)



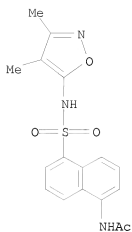
IT 153042-43-4P 153042-45-6P 153458-00-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antihypertensive activity of, reaction of)

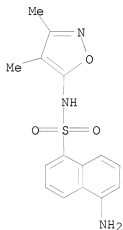
RN 153042-43-4 CAPLUS

CN Acetamide, N-[5-[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



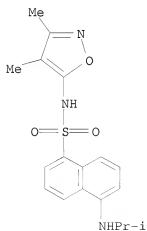
RN 153042-45-6 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(3,4-dimethyl-5-isoxazolyl)- (CA INDEX NAME)

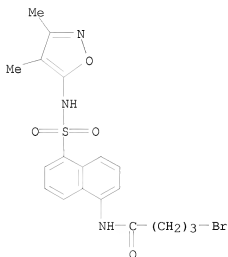


RN 153458-00-5 CAPLUS

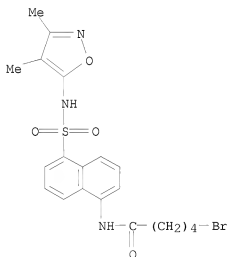
CN 1-Naphthalenesulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-5-[(1-methylethyl)amino]- (CA INDEX NAME)



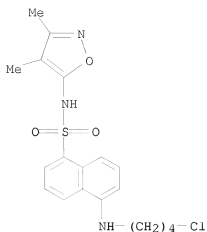
IT 153458-11-8P 153458-13-0P 153458-16-3P
 153458-27-6P 153458-28-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and reaction of, in preparation of antihypertensives
 naphthalenesulfonamides)
 RN 153458-11-8 CAPLUS
 CN Butanamide, 4-bromo-N-[5-[[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-1-
 naphthalenyl]- (CA INDEX NAME)



RN 153458-13-0 CAPLUS
 CN Pentanamide, 5-bromo-N-[5-[[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-1-
 naphthalenyl]- (CA INDEX NAME)

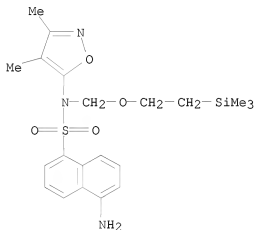


RN 153458-16-3 CAPLUS
 CN 1-Naphthalenesulfonamide, 5-[(4-chlorobutyl)amino]-N-(3,4-dimethyl-5-
 isoxazolyl)- (CA INDEX NAME)



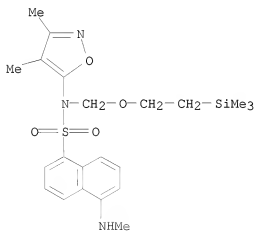
RN 153458-27-6 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(3,4-dimethyl-5-isoxazolyl)-N-[[2-(trimethylsilyl)ethoxy]methyl]- (CA INDEX NAME)



RN 153458-28-7 CAPLUS

CN 1-Naphthalenesulfonamide, N-(3,4-dimethyl-5-isoxazolyl)-5-(methylamino)-N-[[2-(trimethylsilyl)ethoxy]methyl]- (CA INDEX NAME)



L8 ANSWER 53 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1994:182333 CAPLUS

DOCUMENT NUMBER: 120:182333

ORIGINAL REFERENCE NO.: 120:31884h,31885a

TITLE: The Discovery of Sulfonamide Endothelin Antagonists and the Development of the Orally Active ETA Antagonist 5-(Dimethylamino)-N-(3,4-dimethyl-5-isoxazolyl)-1-naphthalenesulfonamide

AUTHOR(S): Stein, Philip D.; Hunt, John T.; Floyd, David M.; Moreland, Suzanne; Dickinson, Kenneth E. J.; Mitchell, Caroline; Liu, Eddie C.-K.; Webb, Maria L.; Murugesan, Natesan; et al.

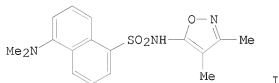
CORPORATE SOURCE: Departments of Chemistry, Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-4000, USA

SOURCE: Journal of Medicinal Chemistry (1994), 37(3), 329-31
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Random screening of compds. in an ETA receptor binding assay led to the discovery of a class of benzenesulfonamide ligands. Optimization led to the development of 5-amino-N-(3,4-dimethylisoxazolyl)-1-naphthalenesulfonamides which were functional antagonists.

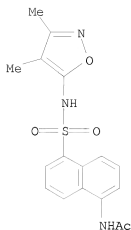
5-(Dimethylamino)-N-(3,4-dimethyl-5-isoxazolyl)-1-naphthalenesulfonamide (I), BMS-182874; Ki (ETA) = 55 nM, Ki (ETB) > 200 µM, KB (ETA) = 520 nM is a potent, ETA selective, orally active receptor antagonist with antihypertensive activity in DOCA-salt hypertensive rats.

IT 153042-43-4 153042-45-6

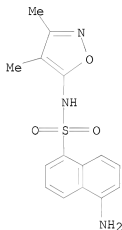
RL: BIOL (Biological study)
(endothelin antagonism by, structure in, antihypertensive activity in relation to)

RN 153042-43-4 CAPLUS

CN Acetamide, N-[5-[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



RN 153042-45-6 CAPLUS
 CN 1-Naphthalenesulfonamide, 5-amino-N-(3,4-dimethyl-5-isoxazolyl)- (CA INDEX NAME)

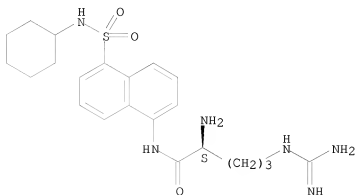


L8 ANSWER 54 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1993:517831 CAPLUS
 DOCUMENT NUMBER: 119:117831
 ORIGINAL REFERENCE NO.: 119:21227a, 21230a
 TITLE: 5-Arginylaminonaphthalene-1-sulfamide dihydrochlorides
 as intermediates for synthesis of
 5-arginylaminonaphthalene-1-sulfamides
 INVENTOR(S): Butenas, S.; Nedospasov, Andrej A.; Palajma, A.;
 Klimavicius, K.
 PATENT ASSIGNEE(S): Inst biokhimii an litvy, USSR; Inst molekulyarnoj
 genetiki an sssr
 SOURCE: U.S.S.R. From: Izobreteniya 1992, (37), 222.
 CODEN: URXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Russian
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 1768030	A3	19921007	SU 1990-4854376	19900720

PRIORITY APPLN. INFO.: SU 1990-4854376 19900720
 AB [1-(R1R2NSO2)C10H6(NHArg)-5].2HCl (R1 = H, R2 = Me, Et, Pr, iso-Pr, Bu, iso-Bu, tert-Bu, pentyl, cyclohexyl; or R1 = R2 = Me, Et; or NR1R2 = morpholinyl, piperidinyl) are intermediates for preparation of 5-arginylamino naphthalene-1-sulfamides.
 IT 149320-71-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (intermediate for preparation of arginylamino naphthalenesulfamide)
 RN 149320-71-8 CAPLUS
 CN Pentanamide, 2-amino-5-[(aminoiminomethyl)amino]-N-[5-[(cyclohexylamino)sulfonyl]-1-naphthalenyl]-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

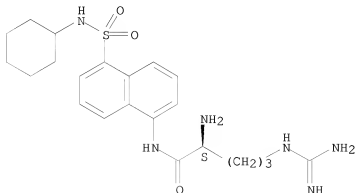
Absolute stereochemistry.



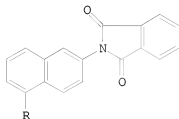
● 2 HCl

IT 149339-00-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 149339-00-4 CAPLUS
 CN Pentanamide, 2-amino-5-[(aminoiminomethyl)amino]-N-[5-[(cyclohexylamino)sulfonyl]-1-naphthalenyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



DOCUMENT NUMBER: 119:116913
 ORIGINAL REFERENCE NO.: 119:21015a, 21018a
 TITLE: Synthesis of substituted
 6-aminonaphthalene-1-sulfamides
 AUTHOR(S): Palaima, A.; Butenas, S.; Talaikyte, Z.
 CORPORATE SOURCE: Inst. Biokhim., Lithuania
 SOURCE: Chemija (1991), (3), 144-53
 CODEN: CHMJES; ISSN: 0235-7216
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 OTHER SOURCE(S): CASREACT 119:116913
 GI

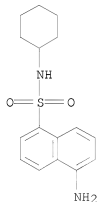


AB Treating the amine group in 6-H₂NC₁₀H₆SO₃H or its Na or ammonium salts with phthalic anhydride in refluxing pyridine afforded directly the pyridinium salt of phthalimide derivative I (R = SO₃-.HNC₅H₅+) in 63, 54, and 46% yields, resp. Subsequent reaction with PC15 afforded I (R = SO₂Cl), which upon reaction with amines afforded sulfamides I (R = SO₂NR₁R₂; R₁ = e.g., H, alkyl; R₂ = alkyl; NR₁R₂ = e.g., morpholino). Deprotection was carried out by hydrazinolysis in MeOH, to afford 6-H₂NC₁₀H₆SO₂NR₁R₂ (II). The fluorescence of II suggested these compds. may be applied as fluorogenic groups for peptide substrates.

IT 147752-41-8 147752-42-9
 RL: PRP (Properties)
 (UV and fluorescence of)

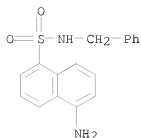
RN 147752-41-8 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-cyclohexyl- (CA INDEX NAME)



RN 147752-42-9 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-(phenylmethyl)- (CA INDEX NAME)



L8 ANSWER 56 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1992:448554 CAPLUS
 DOCUMENT NUMBER: 117:48554
 ORIGINAL REFERENCE NO.: 117:8667a,8670a
 TITLE: Preparation of 1-(4-biphenyl)benzimidazoles as
 angiotensin II antagonists
 INVENTOR(S): Narr, Berthold; Haeu, Norbert; Van Meel, Jacques;
 Wienen, Wolfgang; Entzeroth, Michael; Ries, Uwe
 PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Germany
 SOURCE: Eur. Pat. Appl., '72 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

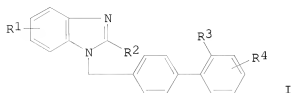
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 468470	A1	19920129	EP 1991-112404	19910722
EP 468470	B1	19970416		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE 4023369	A1	19920130	DE 1990-4023369	19900723
DE 4031287	A1	19920409	DE 1990-4031287	19901004
DE 4105324	A1	19920827	DE 1991-4105324	19910220
SU 1836357	A3	19930823	SU 1991-5001010	19910704
CA 2047496	A1	19920124	CA 1991-2047496	19910722
CA 2047496	C	20011023		
FI 9103503	A	19920124	FI 1991-3503	19910722
FI 105811	B1	20000103		
NO 9102859	A	19920124	NO 1991-2859	19910722
NO 178927	B	19960325		
NO 178927	C	19960703		
HU 58298	A2	19920228	HU 1991-2456	19910722
JP 04253966	A	19920909	JP 1991-181033	19910722
JP 2539113	B2	19961002		
ZA 9105717	A	19930331	ZA 1991-5717	19910722
AT 151766	T	19970515	AT 1991-112404	19910722
ES 2100907	T3	19970701	ES 1991-112404	19910722
AU 9181227	A	19920130	AU 1991-81227	19910723
AU 640505	B2	19930826		
IL 98933	A	19951231	IL 1991-98933	19910723
KR 208548	B1	19990715	KR 1991-12580	19910723
US 5385925	A	19950131	US 1994-220472	19940330
US 5587393	A	19961224	US 1994-299693	19940901
US 5684029	A	19971104	US 1996-603773	19960220
PRIORITY APPLN. INFO.:			DE 1990-4023369	A 19900723
			DE 1990-4031287	A 19901004
			DE 1991-4105324	A 19910220
			US 1991-732868	B1 19910719
			US 1994-220472	A3 19940330

OTHER SOURCE(S):
GI

MARPAT 117:48554

US 1994-299693

A3 19940901



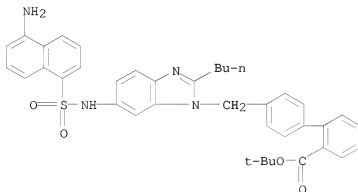
AB Title compds. [I; R1 = tetrahydrobenzimidazolyl, imidazopyridyl, (substituted) benzimidazolyl, benzoxazolyl, etc.; R2 = H, (S-interrupted) alkyl; R3 = carboxy, cyano, tetrazolyl, 1-triphenylmethyltetrazolyl, alkoxycarbonyl; R4 = H, F, Cl, Br], and their isomeric mixts. and salts, were prepared. Thus, 2-propyl-5-(1-methylbenzimidazol-2-yl)benzimidazole (preparation from Me 3,4-diaminobenzoate.2HCl given) and tert-Bu 4'-bromomethylbiphenyl-2-carboxylate were stirred 15 h with KOCMe3 in Me2SO to give 70% coupling products, which were treated with CF3CO2H in CH2Cl2 to give a mixture of 4'-[[2-propyl-5-(1-methylbenzimidazol-2-yl)benzimidazol-1-yl)methyl]biphenyl-2-carboxylic acid and 4'-[[2-propyl-6-(1-methylbenzimidazol-2-yl)benzimidazol-1-yl)methyl]biphenyl-2-carboxylic acid. I antagonized angiotensin II in rats with pA2 values of 6.0-7.5. I, at up to 30 mg/kg i.v., were without toxic side effects, e.g., neg. inotropic activity.

IT 141838-70-2

RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrolysis of, in preparation of angiotensin II antagonist)

RN 141838-70-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid,
4'-[[6-[[[(5-amino-1-naphthalenyl)sulfonyl]amino]-2-butyl-1H-benzimidazol-1-yl)methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



L8 ANSWER 57 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1989:453023 CAPLUS

DOCUMENT NUMBER: 111:53023

ORIGINAL REFERENCE NO.: 111:8933a,8936a

TITLE: Screening of artificial substrates for proteinases

AUTHOR(S): Nedospasov, A. A.; Potaman, V. N.; Rodina, E. V.

CORPORATE SOURCE: Inst. Mol. Gen., Moscow, USSR

SOURCE: Bioorganicheskaya Khimiya (1989), 15(4), 444-52

DOCUMENT TYPE:

Journal

LANGUAGE:

Russian

AB A method of screening of proteinase substrates is proposed. An equimolar mixture of substrates consisting of peptide and easily detectable chromophore moieties (all chromophores in the mixture must be different) is subjected to enzymic treatment. The cleaved chromophore groups, which are products of the substrate proteolysis, are quant. determined by chromatog. The k_{cat}/K_m ratio is greater for substrates with higher initial rate accumulation of proteolysis products. The method is illustrated by screening of peptide derivs. of aminonaphthalene sulfonamides for trypsin assay. Proteolysis products are determined by HPLC with absorption detection or by TLC with fluorescence detection.

IT 121722-35-8P

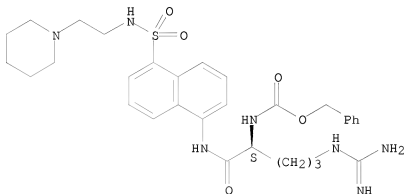
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deprotection of)

RN 121722-35-8 CAPLUS

CN Carbamic acid, [4-[(aminoiminomethyl)amino]-1-[[[5-[[[2-(1-piperidinyl)ethyl]amino]sulfonyl]-1-naphthalenyl]amino]carbonyl]butyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 121722-38-1P

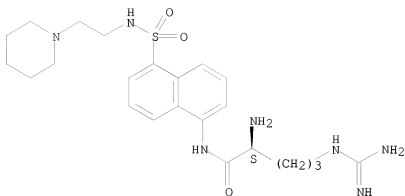
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction with tosylglycylproline)

RN 121722-38-1 CAPLUS

CN Pentanamide, 2-amino-5-[(aminoiminomethyl)amino]-N-[5-[[[2-(1-piperidinyl)ethyl]amino]sulfonyl]-1-naphthalenyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



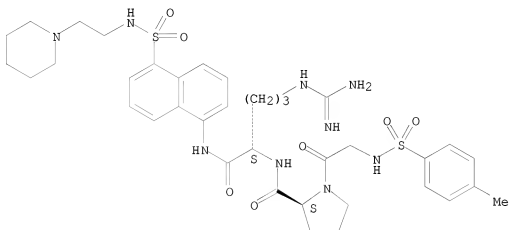
IT 121722-25-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and screening as proteinase substrates, chromophore group in relation to)

RN 121722-25-6 CAPLUS

CN L-Argininamide, N-[(4-methylphenyl)sulfonyl]glycyl-L-prolyl-N-[5-[[[2-(1-piperidinyl)ethyl]amino]sulfonyl]-1-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

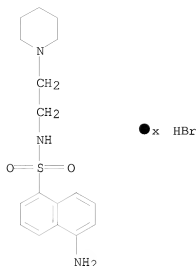


IT 121722-29-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with benzyloxycarbonylarginine)

RN 121722-29-0 CAPLUS

CN 1-Naphthalenesulfonamide, 5-amino-N-[2-(1-piperidinyl)ethyl]-, hydrobromide (1:?) (CA INDEX NAME)



L8 ANSWER 58 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1979:64358 CAPLUS

DOCUMENT NUMBER: 90:64358

ORIGINAL REFERENCE NO.: 90:10098h,10099a

TITLE: Cyan image forming dye precursors for diffusion-transfer color photographic materials

INVENTOR(S): Inoue, Kozo; Miyakawa, Masayoshi

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 36 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 53047823	A	19780428	JP 1976-122576	19761012
JP 59033900	B	19840818		

PRIORITY APPLN. INFO.:

JP 1976-122576 A 19761012

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Diffusion-transfer color photog. materials contain compds. of the general structure I [R = carrier residue; Z, Z1 = O, CONH, SO2NH, NHCO, NHSO2, SO2; Z2, Z3 = C1-8 alkylene, phenylene; m, n = 0, 1; R1 = H, OH, NHCOR6 (R6 = C1-6 alkyl, PhCH2, Ph); R2 = OH, O2CR7, OCO2R7, O2CCOR7, O2CCO2R7, OSO2R7 (R7 = C1-18 alkyl, Ph); R3 = H, halogen, SO2R7, SO2NR8R9 (R8 = C1-6 alkyl, H; R9 = H, C1-6 alkyl, PhCH2, Ph, C1-8 alkylcarbonyl, C6-9 phenylcarbonyl, or R8R9 in combination may form a ring), CF3; R4 = halogen, CN, NO2, CF3, C1-6 alkyl, C1-6 alkoxy, CO2H, CO2R7, PhOSO2, SO3H, SO2F, SO2NR8R9, CONR82, C1-8 alkylsulfonyl, PhSO2; R5 = H, halogen, NO2, CN, CF3; and the compds. should not contain >1 CO2H or SO3H group]. The cyan, azo dye-forming compds. I exhibit good diffusion characteristics and give dye images having good light resistance. Thus, a poly(ethylene terephthalate) film support was coated with (1) an image-receiving layer; (2) a reflection layer; (3) a carbon black-containing layer, (4) a layer

containing II 0.65, N,N-diethylauramide 0.3, and gelatin 1.1 g/m²; (5) a red-sensitive internal latent image-type Ag(Br,I) emulsion layer; and (6) a gelatin layer. Then a cover sheet having a neutralization layer and a timing layer was placed on the emulsion-containing film. The film was sensitometrically exposed and processed to give high-quality cyan images which exhibited excellent lightfastness.

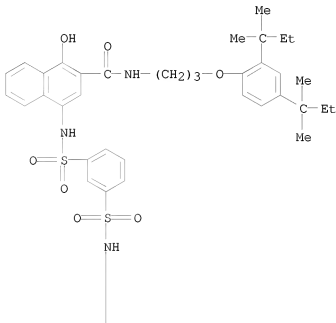
IT 69039-51-6

RL: TEM (Technical or engineered material use); USES (Uses)
(photog. cyan coupler)

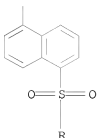
RN 69039-51-6 CAPLUS

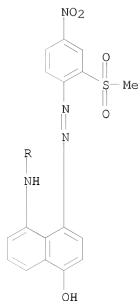
CN 2-Naphthalenecarboxamide, N-[3-[2,4-bis(1,1-dimethylpropyl)phenoxy]propyl]-1-hydroxy-4-[[[3-[[[5-[[5-hydroxy-8-[2-[2-(methylsulfonyl)-4-nitrophenyl]diazenyl]-1-naphthalenyl]amino]sulfonyl]-1-naphthalenyl]amino]sulfonyl]phenyl]sulfonyl]amino]- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A





L8 ANSWER 59 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1978:520834 CAPLUS

DOCUMENT NUMBER: 89:120834

ORIGINAL REFERENCE NO.: 89:18579a,18582a

TITLE: Magenta dye-releasing compounds for diffusion-transfer color photographic materials

INVENTOR(S): Maekawa, Yukio; Koyama, Koichi; Yokoyama, Shigeki; Yoshida, Yoshinobu; Toriuchi, Masaji

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 17 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

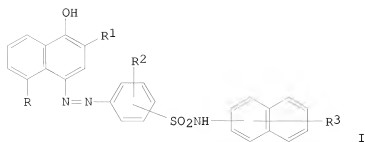
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

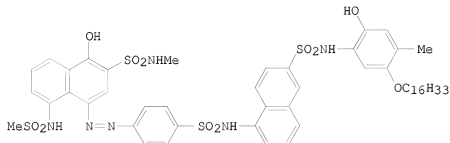
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 53023628	A	19780304	JP 1976-98101	19760817
JP 56053748	B	19811221		
PRIORITY APPLN. INFO.:			JP 1976-98101	A 19760817

GI

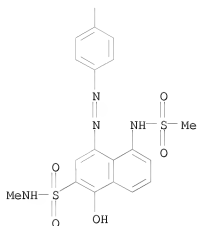
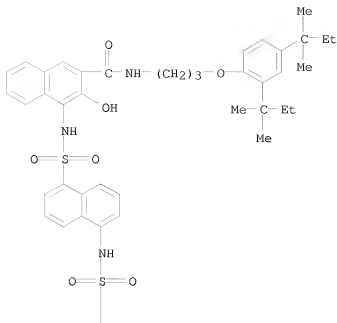


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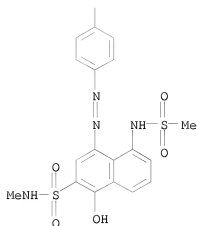
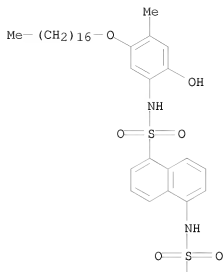


II

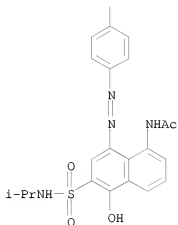
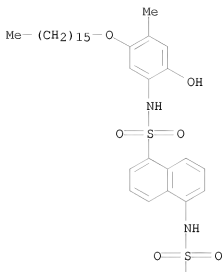
AB Color diffusion-transfer photog. materials contain a compound of the general
 in structure I [R = NHSO2R4, NHCOR4 (R4 = aliphatic or aryl group); R1 =
 SO2NR5R6 (R5 = H, aliphatic group; R6 = H, aliphatic group, PhCH2, aryl; R5R6
 combination may form a ring), SO2R7 (R7 = aliphatic group, PhCH2); R2 = H,
 a aliphatic group, alkoxy, halogen; R3 = o- or p-hydroxyarylsulfamoyl group
 containing a ballast group] as the magenta dye-releasing redox compound. Thus,
 photog. film unit having a poly(ethylene terephthalate) support, a layer
 containing II 0.8, N,N-diethylauramide 0.4, and gelatin 1 g/m2, a
 green-sensitive internal latent image-type Ag(Br,I) emulsion layer, and a
 gelatin protective layer was prepared. The film was imagewise exposed,
 contacted with an image receptor unit, and developed with a conventional
 developer to give a magenta image which exhibited good light fastness.
 IT 67350-11-2 67350-12-3 67350-16-7
 67350-19-0 67350-21-4
 RL: TEM (Technical or engineered material use); USES (Uses)
 (magenta photog. coupler, for diffusion-transfer films)
 RN 67350-11-2 CAPLUS
 CN 2-Naphthalenecarboxamide, N-[3-[2,4-bis(1,1-dimethylpropyl)phenoxy]propyl]-
 3-hydroxy-4-[[[5-[[[4-[2-[4-hydroxy-3-[(methylamino)sulfonyl]-8-
 [(methylsulfonyl)amino]-1-naphthalenyl]diazenyl]phenyl]sulfonyl]amino]-1-
 naphthalenyl]sulfonyl]amino]- (CA INDEX NAME)



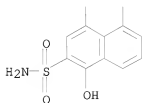
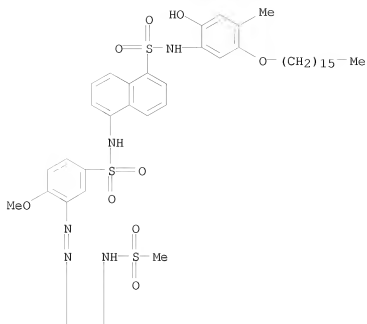
RN 67350-12-3 CAPLUS
 CN 1-Naphthalenesulfonamide, N-[5-(heptadecyloxy)-2-hydroxy-4-methylphenyl]-5-
 [[[4-[2-[4-hydroxy-3-[(methylamino)sulfonyl]phenyl]diazenyl]phenyl]sulfonyl]amino]-1-
 naphthalenyl]sulfonamide] (CA INDEX NAME)



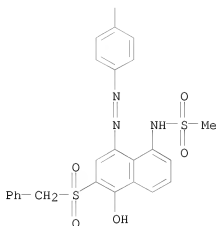
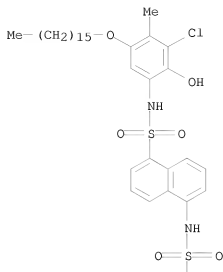
RN 67350-16-7 CAPLUS
 CN Acetamide, N-[8-[2-[4-[[[5-[[[5-(hexadecyloxy)-2-hydroxy-4-methylphenyl]amino]sulfonyl]-1-naphthalenyl]amino]sulfonyl]phenyl]diazenyl]-5-hydroxy-6-[[[1-(methylethyl)amino]sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



RN 67350-19-0 CAPLUS
 CN 1-Naphthalenesulfonamide, 5-[[[3-[2-[3-(aminosulfonyl)-4-hydroxy-8-
 [(methylsulfonyl)amino]-1-naphthalenyl]diazenyl]-4-
 methoxyphenyl]sulfonyl]amino]-N-[5-(hexadecyloxy)-2-hydroxy-4-
 methylphenyl]- (CA INDEX NAME)



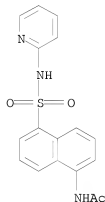
RN 67350-21-4 CAPLUS
 CN Benzenesulfonamide, N-[5-[[[3-chloro-5-(hexadecyloxy)-2-hydroxy-4-methylphenyl]amino]sulfonyl]-1-naphthalenyl]-4-[2-[4-hydroxy-8-[(methylsulfonyl)amino]-3-[(phenylmethyl)sulfonyl]-1-naphthalenyl]diazenyl]- (CA INDEX NAME)



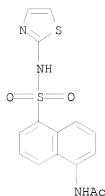
L8 ANSWER 60 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1955:4738 CAPLUS
 DOCUMENT NUMBER: 49:4738
 ORIGINAL REFERENCE NO.: 49:983g-i,984a-b
 TITLE: Some sulfonamides of the naphthalene series
 AUTHOR(S): Boldyrev, B. G.
 CORPORATE SOURCE: S. M. Kirov Ural Polytech. Inst., Sverdlovsk
 SOURCE: Sbornik Statei po Obshchei Khimii (1953), 1, 616-25
 CODEN: 19HMAJ
 DOCUMENT TYPE: Journal
 LANGUAGE: Unavailable
 AB It was shown by experiment that there is no correlation between the characteristic physiol. activity of the sulfonamides and the nonadditivity of their energies of formation (cf. Pushkareva and Kokoshko, C.A. 41,

3069e). Condensation of the appropriate sulfonyl chlorides with naphthionamide or sulfanilamide in pyridine, followed by deacetylation in 2:1 aqueous-EtOH-HCl, gave: 1,4-AcNHC10H6SO2NHC6H4SO2NH2-p, m. 246-7°; 1,4-H2NC10H6SO2NHC6H4SO2NH2 (I), m. 216°; p-AcNHC6H4SO2NHC10H6SO2NH2-1,4, m. 250-2°; p-H2N analog (II), m. 215-16°. The deviation of exptl. heat of formation from the calculated value for this group was 7.4 kcal./mol for the 1st, and 3.5 kcal./mol for the 2nd sulfonamide; none were physiol. active. Similarly all the following derivs. of naphthalene were physiol. inactive although considerable "excess" of heat of formation is found in this series (up to 68 kcal./mol). Amidation of 1-acetamidonaphthalene-5-sulfonyl chloride followed by hydrolysis with HCl (d. 1.08) gave, resp., 1-acetamidonaphthalene-5-sulfonamide, m. 268-9° [cf. Ekbom, Ber. 23, 1118(1890)], and the 1-amino analog, m. 265-6°. Similarly were obtained the following 1,5-RNHC10H6SO2NHR' (R and R' shown): Ac, 2-pyridyl, m. 252-3°; H, 2-pyridyl (III), m. 213-14°; Ac, 2-thiazolyl, m. 253-4°; H, 2-thiazolyl, m. 261-3°. Also were prepared 1,4-PhSO2NHC10H6SO2NH2 (IV), m. 220-1°, and 1-C10H7SO2NHC6H4SO2NH2-p (V), m. 248-9°. 2,6-RNHC10H6SO2NHR' (R and R' shown): Ac, H, m. 246°; H, H (VI), m. 235°; Ac, 2-pyridyl, m. 244-5°; H, 2-pyridyl, m. 233°. Exptl. heats of combustion (cal./g.): V, 5534; I, 5379; IV, 5519; II, 5375; 1-C10H7SO2NH2, 6053; 1,5-H2NC10H6SO2NH2, 5668; 1-C10H7SO2NHC5H4N-2, 6572; III, 6120; 2-C10H7SO2NH2, 6041; and VI, 5719.

IT 861059-22-5P, Acetamide, N-[5-(2-pyridylsulfamoyl)-1-naphthyl]-
861059-48-5P, Acetamide, N-[5-(2-thiazolylsulfamoyl)-1-naphthyl]-
RL: PREP (Preparation)
(preparation of)
RN 861059-22-5 CAPLUS
CN Acetamide, N-[5-[(2-pyridinylamino)sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



RN 861059-48-5 CAPLUS
CN Acetamide, N-[5-[(2-thiazolylamino)sulfonyl]-1-naphthalenyl]- (CA INDEX NAME)



L8 ANSWER 61 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1955:3073 CAPLUS

DOCUMENT NUMBER: 49:3073

ORIGINAL REFERENCE NO.: 49:627b-i,628a

TITLE: Azo dyes

INVENTOR(S): Fleischhauer, Richard; Muller, Adolf

PATENT ASSIGNEE(S): Badische Anilin- & Soda-Fabrik (I. G. Farbenindustrie AG "In Auflosung")

SOURCE: Addn. to Ger. 719,473 (C.A. 37, 21913)

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

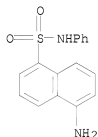
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 767692		19540208	DE 1939-164738	19390604

GI For diagram(s), see printed CA Issue.

AB Disazo dyes suitable for dyeing wool are prepared by coupling aromatic diazo compds. in an alkaline medium with coupling components of the general formula A, where R indicates an aromatic residue, which are obtained by coupling 1-arylamino-7-naphtholsulfonic acids with aromatic diazo compds. in an acid medium. Thus, the diazo compound from 4-(N-ethylbenzamido)aniline (I) 24 is combined in excess Na2CO3 solution with the monoazo dye from Na 1-anilino-7-naphthol-3-sulfonate (II) 33.8 and diazotized sulfanilamide (III) 17.2 parts (coupled in an acid medium). The product isolated in the usual manner gives wool brown tints of good fastness to milling, perspiration, and light. Disazo dyes of similar properties are obtained by replacing II by 1-(2- or 4-methoxy-, 2- or 4-methyl-, or 2,4- or 2,5-dimethyl-anilino)-7-naphthol-3-sulfonic acid; or by combining diazotized I in an alkaline medium with the monoazo dye from 1-anilino- or 1-(2- or 4-methoxy-, 2- or 4-methyl-, or 2,4- or 2,5-dimethyl-anilino)-7-naphthol-4-sulfonic acid and diazotized m-nitro-aniline (coupled in an acid medium). The following diazo compds. are similarly coupled in an alkaline medium with the specified monoazo dyes (obtained by acid coupling), shades on wool given: diazotized 4-methyl-6-nitro-2-aminophenol and the azo dye from II and diazotized 3-acetamidoaniline (IV), olive green, afterchromed olive brown; diazotized 1-(m-amino-N-ethylbenzamido)naphthalene and the azo dye from II and diazotized metanilamide (V), brown; diazotized 1-(p-amino-N-ethylbenzamido)naphthalene and the azo dye from II and diazotized V, olive brown; diazotized 2-phenoxyaniline and the azo dye from II and diazotized V, brown; diazotized 1-amino-5-naphthalenesulfonaniline (VI) and the azo dye from II and diazotized V, olive; diazotized I and the azo dye from II and diazotized 5-nitro-2-amino-1-methoxybenzene, dark brown; diazotized

1-amino-3-benzenesulfonanilide (VII) and the azo dye from II and diazotized 4-nitro-2-amino-1-methoxybenzene, brown; diazotized 3-benzamidoaniline and the azo dye from II and diazotized 2-chloroaniline, brown; diazotized Me 1-amino-3-benzenecarboxylate and the azo dye from II and di-azotized 4-chloroaniline, brown; diazotized anthranilic acid and the azo dye from II and diazotized VII, yellowish brown; diazotized 4-amino-1-methyl-2-benzenesulfonanilide and the azo dye from II and diazotized m-nitroaniline, brown; diazotized 1-amino-2-benzenesulfonic acid and the azo dye from II and diazotized p-nitroaniline (VIII), red-brown; diazotized I and the azo dye from II and diazotized 2,4-dichloroaniline, brown; diazotized I and the azo dye from II and diazotized 2-chloro-4-nitroaniline, reddish brown; diazotized IV and the azo dye from II and diazotized IV, pale brown; diazotized aniline and the azo dye from II and diazotized IV, pale brown; diazotized VIII and the azo dye from II and diazotized IV, olive; diazotized 4-acetamidoaniline and the azo dye from II and diazotized IV, havana brown; diazotized 4-(N-cyclohexylbenzamido)aniline (IX) and the azo dye from II and diazotized III, brown; diazotized 2-amino-4-(N-caprinoyl-N-ethylamino)-1-methylbenzene and the azo dye from II and diazotized III, brown; diazotized 4-nitro-2-aminophenol and the azo dye from II and diazotized IV, havana brown; diazotized IX and the azo dye from II and diazotized V, brown; diazotized VII and the azo dye from II and diazotized V, havana brown; diazotized VI and the azo dye from II and diazotized V, olive.

IT 648898-99-1, 1-Naphthalenesulfonanilide, 5-amino-
(azo dyes from)
RN 648898-99-1 CAPLUS
CN 1-Naphthalenesulfonamide, 5-amino-N-phenyl- (CA INDEX NAME)



L8 ANSWER 62 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1949:3750 CAPLUS
DOCUMENT NUMBER: 43:3750
ORIGINAL REFERENCE NO.: 43:858e-i,859a-i,860a-f
TITLE: Sulfonamido disazo dyes
INVENTOR(S): Allen, Charles F. H.; Wilson, Charles V.; Frame, Gordon F.
PATENT ASSIGNEE(S): Eastman Kodak Co.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2448853		19480907	US 1945-638490	19451229

GI For diagram(s), see printed CA Issue.
AB Preparation of the azo compds., CN:NQXNMeANMeX'Q'N:NC' (I), is described, where A represents a single benzene nucleus, X and X' each represents a CO, SO2, or -OCH2CO- group, Q and Q' each represents a single benzene or naphthalene nucleus, and C and C' each represents the residue of a

benzene, naphthalene, or tetrahydroquinoline coupling component. I, depending on their particular structures, dye wool, organic derivs. of cellulose, and cotton textile materials with colors of good fastness properties. I are prepared by diazotizing an amine, H₂NQXNRANR'X'Q'NH₂ (II), and coupling the diazonium compound with 2 different coupling components or 2 proportions of the same component. As examples, N,N'-bis(3-aminophenylsulfonyl)-N,N'-dimethyl-p-phenylenediamine (III) 1.24 is diazotized and coupled with 5-(2,3-dihydroxypropylamino)-1-naphthol 1.5 parts by weight and alkalinized slightly to give a red precipitate, the free acid dye (IV) dyeing cellulose acetate rayon a rose color. Similarly, N,N' - bis(4 - aminobenzoyl) - N, N' - dimethyl-p-phenylenediamine (V) and 1-naphthol-4-sulfonic acid (NW acid) (VI) give VII, light scarlet on wool, or V and 8-amino-1-naphthol-5,7-disulfonic acid (2S acid) (VIII) give IX, blue-red (magenta) on wool [substitution for III of 7-amino-1-naphthol-3,6-disulfonic acid (2R acid) or 8-acetamido-1-naphthol-3,6-disulfonic acid (acetyl H acid) gives disazo I (brownish red and bluish red on wool, resp.) in which coupling is believed to occur in the 2-position of the naphthalene nucleus]. V with 2-naphthol-3,6-disulfonic acid (R acid) (X) gives XI, brilliant scarlet on wool. V 4 is diazotized and coupled para to the N-atom of N-ethyl-N-2-hydroxyethylaniline 3.88 parts to give a yellow disazo I, yellow on cellulose acetate. N,N'-Bis(4-aminophenylsulfonyl) - N,N' - di-Me - p - phenylenediamine (XII) 1.5 is diazotized and coupled in the 5-position of 4-acetamido - 2 - (2,3 - dihydroxypropyl)aminoanisole 1.71 parts to give a reddish disazo I 1.2 parts, salmon-pink on cellulose acetate rayon. N,N'-Bis(4-aminophenoxyacetyl) - N,N' - di-Me - p - phenylenediamine (XIII) couples with VI in the 2-position to give a disazo I, brilliant red on wool from an acid bath, or with VIII in the 7-position to give a disazo I, deep magenta on wool from an acid bath. N,N'-Bis(1-amino-2-naphthoxyacetyl) - N,N' - di-Me - p - phenylenediamine (XIV) and VIII give a disazo I, reddish brown on wool from an acid bath. III couples with VI in the 2-position to give an orange disazo I, orange on wool from an acid bath. V couples with 2,4-diaminotoluene principally (it is believed) in the 5-position to give a product which is further diazotized and coupled with X to give an orange I, orange on wool from an acid bath. XIII, m-H₂NC₆H₄NHCOC₂H₅, and X yield a red disazo I, red on wool, diazotized and again coupled with X to give a trisazo I, deep red on wool from an acid bath. V and 2-ethoxy-1-naphthylamine-6-sulfonic acid give a red disazo I, red on wool, diazotized and coupled with 1,8-dihydroxy-3,6-naphthalenedisulfonic acid to give a red tetrakisazo I, dull red on wool, yellow-brown on chroming. Examples of preparation of II are given, where generally (A) the oxalate, dioxalate, or the free base of N,N'-dimethyl-p-phenylenediamine (XV) is combined in aqueous Na₂CO₃ solution

with

a nitroaryloxyacetyl halide or nitroaroyl chloride with stirring at room temperature, slightly elevated temperature, or on the steam bath, and the product so

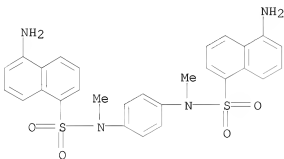
obtained, after suitable washing, extraction, or recrystn., yields a nitro compound which is then reduced with H in the presence of Raney Ni at 90-100° C. in a suitable solvent to II, or (B) XV (the free base) is treated with an acetamidoaroyl chloride and the Ac groups removed from the resultant compound by hydrolysis to give II. E.g., XV dioxalate 15 is combined with p-nitrobenzoyl chloride 29.5 parts to yield crude N,N'-bis(4-nitrobenzoyl)-N,N'-dimethyl-p-phenylenediamine 14.2 parts, recrystd. from HOAc as slightly yellow needles, m. 256°; reduction of the NO₂ compound 7.7 in EtOH 150 gives V 3.7 parts, white needles from 1,4-dioxane, m. 255°. Similarly, XV oxalate (or just XV) and m-nitrobenzenesulfonyl chloride (XVI) yield N,N' - bis(3 - nitrophenylsulfonyl) - N,N' - dimethyl-p-phenylenediamine (XVII), m. 215-17°, reduced in 1,4-dioxane to III, m. 218°; XV dioxalate and p-nitrophenoxyacetyl chloride yield N,N' - bis(4 - nitrophenoxyacetyl) - N,N' - di-Me - p - phenylenediamine, buff-colored

crystals from glacial HOAc, m. 233°, reduced in EtOH to XIII, yellow solid from 1,4-dioxane, m. 207°; XV oxalate and 1-nitro-2-naphthoxyacetyl chloride yield N,N' - bis(1 - nitro - 2 - naphthoxyacetyl) - N,N' - dimethyl-p-phenylenediamine, m. above 275° (from EtOH), reduced in EtOH to XIV, buff-colored crystals; XV and p-nitrobenzenesulfonyl chloride yield light gray N,N' - bis(4 - nitrophenylsulfonyl) - N,N' - di-Me - p - phenylenediamine, m. above 300° (from EtOH), reduced in EtOH to slightly yellow XII, m. 212-26° (decomposition); XV and the aromatic acid chloride (from thionyl chloride, pyridine, and 4-nitro-2-sulfobenzoic acid mono-K salt) yield white crystals of N,N'-bis(4-nitro-2-sulfobenzoyl)-N,N'-dimethyl - p - phenylenediamine, reduced in EtOH to the extremely H2O-soluble white N,N'-bis(4-amino-2-sulfobenzoyl) - N,N' - di-Me - p - phenylenediamine, which may be recrystd. from dilute HCl solution (with considerable loss); and XV and 4-nitro-3-sulfobenzoyl chloride yield a compound reduced to N,N'-bis(4-amino-3-sulfobenzoyl)-N,N' - di-Me - p - phenylenediamine. By combining XV with 2-acetamido-3-naphthoyl chloride (prepared by acetylating 2-naphthylamine-3-carboxylic acid and converting to the acid chloride) and hydrolyzing the Ac groups N,N' - bis (2 - amino - 3 - naphthoyl) - N,N' - di-Me - p - phenylenediamine is obtained. XV and 1-acetamido-5-naphthalenesulfonyl chloride by method B, or XV and 1-nitro-5-naphthalenesulfonyl chloride by method A (reduction performed in the absence of a solvent) yield N,N' - bis(1 - amino - 5 - naphthylsulfonyl) - N,N' - dimethyl-p-phenylenediamine. XV and 4-(4-nitrobenzamido)benzoyl chloride by A give N,N'-bis[4-(4-aminobenzamido)benzoyl]-N,N'-dimethyl-p-phenylenediamine. XV and 1 - acetamido - 4 - naphthalenesulfonyl chloride by B or XV and 1-nitro-4-naphthalenesulfonyl chloride by A give N,N' - bis(1 - amino - 4 - naphthylsulfonyl) - N,N'-dimethyl-p-phenylenediamine. Numerous combinations of these II with many coupling components are listed.

IT 858464-68-3P, 1-Naphthalenesulfonamide,
N,N'-p-phenylenebis[5-amino-N-methyl-
RL: PREP (Preparation)
(preparation of)

RN 858464-68-3 CAPLUS

CN 1-Naphthalenesulfonamide, N,N'-p-phenylenebis[5-amino-N-methyl- (5CI) (CA INDEX NAME)



L8 ANSWER 63 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1947:31182 CAPLUS

DOCUMENT NUMBER: 41:31182

ORIGINAL REFERENCE NO.: 41:6286b-i, 6287a-g

TITLE: Therapeutically active s-triazine derivatives

INVENTOR(S): Friedhelm, Ernst A. H.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	US 2415554		19470211	US	

GI For diagram(s), see printed CA Issue.

AB s-Triazine derivs. were prepared having the general formula A-L-NHC:N.CY:N.CZ:N (I) in which A consists of cyclic sulfonic acid groups which may contain substituting groups such as OH, O-alkyl, halogen, NH-alkyl, NH-acyl, CONH2, SO2NH2. The cyclic sulfonic acid groups may be 8-hydroxy-3,6-disulfo-1-quinolyl, 8-methyl-5-sulfo-7-quinolyl, 8-hydroxy-4,6-disulfo-1-naphthyl, sulfocarbazolyl, 3,5-disulfophenyl, 5,8-disulfo-1-naphthyl, 5-sulfo-2-pyridyl, etc. L stands for a cyclic acid amide-containing link such as a group from benzamide, naphthamide, benzenesulfonamide, etc. Y and Z may be the same or different and may be halogen or a residue of inorg. or organic mol. containing a H atom capable of reacting with a cyanuric halide, or they may be A-L-NH- groups. The compds. in the form of their Na salts are white or yellowish powders, soluble in water, insol. in CHCl3 or Et2O, and dissolving in concentrated H2SO4. The following compds. were prepared in which A-L-NH of the general formula I is the residue (R) from 8-[3-(3-aminobenzamido)-4-methylbenzamido]-1,3,5-naphthalenetrisulfonic acid. A-L-NH- may be the residue RI from 8-[m-(m-aminobenzamido)benzamido]-1-naphthol-3,6-disulfonic acid, thus RI is A-L-NH- may be the residue RII from 8-(m-aminophenylsulfonamido)-1-naphthol-3,6-disulfonic acid, thus RII is A-L-NH- may be the residue RIII Compds. containing these groups are

IT 857010-62-9P, s-Triazine, 2-chloro-4,6-bis[5-[(8-methyl-5-sulfo-7-quinolyl)sulfamoyl]-1-naphthylamino]-

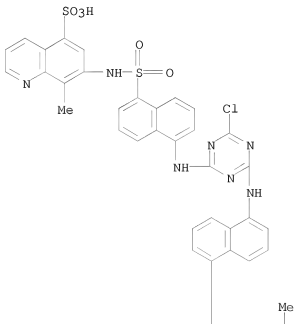
RL: PREP (Preparation)

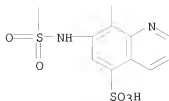
(preparation of)

RN 857010-62-9 CAPLUS

CN s-Triazine, 2-chloro-4,6-bis[5-[(8-methyl-5-sulfo-7-quinolyl)sulfamoyl]-1-naphthylamino]- (5CI) (CA INDEX NAME)

PAGE 1-A





L8 ANSWER 64 OF 64 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1929:33344 CAPLUS

DOCUMENT NUMBER: 23:33344

ORIGINAL REFERENCE NO.: 23:3909c-f

TITLE: Arylamides of aromatic carboxylic and sulfonic acids

AUTHOR(S): Heller, Kurt

SOURCE: Journal fuer Praktische Chemie (Leipzig) (1929),
121(2), 193-203

CODEN: JPCEAO; ISSN: 0021-8383

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

AB The arylsulfonamides were made from the sulfonyl chlorides and amines by heating with Na₂CO₃ and excess PhMe or C₆H₄Me₂ (stirring). The NO₂ groups were then reduced with Fe powder in hot dilute AcOH. In many cases the NH₂ compds. were diazotized and reduced with Na₂SO₃ to hydrazines, which were then condensed with AcCH₂CO₂Et or BzCH₂CO₂Et to the corresponding pyrazolones. Many of the amides and pyrazolones gave promising azo dyes insol. in alkali (not described). The following new compds. are described: 1,5-H₂NC₁₀H₆SO₂NHPh, yellow, m. 171°; 1,8-, yellow, m. 139-40°; 1,4-, m. 190°; 1,7-, m. 146-7°; 1,6-, m. 127-8°; 1,5-AcOC₁₀H₆SO₂Cl, m. 129°; 2,6-, m. 107°; 1,5-HOC₁₀H₆SO₂NHPh, m. 200°; 2,6-, m. 104°; 2,4'-ClC₅H₄CONHC₆H₄NH₂, m. 153°; 4,2'-NO₂C₆H₄CONHC₆H₄Cl, m. 160°; 4,2'-NH₂C₆H₄CONHC₆H₄Cl, m. 145°; 2,4,4'-(NO₂)MeC₆H₂SO₂NHC₃H₄OMe, m. 135°; 2,4,4'-(NH₂)MeC₆H₂SO₂NHC₆H₄OMe, m. 128°; 2,4,2'-(NH₂)MeC₆H₂SO₂NHC₆H₄OMe, gave a hydrazine-HCl, m. 196°, and from this a methylpyrazolone, m. 118°; in what follows, the values after each formula are resp. the m. ps. of the amine, the hydrazine HCl, and the methyl- and phenylpyrazolone derivs.: 2,4,4'-(NH₂)MeC₆H₂SO₂NHC₆H₄Me, 128°, 168°, 129°, -; 2,4,2'-(NH₂)MeC₆H₂SO₂NHC₆H₄Me, 148°, 199°, 116°, -; 2,3-NH₂C₁₀H₆CONHPh, 192°, 110°, 179°, 186°; 2,3,2'-NH₂C₁₀H₄CONHC₁₀H₇, 110°, 145°, 129°, 155°; 4,3'-NH₂C₆H₄SO₂NHC₆H₄NH₂, -, 179-80°, 147°, 168°; 4-NH₂C₆H₄CONHPh, -, 235°, 271°, -; 4-BzNHC₆H₄NH₂, -, 273°, 233°, 268°; 4,2'-NH₂C₄H₄CONHC₆H₄Cl, -, 180°, 231°, 238°; 2,3,4'-HOC₁₀H₄CONHC₆H₄NH₂, -, 295°, 310°, 195°; 2,3,3'-, HOC₁₀H₆CONHC₆H₄NH₂, -, 175°, 203-5°, 194°.

IT 648898-99-1P, 1-Naphthalenesulfonanilide, 5-amino-
RL: PREP (Preparation)
(preparation of)
RN 648898-99-1 CAPLUS
CN 1-Naphthalenesulfonamide, 5-amino-N-phenyl- (CA INDEX NAME)

